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FILE COVERS 1907 - 19 May 2005 VOL 142 ISS 22
FILE LAST UPDATED: 19 May 2005 (20050519/ED)

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=> e JP11-193282/pn

E1 1 JP11193280/PN
E2 1 JP11193281/PN
E3 1 --> JP11193282/PN
E4 1 JP11193283/PN
E5 1 JP11193284/PN
E6 1 JP11193285/PN
E7 1 JP11193286/PN
E8 1 JP11193287/PN
E9 1 JP11193288/PN
E10 1 JP11193289/PN
E11 1 JP11193290/PN
E12 1 JP11193291/PN

=> s e3

L19 1 JP11193282/PN

=> d l19 all

L19 ANSWER 1 OF 1 CA COPYRIGHT 2005 ACS on STN
AN 131:102286 CA Full-text
ED Entered STN: 14 Aug 1999
TI Preparation of purine derivatives as interferon biosynthesis inducers
IN Kurimoto, Ayumu; Ogino, Tetsuhiro; Kawakami, Hajime
PA Sumitomo Pharmaceuticals Co., Ltd., Japan; Japan Energy K. K.
SO Jpn. Kokai Tokkyo Koho, 248 pp.
CODEN: JKXXAF

172

DT Patent
 LA Japanese
 IC ICM C07D473-24
 ICS A61K031-435; A61K031-52; C07D471-04
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

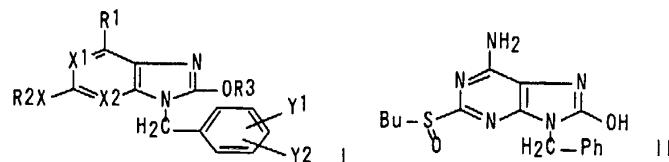
FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11193282	A2	19990721	JP 1997-367887	19971226 <--
PRAI	JP 1997-367887		19971226		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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JP 11193282	ICM	C07D473-24
	ICS	A61K031-435; A61K031-52; C07D471-04
OS MARPAT 131:102286		
GI		



AB The title compds. I [X = SO, SO₂; X₁ = X₂ = N; or X₁ = N, X₂ = CH; or X₁ = CH, X₂ = N; R₁ = amino, etc.; R₂ = alkyl, etc.; R₃ = H, alkyl, etc.; Y₁, Y₂ = H, OH, etc.] are prepared. In in vitro tests using cells, the concentration of interferons was 13.6 IU/mL in the presence of 1 μ M of purine derivative II; in the presence of 10 μ M of purine derivative II, the concentration of interferons was 15.1 IU/mL.

ST purine prepn interferon biosynthesis inducer
 IT Antitumor agents
 Antiviral agents
 Immunostimulants
 (interferon biosynthesis inducing purine derivs.)
 IT Interferons
 RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
 (preparation of purine derivs. as interferon biosynthesis inducers)
 IT 230615-98-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of purine derivs. as interferon biosynthesis inducers)
 IT 75-08-1, Ethanethiol 75-33-2, 2-Propanethiol 91-60-1,
 2-Naphthalenethiol 100-39-0, Benzyl bromide 100-53-8, Benzylmercaptan 106-45-6, p-Toluenethiol 107-03-9, 1-Propanethiol 110-66-7,
 Pentanethiol 513-44-0 513-53-1, 2-Butanethiol 541-31-1,
 3-Methylbutanethiol 930-69-8, Sodium thiophenolate 1569-69-3.

Cyclohexanethiol 1878-18-8 4779-86-6, Sodium butylthiolate
 5188-07-8, Sodium methylthiolate 5451-40-1, 2,6-Dichloropurine
 7726-95-6, Bromine, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of purine derivs. as interferon biosynthesis inducers)
 IT 1839-18-5P 64542-94-5P 64543-07-3P 83492-17-5P 226906-66-7P
 226906-67-8P 226906-68-9P 226906-69-0P 226906-70-3P 226906-71-4P
 226906-72-5P 226906-73-6P 226906-74-7P 226906-75-8P 226906-76-9P
 226906-77-0P 226906-78-1P 226906-79-2P 226906-80-5P 226907-56-8P
 226907-57-9P 226907-58-0P 226907-59-1P 226907-60-4P 226907-61-5P
 226907-62-6P 226907-63-7P 226907-64-8P 226907-65-9P 226907-66-0P
 226907-67-1P 226907-68-2P 226907-69-3P 226907-70-6P 226907-71-7P
 226907-72-8P 226907-73-9P 226907-74-0P 226907-75-1P 226907-76-2P
 226907-77-3P 226907-78-4P 226907-79-5P 226907-80-8P 226907-81-9P
 226907-82-0P 229025-09-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of purine derivs. as interferon biosynthesis inducers)

=> file wpids

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E2 1 JP11193281/PN
E3 1 —> JP11193282/PN
E4 1 JP11193283/PN
E5 1 JP11193284/PN
E6 1 JP11193285/PN
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E9 1 JP11193288/PN
E10 1 JP11193289/PN
E11 1 JP11193290/PN
E12 1 JP11193291/PN

=> s e3

L20 1 JP11193282/PN

=> d l20 all

L20 ANSWER 1 OF 1 WPIDS COPYRIGHT 2005 THE THOMSON CORP on STN

AN 1999-462426 [39] WPIDS Full-text

DNC C1999-136174

TI New purine derivatives - used as interferon inducing agent, and to treat viral infections, cancer and immune diseases.

DC B02

PA (NIHA) JAPAN ENERGY CORP: (SUMU) SUMITOMO SEIYAKU KK

CYC 1

PI JP 11193282 A 19990721 (199939)* 248 C07D473-24 <--

ADT JP 11193282 A JP 1997-367887 19971226

PRAI JP 1997-367887 19971226

IC ICM C07D473-24

ICS A61K031-435: A61K031-52: C07D471-04

AB JP 11193282 A UPAB: 19990928

Purine derivatives of formulae (I) and (II) and their salts are new. X = SO or SO₂-; X₁, X₂ = C or N, provided that at least one is N; R₁ = amino, alkylamino, substituted alkylamino, dialkylamino or alicyclic heterocycle; R₂ = (substituted) alkyl, (substituted) alkenyl, (substituted) alkynyl, (substituted) aryl, (substituted) aralkyl, or heterocycle; R₃ = H, (substituted) alkyl, (substituted) alkanoyl, (substituted) aroyl, (substituted) alkoxy carbonyl or (substituted) benzyl carbonyl; Y₁ = N, OH, (substituted) alkyl, (substituted) alkoxy, (substituted) alkanoyl, (substituted) aroyl, carboxy, (substituted) alkoxy carbonyl, amino, alkylamino, dialkylamino, carbamoyl, alkyl carbamoyl, dialkyl carbamoyl, halogen, nitro or cyano; Y₂ = H, OH, (substituted) alkyl, (substituted) alkoxy, (substituted) alkanoyl, (substituted) aroyl, carboxy, (substituted) alkoxy carbonyl, amino, alkylamino, dialkylamino, carbonyl, alkyl carbamoyl, dialkyl carbamoyl, halogen, nitro or cyano.

USE - (I) and (II) are used as interferon inducing agents, anti-viral agents, anti-cancer agents and immune disease treating agent. The daily dosage ranges from 1 to 500 mg. pref. 5 to 200 mg orally; or 0.1 to 300 mg. pref. 1 to 100 mg by injection. Dwg. 0/0

FS CPI

FA AB: GI: DCN

MC CPI: B06-D05: B06-D08: B06-D09: B14-A02: B14-G02: B14-H01B

=> d cos

COST IN JAPANESE YEN

SINCE FILE

TOTAL

(19)日本国特許庁 (JP)

(12) 公開特許公報 (A)

(11)特許出願公開番号

特開平11-193282

(43)公開日 平成11年(1999)7月21日

(51)Int.Cl.⁶

C 07 D 473/24

A 61 K 31/435

31/52

識別記号

C 07 D 473/24

F I

A 61 K 31/435

31/52

AB B

31/52

AB B

AD U

AD U

AD Y

AD Y

審査請求 未請求 請求項の数 9 FD (全248頁) 最終頁に続く

(21)出願番号

特願平9-367887

(71)出願人 000183370

住友製薬株式会社

大阪府大阪市中央区道修町2丁目2番8号

(22)出願日 平成9年(1997)12月26日

(71)出願人 000231109

株式会社ジャパンエナジー
東京都港区虎ノ門二丁目10番1号

(72)発明者 栗本 歩

大阪府大阪市此花区春日出中3丁目1番98
号 住友製薬株式会社内

(72)発明者 萩野 哲広

大阪府大阪市此花区春日出中3丁目1番98
号 住友製薬株式会社内

(74)代理人 弁理士 青山 蔦 (外2名)

最終頁に続く

(54)【発明の名称】複素環化合物

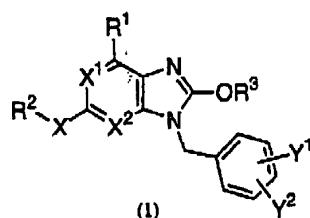
(57)【要約】

【課題】 インターフェロン生合成誘導活性を有するブ
リン化合物、およびこれを有効成分とする抗ウイルス
剤、抗ガン剤、あるいは免疫疾患治療剤の提供。

て、水素原子、水酸基、アルキル基等を表す。) で表さ
れる複素環化合物またはその医薬的に許容される塩。

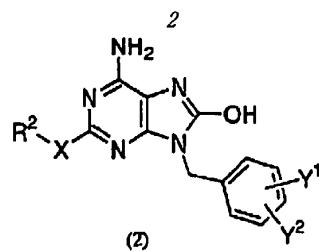
【解決手段】 一般式(1)

【化1】



(式中、-X-は-SO-または-SO₂-を表し、X¹
およびX²は共に窒素原子を表すか、X¹は窒素原子でX²
はCHを表すかまたはX¹はCHでX²は窒素原子を表
し、R¹はアミノ基、アルキルアミノ基等を表し、R²は
アルキル基、置換アルキル基、アルケニル基等を表し、
R³は水素原子、アルキル基、置換アルキル基、アルカ
ノイル基等を表し、Y¹およびY²は同一または異なっ

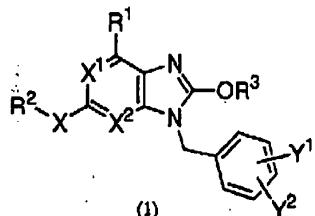
(2)



【特許請求の範囲】

【請求項1】 一般式(1)

【化1】



(式中、 $-X-$ は $-SO-$ または $-SO_2-$ を表し、 X^1 および X^2 は共に窒素原子を表すか、 X^1 は窒素原子で X^2 は CH を表すかまたは X^1 は CH で X^2 は窒素原子を表し、 R^1 はアミノ基、アルキルアミノ基、置換アルキルアミノ基、ジアルキルアミノ基または脂環式複素環基を表し、 R^2 はアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基を表し、 R^3 は水素原子、アルキル基、置換アルキル基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、アルコキシカルボニル基、置換アルコキシカルボニル基、ベンジルオキシカルボニル基または置換ベンジルオキシカルボニル基を表し、 Y^1 は水素原子、水酸基、アルキル基、置換アルキ基、アルコキ基、置換アルコキ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表し、 Y^2 は水素原子、水酸基、アルキル基、置換アルキ基、アルコキ基、置換アルコキ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表す。)で表される複素環化合物またはその医薬的に許容される塩。

【請求項2】 一般式(2)

【化2】

(式中、 $-X-$ は $-SO-$ または $-SO_2-$ を表し、 R^2 はアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基を表し、 Y^1 は水素原子、水酸基、アルキル基、置換アルキ基、アルコキ基、置換アルコキ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表し、 Y^2 は水素原子、水酸基、アルキル基、置換アルキ基、アルコキ基、置換アルコキ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表す。)で表される複素環化合物またはその医薬的に許容される塩。

【請求項3】 R^2 がアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基であり、 Y^1 が水素原子、アルコキ基、置換アルコキ基、ハロゲン原子またはニトロ基であり、 Y^2 が水素原子、アルコキ基、置換アルコキ基、ハロゲン原子またはニトロ基である請求項1または2記載の複素環化合物またはその医薬的に許容される塩。

【請求項4】 $-X-$ が $-SO-$ である請求項1、2または3記載の複素環化合物またはその医薬的に許容される塩。

【請求項5】 請求項1、2、3または4記載の化合物を有効成分とする医薬組成物。

【請求項6】 請求項1、2、3または4記載の複素環化合物またはその医薬的に許容される塩を有効成分とするインターフェロン誘導剤。

【請求項7】 請求項1、2、3または4記載の複素環化合物またはその医薬的に許容される塩を有効成分とする抗ウイルス剤。

【請求項8】 請求項1、2、3または4記載の複素環

(3)

3

化合物またはその医薬的に許容される塩を有効成分とする抗癌剤。

【請求項9】 請求項1、2、3または4記載の複素環化合物またはその医薬的に許容される塩を有効成分とする免疫疾患治療剤。

【発明の詳細な説明】

【0001】

【発明の属する技術分野】 本発明は、インターフェロン生合成誘導活性を有する新規な複素環化合物に関する。本発明の複素環化合物は、生体内において、内在性インターフェロンの生合成を誘導し、具体的には抗ウイルス剤、抗癌剤あるいは免疫疾患治療剤等の医薬として有用である。

【0002】

【従来の技術】 近年になり、生体内において内在性インターフェロンがウイルスおよび微生物感染に対する生体防御機構の中で中心的役割を果たしていると同時に、抗腫瘍、免疫調節上も重要な役割を果たしていることが明らかにされつつある。インターフェロンの大量製造技術が確立され、培養細胞より天然型インターフェロンが容易に入手可能になったこと、またインターフェロン遺伝子を導入した大腸菌内より組換えインターフェロンが大量に製造可能となったことから、これらのインターフェロンを用いて多くの研究結果が積み重ねられてきた。具体的には、インターフェロンについて、抗ウイルス作用、細胞増殖抑制作用および免疫調節作用等の多彩な生物学的作用が確かめられており、臨床ではB型およびC型肝炎等のウイルス性疾患治療剤、あるいは癌および免疫疾患治療剤として既に実用化がなされている。また、インターフェロンはB型およびC型肝炎における発癌を抑制する効果を有することも示唆されている。ところで、上記疾患の多くは他に有効な治療法がないことから、とりわけインターフェロンが重用されている。

【0003】

【発明が解決しようとする課題】 本発明の課題は、インターフェロン生合成誘導活性を有する新規な低分子化合物、並びにこれを有効成分とする抗ウイルス剤、抗癌剤、免疫疾患治療剤を提供することにある。

【0004】

【課題を解決するための手段】インターフェロンの生合成を誘導する物質としては、各種動物ウイルスや、細菌、原生動物等の微生物およびその抽出物、マイトジエン、特異抗原、免疫賦活剤が知られている。例えば、各種天然型二本鎖RNAやpoly I:Cのような合成二本鎖RNA、およびポリアクリル酸や亜塩素酸塩酸化オキシアミロース等の陰イオン性高分子化合物にインターフェロン誘導作用を有することが知られている。一方、低分子化合物では、フルオレノン類、ピリミジン誘導体、アントラキノン類、アクリジン系化合物等にインターフェロン誘導作用を持つものが見出されている (Stringfellow, D.

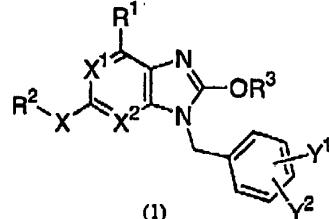
(4)

4

A.: Methods in Enzymology, 1981, 78, 262)。しかし、これらの化合物を臨床試験に用いた場合、予想外にインターフェロンの誘導能が低いことと強い副作用あるいは反復投与によるインターフェロン誘導能低下等から、これら化合物の開発には成功していない。また、イミダゾキノリン類も低分子のインターフェロン誘導剤として知られているが、これら化合物のインターフェロン選択性の誘導能は低く、IL-6 (インターロイキン6)、TNF- α (ツモアネクロシスファクター α) 等のサイトカインも同時に誘発することが知られている (Testerman, T. L., et al.: J. Leukocyte Biol., 1995, 58, 365)。

【0005】かかる背景から、本発明者らは低分子のインターフェロン生合成誘導剤を開発すべく鋭意検討した結果、本発明の複素環化合物が、顕著なインターフェロン生合成誘導活性を有することを見出し、本発明を完成するに至った。

【0006】即ち、本発明は、(a) 一般式(1)【化3】



(式中、-X-は- $\text{SO}-$ または- SO_2- を表し、 X^1 および X^2 は共に窒素原子を表すか、 X^1 は窒素原子で X^2 は CH を表すかまたは X^1 は CH で X^2 は窒素原子を表し、 R^1 はアミノ基、アルキルアミノ基、置換アルキルアミノ基、ジアルキルアミノ基または脂環式複素環基を表し、 R^2 はアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基を表し、 R^3 は水素原子、アルキル基、置換アルキル基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、アルコキシカルボニル基、置換アルコキシカルボニル基、ベンジルオキシカルボニル基または置換ベンジルオキシカルボニル基を表し、 Y^1 は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表し、 Y^2 は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル

(4)

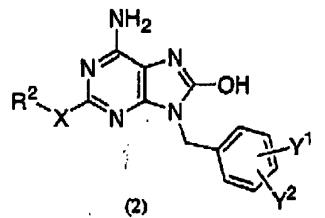
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基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表す。) で表される複素環化合物またはその医薬的に許容される塩に関する。

【0007】具体的には、本発明は (b) 一般式

(2)

【化4】



(式中、-X-は-SO-または-SO₂-を表し、R²はアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基を表し、Y¹は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表し、Y²は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表す。) で表される複素環化合物またはその医薬的に許容される塩に関する。

【0008】更に具体的には、本発明は (c) R²がアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基であり、Y¹が水素原子、アルコキシ基、置換アルコキシ基、ハロゲン原子またはニトロ基であり、Y²が水素原子、アルコキシ基、置換アルコキシ基、ハロゲン原子またはニトロ基である (a) または (b) 記載の複素環化合物またはそれらの医薬的に許容される塩に関する。

【0009】更に具体的には、本発明は (d) -X-が-SO-である (a)、(b) または (c) 記載の複素環化合物またはその医薬的に許容される塩に関する。

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【0010】また、本発明は (e) (a)、(b)、(c) または (d) 記載の化合物を有効成分とする医薬組成物または (f) (a)、(b)、(c) または (d) 記載の化合物を有効成分とするインターフェロン誘導剤に関する。

【0011】具体的には、本発明は (g) (a)、(b)、(c) または (d) 記載の化合物を有効成分とする抗ウイルス剤、(h) (a)、(b)、(c) または (d) 記載の化合物を有効成分とする抗癌剤または (i) (a)、(b)、(c) または (d) 記載の化合物を有効成分とする免疫疾患治療剤に関する。

【0012】本発明において好ましい化合物群としては (j) R³が水素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (k) R³が水素原子であり、X¹が窒素原子であり、X²が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (l) R³が水素原子であり、X¹が窒素原子であり、X²がCHである (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (m) R³が水素原子であり、X¹がCHであり、X²が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。

【0013】本発明において好ましい化合物群としては (n) R¹がアミノ基であり、R³が水素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (o) R¹がアミノ基であり、R³が水素原子であり、X¹が窒素原子であり、X²が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (p) R¹がアミノ基であり、R³が水素原子であり、X¹が窒素原子であり、X²がCHである (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (q) R¹がアミノ基であり、R³が水素原子であり、X¹がCHであり、X²が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。

【0014】本発明において好ましい化合物群としては (r) R²がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (s) R²がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、R³が水素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。

(5)

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医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (t) R^2 がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、 R^3 が水素原子であり、 X^1 が窒素原子であり、 X^2 が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (u) R^2 がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、 R^3 が水素原子であり、 X^1 が窒素原子であり、 X^2 がCHである (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (v) R^2 がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、 R^3 が水素原子であり、 X^1 がCHであり、 X^2 が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。

【0015】本発明において好ましい化合物群としては (w) R^1 がアミノ基であり、 R^2 がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、 R^3 が水素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (x) R^1 がアミノ基であり、 R^2 がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、 R^3 が水素原子であり、 X^1 が窒素原子であり、 X^2 が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (y) R^1 がアミノ基であり、 R^2 がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、 R^3 が水素原子であり、 X^1 が窒素原子であり、 X^2 がCHである (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。本発明において好ましい化合物群としては (z) R^1 がアミノ基であり、 R^2 がアルキル基、置換アルキル基、アラルキル基または置換アラルキル基であり、 R^3 が水素原子であり、 X^1 がCHであり、 X^2 が窒素原子である (a) または (d) 記載の複素環化合物またはその医薬的に許容される塩が挙げられる。

【0016】更に本発明の複素環化合物はタイプ2ヘルパーT細胞 (以下T_h2と略す。) 側のサイトカイン類 (例えば、IL-4 (インターロイキン4)、IL-5 (インターロイキン5)、IL-10 (インターロイキン10)、IL-13 (インターロイキン13) 等) の産生を抑制または調節することを本発明者らは見出した。T_h2はアレルギー反応に関与する多くのサイトカインを産生することから、アレルギー反応の制御細胞として重要視されている。例えば、IL-4はB細胞に対し、IgE抗体の産生を誘導するとともに、好酸球が血管内皮細胞に接着、組織浸潤する際に機能する重要な分子であるVCAM-1の遺伝子発

8

現も誘導する。最近では、IL-4はT_h2自身の分化増殖因子としても注目されている。また例えば、IL-5は好酸球の分化増殖および遊走、活性化を誘導し、アレルギー性炎症反応の惹起因子になる。従って、T_h2は、IgE抗体や肥満細胞が関与する即時型反応、好酸球が関与する遲発型反応という二つのアレルギー反応を制御する中心的な細胞である。即ち、アレルギー性疾患はT_h2が病的に機能亢進した状態であると言える。実際に、アレルギー性疾患病部である気道、皮膚にIL-4、IL-5等のT_h2タイプサイトカインの産生の促進、あるいはT_h2の存在が確かめられ、アレルギー性疾患の制御にはT_h2の活性化の制御または調節が重要であると注目されている (臨床医(1994) 20 : 40-46)。全身性エリテマトーデス等の抗体産生あるいは液性免疫が異常亢進状態にある自己免疫疾患においてもやはり、T_h2が病的に機能亢進した状態であるとされている (Medical Immunology (1988) 15 : 401)。従って、T_h2が病的に機能亢進した状態を抑制または調節することにより正常に戻すことまたは近づけることが、T_h2の病的機能亢進によるアレルギー性疾患 (例えば、喘息 (アトピー性喘息、非アトピー性喘息)、アレルギー性皮膚炎、アレルギー性鼻炎、アトピー性皮膚炎等)、全身性エリテマトーデス、後天性免疫不全症候群 (AIDS) の治療または予防を可能とする。

【0017】本発明は更に (a a) (a)、(b) または (d) 記載の複素環化合物またはその医薬的に許容される塩を有効成分とするT_h2の病的機能亢進の抑制剤または調節剤に関する。

【0018】本発明は更に具体的には (a b)

(a)、(b) または (d) 記載の複素環化合物またはその医薬的に許容される塩を有効成分とするインターロイキン4および/またはインターロイキン5の産生の抑制剤または調節剤に関する。

【0019】更に、本発明は、(a c) (a)、(b) または (d) 記載の複素環化合物またはその医薬的に許容される塩を有効成分とするT_h2の病的機能亢進によるアレルギー性疾患、全身性エリテマトーデスまたは後天性免疫不全症候群 (AIDS) の治療剤または予防剤に関する。

【0020】本発明は更に具体的には (a d)

(a)、(b) または (d) 記載の複素環化合物またはその医薬的に許容される塩を有効成分とするT_h2の病的機能亢進による喘息、アレルギー性皮膚炎、アレルギー性鼻炎またはアトピー性皮膚炎のアレルギー性疾患治療剤または予防剤に関する。

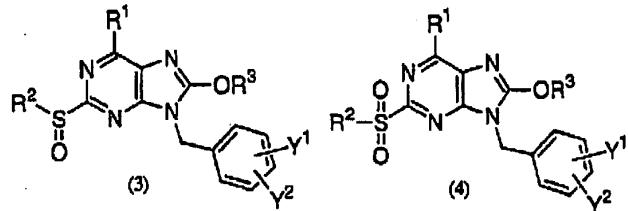
【0021】

【発明の実施の形態】本発明の式 (1) で表される化合物は、下記の式 (3)、式 (4)、式 (5)、式 (6)、式 (7) および式 (8) で表される化合物を含む。

(6)

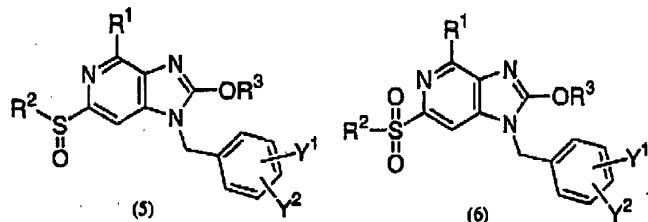
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【化5】

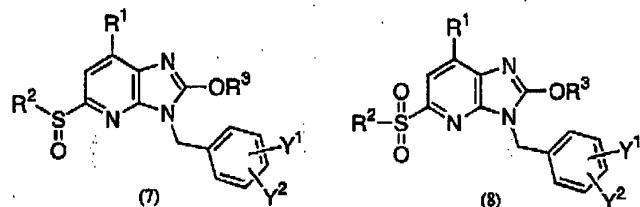


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【化6】



【化7】

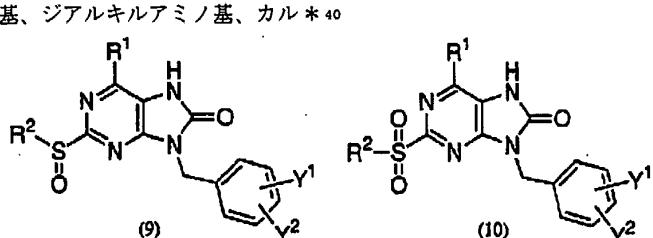


(式中、R¹はアミノ基、アルキルアミノ基、置換アルキルアミノ基、ジアルキルアミノ基または脂環式複素環基を表し、R²はアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基を表し、R³は水素原子、アルキル基、置換アルキル基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、アルコキシカルボニル基、置換アルコキシカルボニル基、ベンジルオキシカルボニル基または置換ベンジルオキシカルボニル基を表し、Y¹は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表す。) ³⁰

*バモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表し、Y²は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表す。)

【0022】なお、R³が水素原子の場合には、それぞれ下記の、式(9)、式(10)、式(11)、式(12)、式(13)および式(14)で表される互変異性体との平衡混合物になっている。

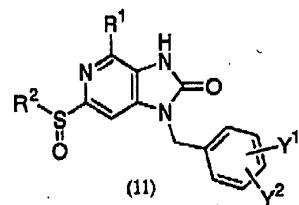
【化8】



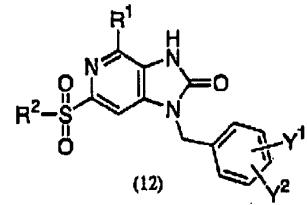
【化9】

(7)

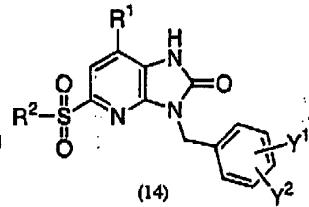
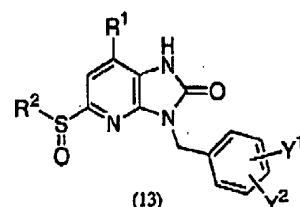
11



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【化10】



(式中、R¹はアミノ基、アルキルアミノ基、置換アルキルアミノ基、ジアルキルアミノ基または脂環式複素環基を表し、R²はアルキル基、置換アルキル基、アルケニル基、置換アルケニル基、アルキニル基、置換アルキニル基、アリール基、置換アリール基、アラルキル基、置換アラルキル基、複素環基または置換複素環基を表し、Y¹は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表し、Y²は水素原子、水酸基、アルキル基、置換アルキル基、アルコキシ基、置換アルコキシ基、アルカノイル基、置換アルカノイル基、アロイル基、置換アロイル基、カルボキシ基、アルコキシカルボニル基、置換アルコキシカルボニル基、アミノ基、アルキルアミノ基、ジアルキルアミノ基、カルバモイル基、アルキルカルバモイル基、ジアルキルカルバモイル基、ハロゲン原子、ニトロ基またはシアノ基を表す。)

【0023】本発明化合物(1)におけるR¹、R²、R³、Y¹およびY²で示される基について、以下に具体的に説明する。

【0024】R¹におけるアルキルアミノ基としては例えば、炭素数1～6の直鎖または分枝状のアルキル基(例えば、メチル基、エチル基、プロピル基、ブチル基、ペンチル基、ヘキシル基等が挙げられる。)、炭素数3～7のシクロアルキル基(例えば、シクロプロピル基、シクロペンチル基、シクロヘキシル基、シクロヘプチル基等が挙げられる。)、炭素数4～10のシクロアルキルアルキル基(例えば、シクロプロピルメチル基、シクロペンチルメチル基、シクロヘキシルメチル基、シクロヘキシルエチル基等が挙げられる。)等が挙げられる。置換基としては例えば、脂環式複素環基(例えば、窒素原子を1～2個および酸素原子を0～1個を含む脂環式複素環基等が挙げられ、具体的には、ピロリジニル基、ピペリジル基、ピペラジニル基、テトラヒドロアゼビニル基、モルホリニル基等が挙げられる。)等が挙げられる。

【0026】R¹におけるジアルキルアミノ基としては、同一または異なった炭素数1～6の直鎖あるいは分枝状のアルキル基(例えば、メチル基、エチル基、プロピル基、ブチル基、ペンチル基、ヘキシル基等が挙げられる。)等が置換したアミノ基が挙げられる。具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基、ジプロピルアミノ基等が挙げられる。

【0027】R¹における脂環式複素環基としては、例

クロヘキシルエチル基等が挙げられる。)等で置換されたアミノ基等が挙げられる。具体的には例えば、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基、ペンチルアミノ基、ヘキシルアミノ基、シクロプロピルアミノ基、シクロペンチルアミノ基、シクロヘキシルアミノ基、シクロヘプチルアミノ基、シクロプロピルメチルアミノ基、シクロペンチルメチルアミノ基、シクロヘキシルメチルアミノ、2-シクロヘキシルエチルアミノ基等が挙げられる。

【0025】R¹における置換アルキルアミノ基としては、置換基で置換されたアルキル基(例えば、炭素数1～6の直鎖または分枝状のアルキル基(例えば、メチル基、エチル基、プロピル基、ブチル基、ペンチル基、ヘキシル基等が挙げられる。)、炭素数3～7のシクロアルキル基(例えば、シクロプロピル基、シクロペンチル基、シクロヘキシル基、シクロヘプチル基等が挙げられる。)、炭素数4～10のシクロアルキルアルキル基(例えば、シクロプロピルメチル基、シクロペンチルメチル基、シクロヘキシルメチル基、シクロヘキシルエチル基等が挙げられる。)等が挙げられる。置換基としては例えば、脂環式複素環基(例えば、窒素原子を1～2個および酸素原子を0～1個を含む脂環式複素環基等が挙げられ、具体的には、ピロリジニル基、ピペリジル基、ピペラジニル基、テトラヒドロアゼビニル基、モルホリニル基等が挙げられる。)等が挙げられる。

【0028】R¹におけるジアルキルアミノ基としては、同一または異なった炭素数1～6の直鎖あるいは分枝状のアルキル基(例えば、メチル基、エチル基、プロピル基、ブチル基、ペンチル基、ヘキシル基等が挙げられる。)等が置換したアミノ基が挙げられる。具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基、ジプロピルアミノ基等が挙げられる。

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えばヘテロ原子として窒素原子を少なくとも一個を含み、その窒素原子が、母核の複素環に直接結合しているものが挙げられ、具体的には、窒素原子を1～2個および酸素原子を0～1個を含む脂環式複素環基等が挙げられる。更に具体的には、1-ピロリジニル基、1-ビペリジル基、1-ビペラジニル基、テトラヒドロアゼビン-1-イル基、4-モルホリニル基等が挙げられる。

【0028】R²におけるアルキル基としては例えば、炭素数1～10の直鎖状あるいは分枝状のアルキル基（例えばメチル基、エチル基、プロピル基、1-メチルエチル基、ブチル基、1-メチルプロピル基、2-メチルプロピル基、1, 1-ジメチルエチル基、ペンチル基、1-メチルブチル基、2-メチルブチル基、3-メチルブチル基、1, 1-ジメチルプロピル基、1, 2-ジメチルプロピル基、2, 2-ジメチルプロピル基等が挙げられる。）、炭素数3～7のシクロアルキル基（例えば、シクロプロピル基、シクロペンチル基、シクロヘキシル基、シクロヘプチル基等が挙げられる。）、炭素数4～10のシクロアルキルアルキル基（例えば、シクロプロピルメチル基、シクロペンチルメチル基、シクロヘキシルメチル基、シクロヘキシルエチル基等が挙げられる。）等が挙げられる。好ましいものとしては例えば、炭素数1～6の直鎖状あるいは分枝状のアルキル基（例えば、メチル基、エチル基、プロピル基、1-メチルエチル基、ブチル基、1-メチルプロピル基、2-メチルプロピル基、1, 1-ジメチルエチル基、ペンチル基、1-メチルブチル基、2-メチルブチル基、3-メチルブチル基、1, 1-ジメチルプロピル基、1, 2-ジメチルプロピル基、2, 2-ジメチルプロピル基等が挙げられる。）、炭素数5～7のシクロアルキル基（例えば、シクロペンチル基、シクロヘキシル基等が挙げられる。）、炭素数5～8のシクロアルキルアルキル基（例えば、シクロヘキシルメチル基等が挙げられる。）等が挙げられる。

【0029】R²における置換アルキル基としては、一つまたは同一あるいは異なる複数個の置換基で置換された炭素数1～10の直鎖状あるいは分枝状のアルキル基（例えばメチル基、エチル基、プロピル基、1-メチルエチル基、ブチル基、1-メチルプロピル基、2-メチルプロピル基、1, 1-ジメチルエチル基、ペンチル基、1-メチルブチル基、2-メチルブチル基、3-メチルブチル基、1, 1-ジメチルプロピル基、1, 2-ジメチルプロピル基、2, 2-ジメチルプロピル基等が挙げられる。）、炭素数3～7のシクロアルキル基（例えば、シクロペンチル基、シクロヘキシル基、シクロヘプチル基等が挙げられる。）、炭素数4～10のシクロアルキルアルキル基（例えば、シクロプロピルメチル基、シクロペンチルメチル基、シクロヘキシルメチル基、シクロヘキシルエチル基等が挙げられる。）等が挙げられる。当該置換基としては具体的には、水酸基、炭

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素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基等が挙げられる。）、アミノ基、アルキルアミノ基（例えば、炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例えば、同一または異なる2個の炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、カルバモイル基、アルキルカルバモイル基（例えば、炭素数1～6のアルキル基で置換されたカルバモイル基が挙げられ、具体的には、メチルカルバモイル基、エチルカルバモイル基、プロピルカルバモイル基、ブチルカルバモイル基等が挙げられる。）、ジアルキルカルバモイル基（例えば、同一または異なる炭素数1～6のアルキル基で置換されたカルバモイル基が挙げられ、具体的には、ジメチルカルバモイル基、ジエチルカルバモイル基、エチルメチルカルバモイル基等が挙げられる。）、炭素数1～6アルカノイルアミノ基（例えば、ホルミルアミノ基、アセチルアミノ基、プロパノイルアミノ基、ブタノイルアミノ基、ペンタノイルアミノ基、ヘキサノイルアミノ基等が挙げられる。）、炭素数7～11のアロイルアミノ基（例えば、ベンゾイルアミノ基、p-トルオイルアミノ基、ナフトイルアミノ基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）、脂環式複素環基（例えば、窒素原子を1～2個および酸素原子0～1個を含む複素環基等が挙げられ、具体的には、ピロリジニル基、ピペリジル基、ピペラジニル基、モルホリニル基等が挙げられる。）が挙げられる。

【0030】R²におけるアルケニル基としては例えば、炭素数2～10の直鎖状あるいは分枝状のアルケニル基（例えば、2-プロペニル基、2-ブテニル基、2-メチル-2-プロペニル基、2-ペンテニル基、3-メチル-2-ブテニル基、3-メチル-2-ヘキセニル基等が挙げられる。）、炭素数5～8シクロアルケニル基（例えば、シクロ-2-ヘキセニル基等が挙げられる。）、炭素数6～10のシクロアルケニルアルキル基（例えば、シクロ-1-ヘキセニルメチル基等が挙げられる。）等が挙げられる。

【0031】R²における置換アルケニル基とは、一つまたは複数個の置換基で置換された炭素数2～10の直

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鎖状あるいは分枝状のアルケニル基（例えば、2-プロペニル基、2-ブテニル基、2-メチル-2-プロペニル基、2-ペンテニル基、3-メチル-2-ブテニル基、3-メチル-2-ヘキセニル基等が挙げられる。）、炭素数5～8シクロアルケニル基（例えば、シクロ-2-ヘキセニル基等が挙げられる。）、炭素数6～10のシクロアルケニルアルキル基（例えば、シクロ-1-ヘキセニルメチル基等が挙げられる）等が挙げられる。当該置換基としては具体的には、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、ブロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基等が挙げられる。）、アミノ基、アルキルアミノ基（例えば、炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例えば同一または異なった2個の炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）、脂環式複素環基（例えば窒素原子を1～2個および酸素原子0～1個を含む複素環基等が挙げられ、具体的には、ピロリジニル基、ピペリジル基、ピペラジニル基、モルホリニル基等が挙げられる。）等が挙げられる。

〔0032〕 R^2 におけるアルキニル基としては例え
ば、炭素数2～10の直鎖または分枝状のアルキニル基
等が挙げられ、具体的には、2-プロピニル基、2-ブ
チニル基、2-ベンチニル基、4-メチル-2-ベンチ
ニル基、4-メチル-2-ヘキシニル基、4-メチル-
2-ヘプチニル基等が挙げられる。

【0033】 R^2 における置換アルキニル基としては、一つまたは同一あるいは異なった複数個の置換基で置換された炭素数2～10の直鎖または分枝状のアルキニル基（具体的には、2-プロピニル基、2-ブチニル基、2-ペンチニル基、4-メチル-2-ペンチニル基、4-メチル-2-ヘプチニル基等が挙げられる。）が挙げられる。当該置換基としては具体的には、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベン

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ゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基等が挙げられる。）、アミノ基、アルキルアミノ基（例えば、炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例えば、同一または異なった2個の炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）、脂環式複素環基（例えば窒素原子を1～2個および酸素原子0～1を含む複素環基等が挙げられ、具体的には、ピロリジニル基、ピペリジル基、ピペラジニル基、モルホリニル基等が挙げられる。）等が挙げられる。

【0034】 R^2 におけるアリール基としては例えば、炭素数6～10の単環式または縮環式アリール基が挙げられ、具体的には、フェニル基、ナフチル基等が挙げられる。
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【0035】R²における置換アリール基としては例えば、一つまたは同一あるいは異なった複数個の置換基で置換された炭素数6～10の単環式または縮環式アリール基（具体的には、フェニル基、ナフチル基等が挙げられる。）が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、ア

30 セチル基、プロパノイル基、ブタノイル基、ペントノイル基、ヘキサノイル基等が挙げられる。)、炭素数7～11のアロイル基(例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。)、カルボキシ基、炭素数2～11のアルコキシカルボニル基(例えば、メトキシカルボニル基、エトキシカルボニル基、ベンジルオキシカルボニル基等が挙げられる。)、アミノ基、アルキルアミノ基(例えば炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。)、ジアルキルアミノ基(例えば、同一あるいは異なった2つの炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。)、カルバモイル基、アルキルカルバモイル基(例えば炭素数1～6のアルキル基で置換されたカルバモイル基が挙げられ、具体的には、メチルカルバモイル基、エチルカルバモイル基、プロピルカルバモイル基、ブチルカルバモイル基等が挙げられる。)、ジアルキルカルバモイル基(例えば、同一あるいは異なった2つの炭素数1～6のアルキル基で置換さ

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れたカルバモイル基が挙げられ、具体的には、ジメチルカルバモイル基、ジエチルカルバモイル基、エチルメチルカルバモイル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）、ニトロ基、シアノ基等が挙げられる。

【0036】 R^2 におけるアラルキル基としては例えば、炭素数6～10の単環式または縮環式のアリール基で置換された炭素数1～6のアルキル基が挙げられ、具体的には、ベンジル基、フェネチル基等が挙げられる。

【0037】 R^2 における置換アラルキル基とは例えば、一つまたは同一あるいは異なる複数個の置換基で置換された炭素数6～10の単環式または縮環式アリール基で置換された炭素数1～6のアルキル基が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～11のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、ベンジルオキシカルボニル基等が挙げられる。）、アミノ基、アルキルアミノ基（例えば、炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例えば、同一あるいは異なる2つの炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、カルバモイル基、アルキルカルバモイル基（例えば炭素数1～6のアルキル基で置換されたカルバモイル基が挙げられ、具体的には、メチルカルバモイル基、エチルカルバモイル基、プロピルカルバモイル基、ブチルカルバモイル基等が挙げられる。）、ジアルキルカルバモイル基（例えば、同一あるいは異なる2つの炭素数1～6のアルキル基で置換されたカルバモイル基が挙げられ、具体的には、ジメチルカルバモイル基、ジエチルカルバモイル基、エチルメチルカルバモイル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）、ニトロ基、シアノ基等が挙げられる。

【0038】 R^2 における複素環基としては、ヘテロ原子を少なくとも1個含む、窒素原子が0～3個および酸素原子が0～1個または硫黄原子が0～1個を含む単環式の飽和複素環基、あるいは単環式または縮環式の不飽和複素環基を表す。ここで単環式飽和複素環基とは例えば、テトラヒドロフラニル基、ピロリジニル基、モルホリニル基、ピペリジル基、ピペラジニル基、ピラゾリジニル基等の五員環または六員環を形成する飽和複素環基を表す。

ニル基等の五員環または六員環を形成する飽和複素環基を表す。单環式不飽和複素環基とは例えば、フリル基、ピロリル基、ピラゾリル基、イミダゾリル基、チアゾリル基、チエニル基、ピリジル基、ピリミジニル基等の五員環または六員環を形成する不飽和複素環基を表す。縮環式不飽和複素環基とは例えば、インドリル基、イソイントドリル基、キノリル基、ベンゾチアゾリル基、クロマニル基、ベンゾフラニル基等の2環性の不飽和複素環基を表す。

【0039】 R^2 における置換複素環基とは、一つまたは同一あるいは異なる複数個の置換基で置換された複素環基が挙げられる。当該複素環基としては、ヘテロ原子を少なくとも1個含む、窒素原子が0～3個および酸素原子が0～1個または硫黄原子が0～1個を含む、単環式の飽和複素環基、あるいは単環式または縮環式の不飽和複素環基を表す。ここで単環式飽和複素環基とは例えば、テトラヒドロフラニル基、ピロリジニル基、モルホリニル基、ピペリジル基、ピペラジニル基、ピラゾリジニル基等の五員環または六員環を形成する飽和複素環基を表す。单環式不飽和複素環基とは例えば、フリル基、ピロリル基、ピラゾリル基、イミダゾリル基、チアゾリル基、チエニル基、ピリジル基、ピリミジニル基等の五員環または六員環を形成する不飽和複素環基を表す。縮環式不飽和複素環基とは例えば、インドリル基、イソイントドリル基、キノリル基、ベンゾチアゾリル基、クロマニル基、ベンゾフラニル基等の2環性の不飽和複素環基を表す。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～

6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～11のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、ベンジルオキシカルボニル基等が挙げられる。）、アミノ基、アルキルアミノ基（例えば炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例え

ば、同一あるいは異なる2つの炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）、ニトロ基、シアノ基等が挙げられる。

【0040】 R^3 におけるアルキル基としては例えば、炭素数1～6の直鎖状あるいは分枝状のアルキル基が挙げられ、具体的には、メチル基、エチル基、プロピル

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基、1-メチルエチル基、ブチル基、1-メチルプロピル基、2-メチルプロピル基、1, 1-ジメチルエチル基、ペンチル基、1-メチルブチル基、2-メチルブチル基、3-メチルブチル基、1, 1-ジメチルプロピル基、1, 2-ジメチルプロピル基、2, 2-ジメチルプロピル基等が挙げられる。

【0041】 R^3 における置換アルキル基とは、一つまたは同一あるいは異なる複数個の置換基で置換された炭素数1～6の直鎖状あるいは分枝状のアルキル基が挙げられる（例えば、メチル基、エチル基、プロピル基、1-メチルエチル基、ブチル基、1-メチルプロピル基、2-メチルプロピル基、1, 1-ジメチルエチル基、ペンチル基、1-メチルブチル基、2-メチルブチル基、3-メチルブチル基、1, 1-ジメチルプロピル基、1, 2-ジメチルプロピル基、2, 2-ジメチルプロピル基等が挙げられる。）。当該置換基としては具体的には、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、炭素数1～6のアルカノイルオキシ基（例えば、ホルミルオキシ基、アセチルオキシ基、プロパノイルオキシ基、ブタノイルオキシ基、ペンタノイルオキシ基、ヘキサノイルオキシ基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基等が挙げられる。）、アミノ基、アルキルアミノ基（例えば、炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例えば同一または異なる2個の炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0042】 R^3 におけるアルカノイル基としては例えば、炭素数1～6のアルカノイル基が挙げられ、具体的には、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。

【0043】 R^3 における置換アルカノイル基とは、一つまたは同一あるいは異なる複数個の置換基で置換された炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペン

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タノイル基、ヘキサノイル基等が挙げられる。）等が挙げられる。当該置換基としては具体的には、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基等が挙げられる。）、アミノ基、アルキルアミノ基（例えば、炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例えば同一または異なる2個の炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0044】 R^3 におけるアロイル基としては例えば、炭素数7～11のアロイル基が挙げられ、具体的には、ベンゾイル基、ナフトイル基等が挙げられる。

【0045】 R^3 における置換アロイル基としては例えば、一つまたは複数個の置換基で置換された炭素数7～11のアロイル基（例えば、ベンゾイル基、ナフトイル基等が挙げられる。）が挙げられる。当該置換基としては具体的には、水酸基、炭素数1～6のアルコキシ基

（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）、炭素数7～11のアロイル基（例えば、ベンゾイル基、p-トルオイル基、ナフトイル基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基等が挙げられる。）等が挙げられる。

【0046】 R^3 におけるアルコキシカルボニル基としては例えば、炭素数2～7のアルコキシカルボニル基等が挙げられ、具体的には、メトキシカルボニル基、エト

キカルボニル基等が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。）、ジアルキルアミノ基（例えば同一または異なる2個の炭素数1～6のアルキル基で置換されたアミノ基等が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0047】 R^3 におけるアルコキシカルボニル基としては例えば、炭素数2～7のアルコキシカルボニル基等が挙げられ、具体的には、メトキシカルボニル基、エト

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キシカルボニル基、プロポキシカルボニル基等が挙げられる。

【0047】R³における置換アルコキシカルボニル基としては、一つまたは同一あるいは異なった複数個の置換基で置換された炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）等が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0048】R³における置換ベンジルオキシカルボニル基としては、一つまたは同一あるいは異なった複数個の置換基で置換されたベンジルオキシカルボニル基等が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0049】Y¹およびY²におけるアルキル基としては、炭素数1～6のアルキル基が挙げられ、具体的には、具体的には、メチル基、エチル基、プロピル基、1-メチルエチル基、ブチル基、1-メチルプロピル基、2-メチルプロピル基、1, 1-ジメチルエチル基、ペンチル基、1-メチルブチル基、2-メチルブチル基、3-メチルブチル基、1, 1-ジメチルプロピル基、1, 2-ジメチルプロピル基、2, 2-ジメチルプロピル基等が挙げられる。

【0050】Y¹およびY²における置換アルキル基としては例えば一つまたは同一あるいは異なった複数個の置換基で置換された炭素数1～6のアルキル基（例えば、メチル基、エチル基、プロピル基、1-メチルエチル基、ブチル基、1-メチルプロピル基、2-メチルプロピル基、1, 1-ジメチルエチル基、ペンチル基、1-メチルブチル基、2-メチルブチル基、3-メチルブチル基、1, 1-ジメチルプロピル基、1, 2-ジメチルプロピル基、2, 2-ジメチルプロピル基等が挙げられる。）が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハロゲン原子（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

ゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0051】Y¹およびY²におけるアルコキシ基としては例えば、炭素数1～6のアルコキシ基が挙げられ、具体的には、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。

【0052】Y¹およびY²における置換アルコキシ基としては、一つまたは同一あるいは異なった複数個の置換基で置換された炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0053】Y¹およびY²におけるアルカノイル基としては、炭素数1～6のアルカノイル基が挙げられ、具体的には、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。

【0054】Y¹およびY²における置換アルカノイル基とは、一つまたは同一あるいは異なった複数個の置換基で置換された炭素数1～6のアルカノイル基（例えば、ホルミル基、アセチル基、プロパノイル基、ブタノイル基、ペンタノイル基、ヘキサノイル基等が挙げられる。）が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0055】Y¹およびY²におけるアロイル基としては例えば炭素数7～11のアロイル基が挙げられ、具体的には、ベンゾイル基、ナフトイル基等が挙げられる。

【0056】Y¹およびY²における置換アロイル基としては例えば、一つまたは同一あるいは異なった複数個の置換基で置換された炭素数7～11のアロイル基（例えば、ベンゾイル基、ナフトイル基等が挙げられる。）等が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハロゲン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が

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挙げられる。

【0057】Y¹およびY²におけるアルコキシカルボニル基としては例えば、炭素数2～7のアルコキシカルボニル基等が挙げられ、具体的には、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。

【0058】Y¹およびY²における置換アルコキシカルボニル基としては、一つまたは同一あるいは異なった複数個の置換基で置換された炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）等が挙げられる。当該置換基としては例えば、水酸基、炭素数1～6のアルコキシ基（例えば、メトキシ基、エトキシ基、プロポキシ基等が挙げられる。）、カルボキシ基、炭素数2～7のアルコキシカルボニル基（例えば、メトキシカルボニル基、エトキシカルボニル基、プロポキシカルボニル基等が挙げられる。）、ハログン原子（例えば、フッ素、塩素、臭素等が挙げられる。）等が挙げられる。

【0059】Y¹およびY²におけるアルキルアミノ基としては例えば炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、メチルアミノ基、エチルアミノ基、プロピルアミノ基、ブチルアミノ基等が挙げられる。

【0060】Y¹およびY²におけるジアルキルアミノ基としては例えば、同一または異なった炭素数1～6のアルキル基で置換されたアミノ基が挙げられ、具体的には、ジメチルアミノ基、ジエチルアミノ基、エチルメチルアミノ基等が挙げられる。

【0061】Y¹およびY²におけるアルキルカルバモイル基としては例えば炭素数1～6のアルキル基で置換されたカルバモイル基が挙げられ、具体的には、メチルカルバモイル基、エチルカルバモイル基、プロピルカルバモイル基、ブチルカルバモイル基等が挙げられる。

【0062】Y¹およびY²におけるジアルキルカルバモイル基としては例えば、同一または異なった炭素数1～6のアルキル基で置換されたカルバモイル基が挙げられ、具体的には、ジメチルカルバモイル基、ジエチルカルバモイル基、エチルメチルカルバモイル基等が挙げられる。

【0063】Y¹およびY²におけるハロゲン原子としては例えば、フッ素、塩素、臭素等が挙げられる。本明細書中で特に定義せずに用いられている基を以下に詳述する。アルキル基としては例えば、炭素数1～6の直鎖または分枝状のアルキル基（具体的には、メチル基、エチル基、プロピル基、ブチル基、ペンチル基、ヘキシル基等が挙げられる。）、炭素数3～7のシクロアルキル基（例えば、シクロプロピル基、シクロペンチル基、シクロヘキシル基、シクロヘプチル基等が挙げられる。）、炭素数4～10のシクロアルキルアルキル基（例えば、

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シクロプロピルメチル基、シクロペンチルメチル基、シクロヘキシルメチル基、シクロヘキシルエチル基等が挙げられる。）等が挙げられる。

【0064】アルキルアミノ基、ジアルキルアミノ基におけるアルキル基としては例えば、炭素数1～6の直鎖または分枝状のアルキル基が挙げられ、具体的には、メチル基、エチル基、プロピル基、ブチル基、ペンチル基、ヘキシル基等が挙げられる。

【0065】置換アルキルアミノ基としては、置換基で置換された上記アルキル基が挙げられる。置換基としては例えば、脂環式複素環基（例えば、窒素原子を1～2個および酸素原子を0～1個を含む脂環式複素環基等が挙げられ、具体的には、ピロリジニル基、ピペリジル基、ピペラジニル基、テトラヒドロアゼビニル基、モルホリニル基等が挙げられる。）等が挙げられる。

【0066】脂環式複素環基としては例えばヘテロ原子として窒素原子を少なくとも一個を含むものが挙げられ、例えば、窒素原子を1～2個および酸素原子を0～1個を含む脂環式複素環基等が挙げられる。更に具体的には、ピロリジニル基、ピペリジル基、ピペラジニル基、テトラヒドロアゼビン-1-イル基、モルホリニル基等が挙げられる。

【0067】本発明化合物は酸と塩を形成することができる。酸として好ましいものとしては医薬的に許容される酸が挙げられる。具体的には、塩酸、硫酸、臭化水素酸等の無機酸、酢酸、シュウ酸、クエン酸、リンゴ酸、酒石酸、フマル酸、マレイン酸等の有機酸が挙げられる。また、酸性置換基を有する場合には、塩基と塩を形成することができる。塩基として好ましいものとしては医薬的に許容される塩基が挙げられる。具体的には、ナトリウム、カリウム等のアルカリ金属等の無機塩基、トリエチルアミン、ピリジン等の有機塩基等が挙げられる。

【0068】本発明に具体的に含まれる化合物としては例えば、以下の化合物が挙げられる。表中、Hは水素原子を表す。aminoはアミノ基を、methylはメチル基を、ethylはエチル基を、propylはプロピル基を、butylはブチル基を、pentylはペンチル基を、hexylはヘキシル基を、heptylはヘプチル基を、methylethylはメチルエチル基を、methylpropylはメチルプロピル基を、dimethylethylはジメチルエチル基を、methylbutylはメチルブチル基を、dimethylpropylはジメチルプロピル基を、methylpentylはメチルペンチル基を、dimethylbutylはジメチルブチル、ethylbutylはエチルブチル基を、trimethylpropylはトリメチルプロピル基を、cyclopropylはシクロプロピル基を、cyclopentylはシクロペンチル基を、cyclohexylはシクロヘキシル基を、cycloheptylはシクロヘプチル基を、cyclopropylmethylはシクロプロピルメチル基を、cyclopentylmethylはシクロペンチルメチル基を、cyclohexylmethylはシクロヘキシルメチル基を、cyclohexylmethylはシクロヘキシルメチル基を、

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cycloheptylmethylはシクロヘプチルメチル基を、cyclopropylethylはシクロプロピルエチル基を、cyclopentylethylはシクロペンチルエチル基を、cyclohexylethylはシクロヘキシリエチル基を、cycloheptylethylはシクロヘプチルエチル基を、cyclopropylpropylはシクロプロピルプロピル基を、cyclopentylpropylはシクロペンチルプロピル基を、cyclohexylpropylはシクロヘキシルプロピル基を、cycloheptylpropylはシクロヘプチルプロピル基を、cyclopropylbutylはシクロプロピルブチル基を、cyclopentylbutylはシクロペンチルブチル基を、cyclohexylbutylはシクロヘキシリブチル基を、cycloheptylbutylはシクロヘプチルブチル基を、cyclopentylbutylはシクロペンチルブチル基を、cyclohexylbutylはシクロヘキシリブチル基を、cycloheptylbutylはシクロヘプチルブチル基を、cyclopropylpentylはシクロプロピルペンチル基を、cyclopentylpentylはシクロペンチルペンチル基を、cyclohexylpentylはシクロヘキシリペンチル基を、cycloheptylpentylはシクロヘプチルペンチル基を、methoxyhexylはメトキシヘキシル基を、methoxypentylはメトキシペンチル基を、methoxybutylはメトキシブチル基を、methoxypentylはメトキシプロピル基を、methoxyethylはメトキシエチル基を、fluorohexylはフルオロヘキシル基を、fluoropentylはフルオロペンチル基を、fluorobutylはフルオロブチル基を、fluoropropylはフルオロプロピル基を、fluoroethylはフルオロエチル基を、chlorohexylはクロロヘキシル基を、chloropentylはクロロペンチル基を、chlorobutylはクロロブチル基を、chloropropylはクロロプロピル基を、chloroethylはクロロエチル基を、hydroxyhexylはヒドロキシヘキシル基を、hydroxypentylはヒドロキシペンチル基を、hydroxybutylはヒドロキシブチル基を、hydroxypropylはヒドロキシプロピル基を、hydroxyethylはヒドロキシエチル基を、carboxyhexylはカルボキシヘキシル基を、carboxypentylはカルボキシペンチル基を、carboxybutylはカルボキシブチル基を、carboxypropylはカルボキシプロピル基を、carboxyethyl是カルボキシエチル基を、methoxycarbonylhexylはメトキシカルボニルヘキシル基を、methoxycarbonylpentylはメトキシカルボニルペンチル基を、methoxycarbonylbutylはメトキシカルボニルブチル基を、methoxycarbonylpropylはメトキシカルボニルプロピル基を、methoxycarbonylethylはメトキシカルボニルエチル基を、carbamoylhexylはカルバモイルヘキシル基を、carbamoylpentylはカルバモイルペンチル基を、carbamoylbutylはカルバモイルブチル基を、carbamoylpropylはカルバモイルプロピル基を、carbamoylethylはカルバモイルエチル基を、dimethylcarbamoylpentylはジメチルカルバモイルペンチル基を、dimethylcarbamoylbutylはジメチルカルバモイルブチル基を、dimethylcarbamoylpropylはジメチルカルバモイルプロピル基を、dimethylcarbamylethylはジメチルカルバモイルエチル基を、dimethylcarbamylhexylはジメチルカルバモイルヘキシル基を、dimethylcarbamylpentylはジメチルカルバモイルペンチル基を、dimethylcarbamylbutylはジメチルカルバモイルブチル基を、dimethylcarbamylpropylはジメチルカルバモイルプロピル基を、dimethylcarbamylethylはジメチルカルバモイルエチル基を、ethoxypentylはエトキシペンチル基を、ethoxybutylはエトキシブチル基を、ethoxypropylはエトキシプロピル基を、ethoxyethylはエトキシエチル基を、propoxypropylはプロポキシプロピル基を、propoxylethylはプロポキシエチル基を、benzylはベンジル基を、phenylethylはフェニルエチル基を、fluorobenzylはフルオロベンジル基を、difluorobenzylはジフルオロベンジル基を、chrolobenzylはクロロベンジル基を、dichrolobenzylはジクロロベンジル基を、methoxybenzyl

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rbamoylpentylはメチルカルバモイルペンチル基を、met hylcarbamoylbutylはメチルカルバモイルブチル基を、m ethylcarbamoylpropylはメチルカルバモイルプロピル基を、methylcarbamylethylはメチルカルバモイルエチル基を、ethylcarbamoylhexylはエチルカルバモイルヘキシル基を、ethylcarbamoylpentylはエチルカルバモイルペンチル基を、ethylcarbamoylbutylはエチルカルバモイルブチル基を、ethylcarbamoylpropylはエチルカルバモイルプロピル基を、ethylcarbamylethylはエチルカルバモイルエチル基を、diethylcarbamoylhexylはジエチルカルバモイルヘキシル基を、diethylcarbamoylpentylはジエチルカルバモイルペンチル基を、diethylcarbamoylbutylはジエチルカルバモイルブチル基を、diethylcarbamoylpropylはジエチルカルバモイルプロピル基を、diethylcarbamylethylはジエチルカルバモイルエチル基を、trifluorohexylはトリフルオロヘキシル基を、trifluoropentylはトリフルオロペンチル基を、trifluorobutylはトリフルオロブチル基を、trifluoropropylはトリフルオロプロピル基を、trifluoroethylはトリフルオロエチル基を、aminohexylはアミノヘキシル基を、aminopentylはアミノペンチル基を、aminobutylはアミノブチル基を、aminopropylはアミノプロピル基を、aminoethylはアミノエチル基を、methylaminohexylはメチルアミノヘキシル基を、methylaminopentylはメチルアミノペンチル基を、methylaminobutylはメチルアミノブチル基を、methylaminopropylはメチルアミノプロピル基を、methylaminethylはメチルアミノエチル基を、dimethylaminohexylはジメチルアミノヘキシル基を、dimethylaminopentylはジメチルアミノペンチル基を、dimethylaminobutylはジメチルアミノブチル基を、dimethylaminopropylはジメチルアミノプロピル基を、dimethylaminoethylはジメチルアミノエチル基を、acetylaminohexylはアセチルアミノヘキシル基を、acetylaminopentylはアセチルアミノペンチル基を、acetylaminobutylはアセチルアミノブチル基を、acetylaminopropylはアセチルアミノプロピル基を、acetylaminoeethylはアセチルアミノエチル基を、benzoylaminohexylはベンゾイルアミノヘキシル基を、benzoylaminopentylはベンゾイルアミノペンチル基を、benzoylaminobutylはベンゾイルアミノブチル基を、benzoylaminopropylはベンゾイルアミノプロピル基を、benzoylaminoeethylはベンゾイルアミノエチル基を、ethoxypentylはエトキシペンチル基を、ethoxybutylはエトキシブチル基を、ethoxypropylはエトキシプロピル基を、ethoxyethylはエトキシエチル基を、propoxypropylはプロポキシプロピル基を、propoxylethylはプロポキシエチル基を、benzylはベンジル基を、phenylethylはフェニルエチル基を、fluorobenzylはフルオロベンジル基を、difluorobenzylはジフルオロベンジル基を、chrolobenzylはクロロベンジル基を、dichrolobenzylはジクロロベンジル基を、methoxybenzyl

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1はメトキシベンジル基を、dimethoxybenzylはジメトキシベンジル基を、trimethoxybenzylはトリメトキシベンジル基を、hydroxybenzylはヒドロキシベンジル基を、dihydroxybenzylはジヒドロキシベンジル基を、methylbenzylはメチルベンジル基を、aminobenzylはアミノベンジル基を、dimethylaminobenzylはジメチルアミノベンジル基を、carbamoylbenzylはカルバモイルベンジル基を、dimethylcarbamoylbenzylはジメチルカルバモイルベンジル基を、methylcarbamoylbenzylはメチルカルバモイルベンジル基を、cyanobenzylはシアノベンジル基を、phenoxybenzylはフェノキシベンジル基を、carboxybenzylはカルボキシベンジル基を、methoxycarbonylbenzylはメトキカルボニルベンジル基を、acetylbenzylはアセチルベンジル基を、benzoylbenzylはベンゾイルベンジル基を、phenylはフェニル基を、fluorophenylはフルオロフェニル基を、difluorophenylはジフルオロフェニル基を、chlorophenylはクロロフェニル基を、dichlorophenylはジクロロフェニル基を、methoxyphenylはメキシフェニル基を、dimethoxyphenylはジメトキシフェニル基を、trimethoxyphenylはトリメトキシフェニル基を、hydroxyphenylはヒドロキシフェニル基を、dihydroxyphenylはジヒドロキシフェニル基を、methylphenylはメチルフェニル基を、aminophenylはアミノフェニル基を、dimethylaminophenylはジメチルアミノフェニル基を、methylphenylはメチルフェニル基を、cyanophenylはシアノフェニル基を、phenoxyphenylはフェノキシフェニル基を、carboxyphenylはカルバモイルフェニル基を、methycarbamoylphenylはメチカルバモイルフェニル基を、dimethylcarbamoylphenylはジメチルカルバモイルフェニル基を、carboxyphenylはカルボキシフェニル基を、

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ニル基を、methoxycarbonylphenylはメトキカルボニルフェニル基を、acetylphenylはアセチルフェニル基を、benzoylphenylはベンゾイルフェニル基を、(4-fluorophenyl)ethylは(4-フルオロフェニル)エチル基を、(4-chlorophenyl)ethylは(4-クロロフェニル)エチル基を、(4-methoxyphenyl)ethylは(4-メトキシフェニル)エチル基を、chloroは塩素原子を、fluoroはフッ素原子を、bromoは臭素原子を、nitroはニトロ基を、methoxyはメトキシ基を、acetylはアセチル基を、benzoylはベンゾイル基を、cyanoはシアノ基を、carbamoylはカルバモイル基を、dimethylcarbamoylはジメチルカルバモイル基を、methylcarbamoylはメチルカルバモイル基を、methoxycarbonylはメトキカルボニルを、dimethylaminoはジメチルアミノ基を、methylaminoはメチルアミノ基を、trifluoromethylはトリフルオロメチル基を、fluoromethylはフルオロメチル基、hydroxyはヒドロキシ基(水酸基)を、fluorobenzoylはフルオロベンゾイル基を、methylbenzoylはメチルベンゾイル基を、chloroacetylはクロロアセチル基を、ethoxycarbonylはエトキカルボニル基を、carboxy是カルボキシ基を、chloromethoxyはクロロメトキシ基、ethylaminoはエチルアミノ基を、propylaminoはプロピルアミノ基を、piperazinylはピペラジニル基を、pyrrolidinylはピロリジニル基を、pyrrolidinylmethylaminoはピロリジニルメチルアミノ基を、ethoxycarbonylはエトキカルボニル基を表す。Y¹およびY²において例えば2-chloroとあるのは、ベンゼン環の2位に塩素原子が置換されていることを意味する。

【0069】表1

【表1】

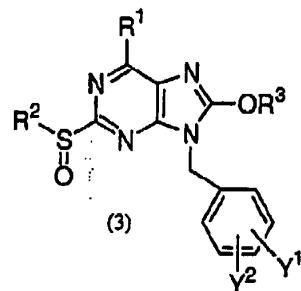
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表 1



R ¹	R ²	R ³	Y ¹	Y ²
amino	H	H	H	H
amino	methyl	H	H	H
amino	ethyl	H	H	H
amino	propyl	H	H	H
amino	methylethyl	H	H	H
amino	butyl	H	H	H
amino	1-methylpropyl	H	H	H
amino	2-methylpropyl	H	H	H
amino	dimethylethyl	H	H	H
amino	pentyl	H	H	H
amino	1-methylbutyl	H	H	H
amino	2-methylbutyl	H	H	H
amino	1,1-dimethylpropyl	H	H	H
amino	1,2-dimethylpropyl	H	H	H
amino	2,2-dimethylpropyl	H	H	H
amino	hexyl	H	H	H
amino	1-methylpentyl	H	H	H
amino	2-methylpentyl	H	H	H
amino	3-methylpentyl	H	H	H
amino	4-methylpentyl	H	H	H
amino	1,1-dimethylbutyl	H	H	H
amino	1,2-dimethylbutyl	H	H	H
amino	1,3-dimethylbutyl	H	H	H
amino	2,2-dimethylbutyl	H	H	H
amino	2,3-dimethylbutyl	H	H	H
amino	3,3-dimethylbutyl	H	H	H
amino	1-ethylbutyl	H	H	H
amino	2-ethylbutyl	H	H	H
amino	1,1,2-trimethylpropyl	H	H	H

続く

[表 2]

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ジン等のピリジン類等の有機塩基が挙げられる。有機溶媒としては例えば、塩化メチレン等のハロゲン化炭素系溶媒、ジエチルエーテル、テトラヒドロフラン等のエーテル系溶媒、ジメチルホルムアミド、ジメチルスルホキシド、アセトニトリル等の非プロトン性溶媒等が挙げられる。反応温度は例えば、約0℃から溶媒の沸点付近までの範囲から選択される。

【0113】化合物(46)は、化合物(45)と臭素(Br₂)を有機溶媒中、反応させることにより得ることができる。反応において例えば、酢酸ナトリウム等の反応助剤を加えてもよい。有機溶媒としては例えば、四塩化炭素、塩化メチレン、ジクロロエタン等のハロゲン化炭素系溶媒、ジエチルエーテル等のエーテル系溶媒、酢酸、二硫化炭素等が挙げられる。反応温度は例えば、約0℃から溶媒の沸点付近までの範囲から選択される。

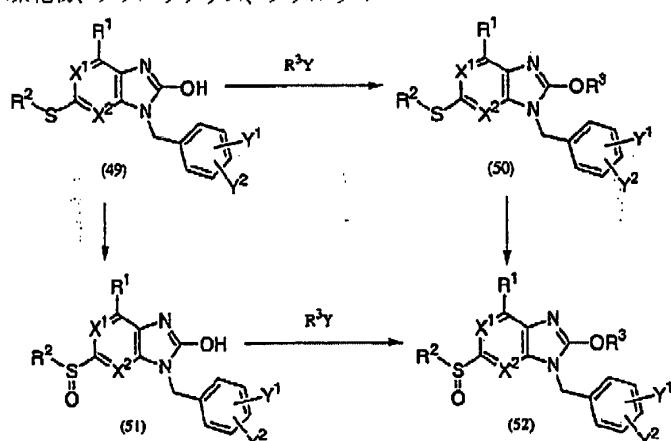
【0114】化合物(47)は、化合物(46)とR⁶OH(例えば、メタノール等のアルコール化合物)を塩基存在下、有機溶媒中、反応させることにより得ることができる。塩基としては例えば、ナトリウム、カリウム等のアルカリ金属、水素化ナトリウム、水素化カリウム等のアルカリ金属水素化物、メチルリチウム、ブチルリチウム等のアルカリ金属水素化物、メチルリチウム、ブチルリチウム、リチウムジイソプロピルアミド等の有機金属塩、トリエチルアミン、ジイソプロピルエチルアミン等の三級アミン、ジメチルアミノピリジン、ピリジン等のピリジン類等が挙げられる。有機溶媒としては例えば、塩化メチレン、ジクロロエタン等のハロゲン化炭素系溶媒、ジエチルエーテル、テトラヒド

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*チウム、リチウムジイソプロピルアミド等の有機金属塩等が挙げられる。有機溶媒としては例えば、ジエチルエーテル、テトラヒドロフラン等のエーテル系溶媒、ジメチルホルムアミド、アセトニトリル等の非プロトン性溶媒等が挙げられる。あるいは、試薬として使用するアルコール化合物(例えば、メタノール、エタノール、プロパノール、ブタノール等が挙げられる。)が挙げられる。反応温度は例えば、室温から溶媒の沸点付近までの範囲から選択される。

【0115】化合物(48)は、化合物(47)を水あるいは水と有機溶媒の混合溶媒中、酸で処理することにより得ることができる。酸としては例えば、塩酸、臭化水素酸等の無機酸、トリフルオロ酢酸等の有機酸等が挙げられる。有機溶媒としては例えば、ジエチルエーテル、テトラヒドロフラン等のエーテル系溶媒、ジメチルホルムアミド、アセトニトリル等の非プロトン性溶媒、メタノール、エタノール、プロパノール等のアルコール系溶媒、酢酸等が挙げられる。反応温度は例えば、約室温から溶媒の沸点付近までの範囲から選択される。

【0116】製造法6
【化16】



(式中、R¹、R²、R³、X¹、X²、Y¹およびY²は前掲と同じ意味を表す。Yは塩素原子、臭素原子、ヨウ素原子等のハロゲン原子等の脱離基を表す。)

化合物(50)は、化合物(49)とR³Yとを塩基存在下、有機溶媒中反応させることにより得ることができる。塩基としては例えば、水酸化ナトリウム、水酸化カリウム等のアルカリ金属水酸化物、炭酸ナトリウム、炭酸カリウム等の炭酸アルカリ金属塩、水素化ナトリウム、水素化カリウム等のアルカリ金属水素化物、メチルリチウム、ブチルリチウム、リチウムジイソプロピルアミド等の有機金属塩、トリエチルアミン、ジイソプロピルエチルアミン等の三級アミン、ジメチルアミノピリジン、ピリジン等のピリジン類等が挙げられる。有機溶媒としては例えば、塩化メチレン、ジクロロエタン等のハロゲン化炭素系溶媒、ジエチルエーテル、テトラヒド

ロフラン等のエーテル系溶媒、アセトニトリル、ジメチルホルムアミド、ジメチルスルホキシド等の非プロトン性溶媒等が挙げられる。反応温度は例えば、約-78℃から溶媒の沸点付近までの範囲から選択される。

【0117】化合物(51)は、化合物(49)と酸化剤を、有機溶媒中反応させることにより得ができる。酸化剤としては例えば、過安息香酸、m-クロロ過安息香酸等の有機過酸類が挙げられる。有機溶媒としては、塩化メチレン、クロロホルム等のハロゲン化炭素類が挙げられる。反応温度としては、約0℃～約室温の範囲から選択される。

【0118】化合物(52)は、化合物(50)と酸化剤を、有機溶媒中反応させることにより得ができる。酸化剤としては例えば、過安息香酸、m-クロロ過安息香酸等の有機過酸類が挙げられる。有機溶媒として

(234)

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は、塩化メチレン、クロロホルム等のハロゲン化炭化水素類が挙げられる。反応温度としては、約0℃～約室温の範囲から選択される。

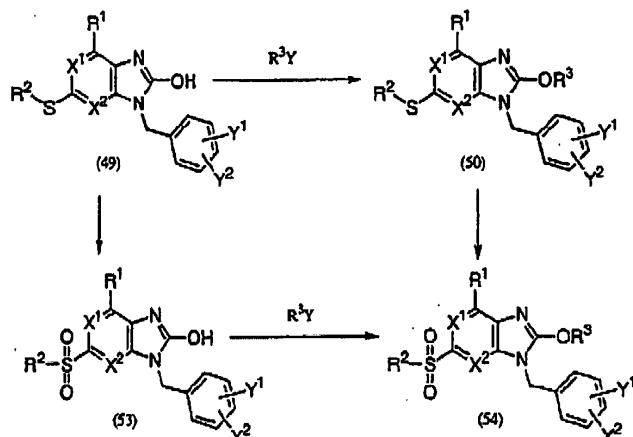
【0119】化合物(52)は、化合物(51)とR³Yとを塩基存在下、有機溶媒中反応させることにより得ることができる。塩基としては例えば、水酸化ナトリウム、水酸化カリウム等のアルカリ金属水酸化物、炭酸ナトリウム、炭酸カリウム等の炭酸アルカリ金属塩、水素化ナトリウム、水素化カリウム等のアルカリ金属水素化物、メチルリチウム、ブチルリチウム、リチウムジイソプロピルアミド等の有機金属塩、トリエチルアミン、ジ*

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*イソプロピルエチルアミン等の三級アミン、ジメチルアミノピリジン、ピリジン等のピリジン類等が挙げられる。有機溶媒としては例えば、塩化メチレン、ジクロロエタン等のハロゲン化炭化水素溶媒、ジエチルエーテル、テトラヒドロフラン等のエーテル系溶媒、アセトニトリル、ジメチルホルムアミド、ジメチルスルホキシド等の非プロトン性溶媒等が挙げられる。反応温度は例えば、約-78℃から溶媒の沸点付近までの範囲から選択される。

【0120】製造法7

【化17】



(式中、R¹、R²、R³、X¹、X²、Y¹およびY²は前掲と同じ意味を表す。Yは塩素原子、臭素原子、ヨウ素原子等のハロゲン原子等の脱離基を表す。)

【0121】化合物(53)は、化合物(49)と酸化剤を、硫酸、酢酸等の酸溶媒中、反応させることにより得ることができる。酸化剤としては例えば、過酸化水素等の過酸類が挙げられる。反応温度としては、約室温～約70℃の範囲から選択される。

【0122】化合物(54)は、化合物(53)とR³Yとを塩基存在下、有機溶媒中反応させることにより得ることができる。塩基としては例えば、水酸化ナトリウム、水酸化カリウム等のアルカリ金属水酸化物、炭酸ナトリウム、炭酸カリウム等の炭酸アルカリ金属塩、水素化ナトリウム、水素化カリウム等のアルカリ金属水素化物、メチルリチウム、ブチルリチウム、リチウムジイソプロピルアミド等の有機金属塩、トリエチルアミン、ジイソプロピルエチルアミン等の三級アミン、ジメチルア

ミノピリジン、ピリジン等のピリジン類等が挙げられる。有機溶媒としては例えば、塩化メチレン、ジクロロエタン等のハロゲン化炭化水素溶媒、ジエチルエーテル、テトラヒドロフラン等のエーテル系溶媒、アセトニトリル、ジメチルホルムアミド、ジメチルスルホキシド等の非プロトン性溶媒等が挙げられる。反応温度は例えば、約-78℃から溶媒の沸点付近までの範囲から選択される。

【0123】化合物(54)は、化合物(50)と酸化剤を、硫酸、酢酸等の酸溶媒中、反応させることにより得ることができる。酸化剤としては例えば、過酸化水素等の過酸類が挙げられる。反応温度としては、約室温～約70℃の範囲から選択される。

【0124】上記反応でR¹に保護が必要な場合は以下のように行う。

【化18】

40 製造法8

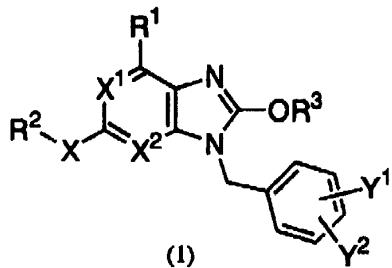
Partial English translation of Japanese patent publication
 A 11-193282

[TITLE OF INVENTION] NOVEL HETEROCYCLIC COMPOUNDS

5 [CLAIM]

1. A heterocyclic compound of the general formula (1):

[Chemical structure 1]



wherein -X- is -SO- or -SO₂-, X¹ and X² are nitrogen atom, or X¹ is nitrogen atom and X² is CH, or X¹ is CH and X² is nitrogen atom,

R¹ is amino group, alkylamino group, substituted alkylamino group, dialkylamino group or aliphatic heterocyclic ring,

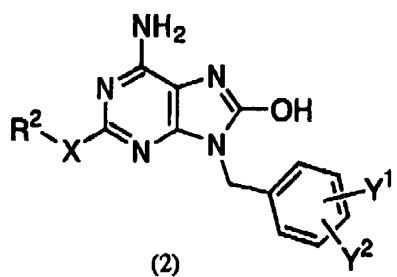
R² is alkyl group, substituted alkyl group, alkenyl group, substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or substituted heterocyclic group,

R³ is hydrogen atom, alkyl group, substituted alkyl group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, alkoxycarbonyl group, substituted

alkoxycarbonyl group, benzyloxycarbonyl group or substituted benzyloxycarbonyl group,
Y¹ is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group, and
Y² is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group; or
a pharmaceutically acceptable salt thereof.

20 2. A heterocyclic compound of the general formula (2) or its pharmaceutically acceptable salt.

[Chemical structure 2]



wherein -X- is -SO- or -SO₂-, R² is alkyl group, substituted alkyl group, alkenyl group, substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or substituted heterocyclic group, Y¹ is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group, and Y² is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl

group, halogen atom, nitro group or cyano group.

3. The heterocyclic compound or its pharmaceutically acceptable salt of claim 1 or 2 wherein,

R² is alkyl group, substituted alkyl group, alkenyl group, substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or substituted heterocyclic group,

Y¹ is hydrogen atom, alkoxy group, substituted alkoxy group, halogen atom or nitro group and

Y² is hydrogen atom, alkoxy group, substituted alkoxy group, halogen atom or nitro group.

4. The heterocyclic compound or its pharmaceutically acceptable salt of claim 1, 2 or 3 wherein -X- is -SO-.

15 5. A medical composition comprising the compound claimed in claim 1, 2, 3 or 4 as an active ingredient.

6. An interferon inducer comprising the compound or its pharmaceutically acceptable salt claimed in claim 1, 2, 3 or 4 as an active ingredient.

20 7. An antiviral agent comprising the compound or its pharmaceutically acceptable salt claimed in claim 1, 2, 3 or 4 as an active ingredient.

8. An anticancer agent comprising the compound or its pharmaceutically acceptable salt claimed in claim 1, 2, 3 or 4 as an active ingredient.

9. A therapeutic agent for immunologic diseases comprising the compound or its pharmaceutically acceptable salt claimed in claim 1, 2, 3 or 4 as an active ingredient.

[DETAILED EXPLANATION OF INVENTION]

5 [0001]

[TECHNICAL FIELD]

The present invention relates to novel heterocyclic compounds having inducing activity for biosynthesis of interferon. The heterocyclic compounds of the present 10 invention induce biosynthesis of endogenous interferon in a living body, and are useful for medicines, such as antiviral agents, anticancer agents and therapeutic agents for immunologic diseases.

[0002]

15 [BACKGROUND OF THE ART]

It has been recently cleared that endogenous interferon plays not only central role to bio-defensive mechanism against virus infections and microbial infections, but also important role on antitumor and immune modulator. 20 Mass production of interferon is established. Namely, it is possible to be available of natural interferon by cell culture and also to produce a large amount of recombinant interferon from *E. coli* transferred with a gene of interferon and therefore, many research achievements on 25 these interferons have accumulated. For example, many

kinds of biological activity on interferon, such as antiviral activity, prevention of cell growth and immune modulation have been confirmed and interferon is practiced on clinics as treating agents for virus infected diseases, 5 such as hepatitis C and hepatitis B, anticancer agents and therapeutic agents for immunologic disease. Furthermore, it is suggested that interferon will prevent carcinogenesis by hepatitis C and hepatitis B.

Since there is no therapeutic method for almost of the 10 above diseases, interferon is especially made much of.

[0003]

[PROBLEM TO BE DISSOLVED BY INVENTION]

The object of the present invention is to provide novel low molecular compounds having inducing activity for biosynthesis of interferon, and interferon inducers, 15 antiviral agents, anticancer agents and therapeutic agents for immunologic diseases comprising these compounds as active ingredients.

[0004]

20 [MEANS FOR SOLVING PROBLEM]

Viruses of many kinds of animals, microbes such as mycobacteria and protozoa, extracts of them, mitogen, specific antigens and immunopotenciators are known as inducers for biosynthesis of interferon. It is known that 25 for example, many kinds of natural double strand RNAs,

synthesized double strand RNAs such as poly-I:C, and anionic high molecular compounds such as polyacrylic acid and oxyamylose oxidized with chlorite have inductive activity of interferon.

5 On the other hand, among low molecular compounds have been found fluorenones, pyrimidine derivatives, anthraquinones, acridines and so on having inductive activity of interferon (Stringfellow, D. A.: Methods in Enzymology, 78, 262, 1981,).

10 However, when these compounds are used in clinical trial, their inducing activity of interferon is unexpectedly low and these compounds have side effects or by administering them repeatedly, their inducing activity of interferon decreases and therefore, development on these 15 compounds have not succeeded. Furthermore, imidazo-quinolines are known as interferon inducers among low molecular compounds. However, it is known that these compounds are inferior in selective interferon inducing activity and simultaneously induce cytokines such as IL-6 20 (interleukin 6), TNF- α (tumor necrosis factor- α), etc (Testerman, T. L., et al.: J. Leukocyte Biol., 58, 365, 1995).

[0005]

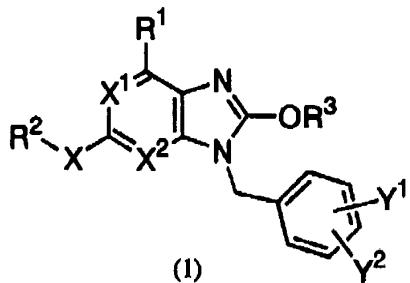
25 As the result of extensive investigation of interferon biosynthesis inducers among low molecules, the present

inventors have found that the heterocyclic compounds of the present invention have excellent interferon biosynthesis inducing activity.

[0006]

5 (a) The present invention relates to a heterocyclic compound of the general formula (1):

[Chemical structure 3]



wherein -X- is -SO- or -SO₂-, X¹ and X² are nitrogen atom, or X¹ is nitrogen atom and X² is CH, or X¹ is CH and X² is nitrogen atom,

R¹ is amino group, alkylamino group, substituted alkylamino group, dialkylamino group or aliphatic heterocyclic ring,
 R² is alkyl group, substituted alkyl group, alkenyl group,
 15 substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or substituted heterocyclic group,

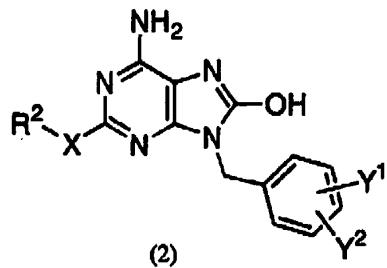
R³ is hydrogen atom, alkyl group, substituted alkyl group,
 20 alkanoyl group, substituted alkanoyl group, aroyl group,

substituted aroyl group, alkoxycarbonyl group, substituted
alkoxycarbonyl group, benzyloxycarbonyl group or
substituted benzyloxycarbonyl group,
Y¹ is hydrogen atom, hydroxy group, alkyl group,
5 substituted alkyl group, alkoxy group, substituted alkoxy
group, alkanoyl group, substituted alkanoyl group, aroyl
group, substituted aroyl group, carboxyl group,
alkoxycarbonyl group, substituted alkoxycarbonyl group,
amino group, alkylamino group, di(alkyl)amino group,
10 carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl
group, halogen atom, nitro group or cyano group, and
Y² is hydrogen atom, hydroxy group, alkyl group,
substituted alkyl group, alkoxy group, substituted alkoxy
group, alkanoyl group, substituted alkanoyl group, aroyl
15 group, substituted aroyl group, carboxyl group,
alkoxycarbonyl group, substituted alkoxycarbonyl group,
amino group, alkylamino group, di(alkyl)amino group,
carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl
group, halogen atom, nitro group or cyano group; or
20 a pharmaceutically acceptable salt thereof.

[0007]

More concretely, (b) the present invention relates to
a heterocyclic compound of the formula (2) or its
pharmaceutically acceptable salt.

25 [Chemical structure 4]



wherein -X- is -SO- or -SO₂-, R² is alkyl group, substituted alkyl group, alkenyl group, substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, 5 substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or substituted heterocyclic group, Y¹ is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, 10 substituted aroyl group, carboxyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group, and 15 Y² is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, 20 amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl

group, halogen atom, nitro group or cyano group.

[0008]

Furthermore concretely, (c) the present invention relates to a heterocyclic compound described in the above 5 (a) or (b) its pharmaceutically acceptable salt wherein R² is alkyl group, substituted alkyl group, alkenyl group, substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or 10 substituted heterocyclic group,

Y¹ is hydrogen atom, alkoxy group, substituted alkoxy group, halogen atom or nitro group, and

Y² is hydrogen atom, alkoxy group, substituted alkoxy group, halogen atom or nitro group.

15 [0009]

Furthermore concretely, (d) the present invention relates to a heterocyclic compound described in the above 15 (a) or (b) or (c) or its pharmaceutically acceptable salt wherein -X- is -SO-.

20 [0010]

Further, (e) the present invention relates to a pharmaceutical composition comprising a heterocyclic compound described in the above (a), (b), (c) or (d) as an active ingredient.

25 Further, (f) the present invention relates to an

interferon inducer comprising a heterocyclic compound described in the above (a), (b), (c) or (d) as an active ingredient.

[0011]

5 Concretely, (g) the present invention relates to an antiviral agent comprising a heterocyclic compound described in the above (a), (b), (c) or (d) as an active ingredient.

10 (h) The present invention relates to an anticancer agent comprising a heterocyclic compound described in the above (a), (b), (c) or (d) as an active ingredient.

15 (i) The present invention relates to a therapeutic agent for immunologic diseases comprising a heterocyclic compound described in the above (a), (b), (c) or (d) as an active ingredient.

[0012]

1 (j) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d)
20 wherein R³ is hydrogen atom.

25 (k) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R³ is hydrogen atom, X¹ is nitrogen atom and X² is nitrogen atom.

(l) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R³ is hydrogen atom, X¹ is nitrogen atom and X² is
5 CH.

(m) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R³ is hydrogen atom, X¹ is CH and X² is nitrogen
10 atom.

[0013]

(n) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R¹ is amino group, and R³ is hydrogen atom.
15

(o) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R¹ is amino group, R³ is hydrogen atom, X¹ is
20 nitrogen atom and X² is nitrogen atom.

(p) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R¹ is amino group, R³ is hydrogen atom, X¹ is
25 nitrogen atom and X² is CH.

(q) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R¹ is amino group, R³ is hydrogen atom, X¹ is CH and 5 X² is nitrogen atom.

[0014]

(r) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) 10 wherein R² is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group.

(s) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) 15 wherein R² is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group, and R³ is hydrogen atom.

(t) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) 20 wherein R² is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group, R³ is hydrogen atom, X¹ is nitrogen atom, and X² is nitrogen atom.

(u) As a preferable group of the present invention, there is illustrated a heterocyclic compound or its 25 pharmaceutically acceptable salt described in (a) or (d)

wherein R^2 is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group, R^3 is hydrogen atom, X^1 is nitrogen atom, and X^2 is CH.

(v) As a preferable group of the present invention,
5 there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R^2 is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group, R^3 is hydrogen atom, X^1 is CH, and X^2 is nitrogen atom.

10 [0015]

(w) As a preferable group of the present invention,
there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R^1 is amino group, R^2 is alkyl group, substituted 15 alkyl group, aralkyl group or substituted aralkyl group, and R^3 is hydrogen atom.

(x) As a preferable group of the present invention,
there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) 20 wherein R^1 is amino group, R^2 is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group, R^3 is hydrogen atom, X^1 is nitrogen atom, and X^2 is nitrogen atom.

(y) As a preferable group of the present invention,
25 there is illustrated a heterocyclic compound or its

pharmaceutically acceptable salt described in (a) or (d) wherein R¹ is amino group, R² is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group, R³ is hydrogen atom, X¹ is nitrogen atom and X² is CH.

5 Furthermore, (z) as a preferable group of the present invention, there is illustrated a heterocyclic compound or its pharmaceutically acceptable salt described in (a) or (d) wherein R¹ is amino group, R² is alkyl group, substituted alkyl group, aralkyl group or substituted aralkyl group, R³ is hydrogen atom, X¹ is CH and X² is 10 nitrogen atom.

[0016]

Furthermore, the present inventors have found that the heterocyclic compound of the present invention suppresses 15 or controls the production of type 2 helper T cells (abbreviated as Th2) such as IL-4 (interleukin 4), IL-5 (interleukin 5), IL-10 (interleukin 10), IL-13 (interleukin 13). Th2 is thought much as suppressive cells of allergic reaction because Th2 produce various cytokines which 20 participate in allergic reaction. For example, IL-4 does not only induce the production of IgE antibodies to B cells, but also induce the expression of VCAM-1 gene which is an important molecule which works when eosinophils adhere to vascular endothelial cells and infiltrate into the tissue. 25 Recently attention has been paid to IL-4 as a

differentiation-proliferation inducing factor for Th2 itself. Furthermore IL-5 induces differentiation, migration and activation of eosinophils and becomes an inducing factor of allergic inflammatory reaction.

5 Therefore, Th2 are central cells which control both allergic reactions of early phase reaction by IgE antibodies or mast cells and late phase reaction by eosinophils. Namely, allergic disease is said to be a state that the function of Th2 abnormally enhanced. Indeed,

10 promotion of the production of Th2 type cytokines such as IL-4, IL-5, etc. and presence of Th2 in the lesion of allergic disease, such as airway or skin were confirmed and attention is paid to that it is important to suppress or control the Th2 activation in order to control allergic

15 disease (RINSYO-I (Clinical Doctor), 15, 401, 1988). Autoimmune disease in the state that production of an antibody or humoral immunity is abnormally enhanced such as systemic lupus erythematosus are also considered to be in the state that the function of Th2 are abnormally enhanced

20 (Medical Immunology 15, 401, 1988). Therefore, to return or close to normal state by suppressing or controlling the state that Th2 is abnormally enhanced make possible treat or prevent for allergic disease e.g., asthma (atopic asthma, nonatopic asthma), allergic dermatitis, allergic rhinitis,

25 atopic dermatitis, etc.), systemic lupus erythematosus,

AIDS.

[0017]

Further (a a) the present invention relates to a suppressing or controlling agent for abnormal enhancement 5 of Th2 comprising a heterocyclic compound or its pharmaceutically acceptable salt described in (a), (b) or (d) as an active ingredient.

[0018]

Furthermore concretely, (a b) the present invention 10 relates to a suppressing or controlling agent for production of interleukin 4 and/or interleukin 5 comprising a heterocyclic compound or its pharmaceutically acceptable salt described in (a), (b) or (d) as an active ingredient.

[0019]

15 Further (a c) the present invention relates to a therapeutic or prophylactic agent for allergic disease, systemic lupus erythematosus, AIDS caused by abnormal enhancement of Th2 comprising a heterocyclic compound or its pharmaceutically acceptable salt described in (a), (b) 20 or (d) as an active ingredient.

[0020]

Further (a d) the present invention relates to a therapeutic or prophylactic agent for allergic disease such as asthma allergic dermatitis, allergic rhinitis, atopic 25 dermatitis caused by abnormal enhancement of Th2 comprising

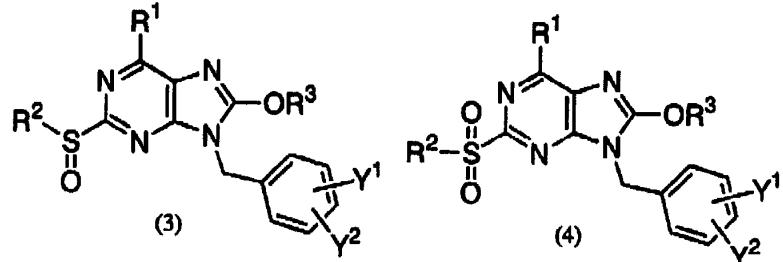
a heterocyclic compound or its pharmaceutically acceptable salt described in (a), (b) or (d) as an active ingredient.

[0021]

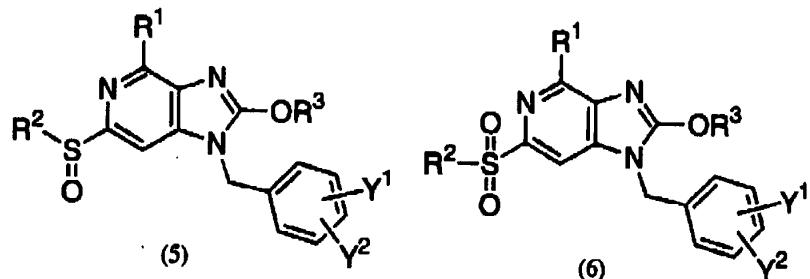
[MODE FOR PRACTICING INVENTION]

5 The compounds of the general formula (1) include the compounds represented by the formulae (3), (4), (5), (6) and (7).

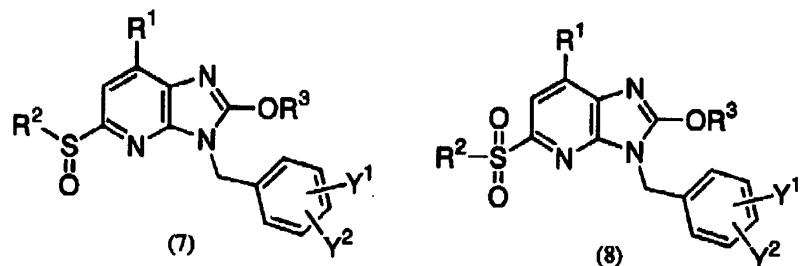
[Chemical structure 5]



10 [Chemical structure 6]



[Chemical structure 7]



wherein R^1 is amino group, alkylamino group, substituted alkylamino group, di(alkyl)amino group or aliphatic heterocyclic group,

R^2 is alkyl group, substituted alkyl group, alkenyl group, 5 substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or substituted heterocyclic group,

R^3 is hydrogen atom, alkyl group, substituted alkyl group, 10 alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, benzyloxycarbonyl group or substituted benzyloxycarbonyl,

Y^1 is hydrogen atom, hydroxy group, alkyl group, 15 substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group, alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, 20 carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group, and

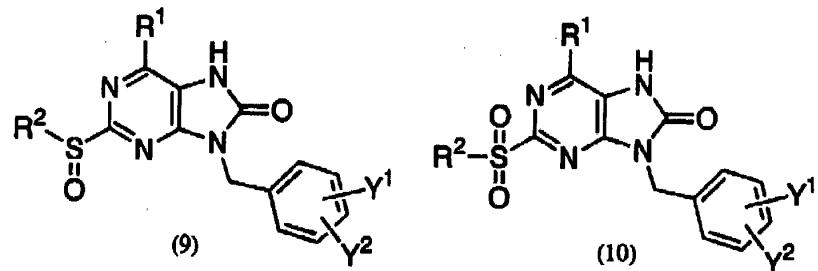
Y^2 is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl 25 group, substituted aroyl group, carboxyl group,

alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group.

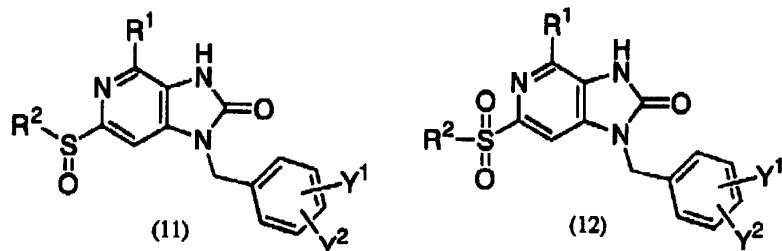
5 [0022]

In the above formulae, the compounds wherein R^3 is hydrogen atom, form an equilibrium mixture with a tautomer represented by the following formulae (9), (10), (11), (12), (13) and (14), respectively.

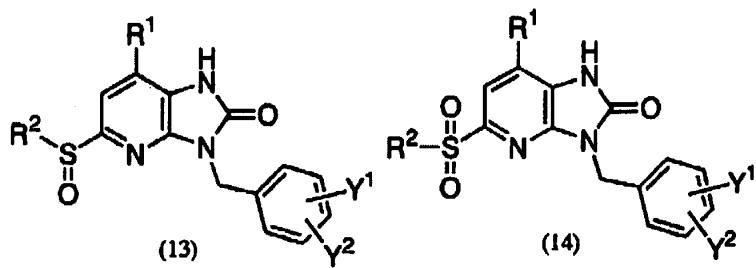
10 [Chemical structure 8]



[Chemical structure 9]



[Chemical structure 10]



wherein R^1 is amino group, alkylamino group, substituted alkylamino group, di(alkyl)amino group or aliphatic heterocyclic group,

5 R² is alkyl group, substituted alkyl group, alkenyl group, substituted alkenyl group, alkynyl group, substituted alkynyl group, aryl group, substituted aryl group, aralkyl group, substituted aralkyl group, heterocyclic group or substituted heterocyclic group,

10 Y¹ is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group, alkoxy carbonyl group, substituted alkoxy carbonyl group.

15 amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group, and

Y² is hydrogen atom, hydroxy group, alkyl group, substituted alkyl group, alkoxy group, substituted alkoxy group, alkanoyl group, substituted alkanoyl group, aroyl group, substituted aroyl group, carboxyl group,

alkoxycarbonyl group, substituted alkoxycarbonyl group, amino group, alkylamino group, di(alkyl)amino group, carbamoyl group, alkylcarbamoyl group, di(alkyl)carbamoyl group, halogen atom, nitro group or cyano group.

5 [0023]

Groups R^1 , R^2 , R^3 , Y^1 and Y^2 in the formula (1) of the present invention are explained below.

[0024]

In R^1 alkylamino group includes amino group substituted by straight or branched C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, butyl, pentyl or hexyl), C_{3-7} cycloalkyl group (e.g. cyclopropyl, cyclopentyl, cyclohexyl or cycloheptyl), and C_{4-10} cycloalkylalkyl group (e.g. cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl or cycloheptylethyl), such as methylamino, ethylamino, propylamino, butylamino, pentylamino, hexylamino, cyclopropylamino, cyclopentylamino, cyclohexylamino, cycloheptylamino, cyclopropylmethyleamino, cyclopentylmethylamino, cyclohexylmethyleamino or 2-cyclohexylethylamino.

[0025]

In R^1 substituted alkylamino group includes amino groups substituted such as by substituted-straight or branched C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, butyl, pentyl or hexyl), substituted- C_{3-7} cycloalkyl group (e.g.

cyclopropyl, cyclopentyl, cyclohexyl or cycloheptyl), or substituted- C_{4-10} cycloalkylalkyl group (e.g. cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl or cycloheptylethyl). Said substituents include aliphatic 5 heterocyclic ring (e.g., aliphatic heterocyclic group containing 1 to 2 nitrogen atoms and 0 to 1 oxygen atom), such as pyrrolidinyl, piperidinyl, piperazinyl, tetrahydroazepinyl or morpholinyl.

[0026]

10 In R^1 dialkylamino group includes amino group substituted by the same or different straight or branched C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, butyl, pentyl or hexyl). Their examples are dimethylamino, diethylamino, ethylmethylamino or dipropylamino.

15 [0027]

In R^1 aliphatic heterocyclic group includes aliphatic heterocyclic ring containing at least one nitrogen atom and the nitrogen atom and binding directly to the mother heterocyclic ring, such as one containing 1 to 2 nitrogen 20 atoms and 0 to 1 oxygen atom, such as 1-pyrrolidinyl, 1-piperidinyl, 1-piperazinyl, tetrahydroazepin-1-yl or 4-morpholinyl.

[0028]

In R^2 alkyl group includes straight or branched C_{1-10} 25 alkyl group (e.g. methyl, ethyl, propyl, 1-methylethyl,

butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl) or C₃₋₇ cycloalkyl group (e.g. cyclopropyl, cyclopentyl, 5 cyclohexyl or cycloheptyl) or C₄₋₁₀ cycloalkylalkyl group (e.g. cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl or cyclohexylethyl). Preferable ones are straight or branched C₁₋₆ alkyl group (e.g. methyl, ethyl, propyl, 10 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl), C₅₋₇ cycloalkyl group (e.g. cyclopentyl or cyclohexyl) and C₅₋₈ cycloalkylalkyl group (e.g. cyclohexylmethyl).

15 [0029]

In R² substituted alkyl group means straight or branched C₁₋₁₀ alkyl group (e.g. methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl), C₃₋₇ cycloalkyl group (e.g. cyclopentyl, cyclohexyl or cycloheptyl), or C₄₋₁₀ cycloalkylalkyl group (e.g. cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl or cyclohexylethyl) substituted by the 20 same or different and one or more substituents,

respectively.

Said substituents include hydroxy group, C₁₋₆ alkoxy group such as methoxy, ethoxy, or propoxy, C₁₋₆ alkanoyl group such as formyl, acetyl, propanoyl, butanoyl, 5 pentanoyl or hexanoyl, C₇₋₁₁ aroyl group such as benzoyl, p-toluoyl or naphthoyl, carboxyl group, C₂₋, alkoxycarbonyl group such as methoxycarbonyl or ethoxycarbonyl, amino group, alkylamino group such as amino substituted by C₁₋₆ alkyl (e.g. methylamino, ethylamino, propylamino, 10 butylamino), dialkylamino such as amino substituted by the same or different two C₁₋₆ alkyls (e.g. dimethylamino group, diethylamino, ethylmethylamino), carbamoyl group, alkylcarbamoyl group such as C₁₋₆ alkylcarbamoyl group (e.g. methylcarbamoyl, ethylcarbamoyl, propylcarbamoyl or butylcarbamoyl), dialkylcarbamoyl group such as the same or 15 different C₁₋₆ alkyls-substituted carbamoyl (e.g. dimethylcarbamoyl, diethylcarbamoyl or ethylmethylcarbamoyl), C₁₋₆ alkanoylamino group such as formylamino, acetylamino, propanoylamino, butanoylamino, 20 pentanoylamino or hexanoylamino, C₇₋₁₁ aroylamino group such as benzoylamino, p-toluoylamino or naphthoylamino, halogen atom such as fluorine atom, chlorine atom or bromine atom, and aliphatic heterocyclic group such as one containing 1 to 2 nitrogen atoms and 0 to 1 oxygen atom (e.g. 25 pyrrolidinyl, piperidyl, piperazinyl or morpholinyl).

[0030]

In R^2 alkenyl group means straight or branched C_{2-10} alkenyl group such as 2-propenyl, 2-butenyl, 2-methyl-2-propenyl, 2-pentenyl, 3-methyl-2-butenyl or 3-methyl-2-hexenyl, C_{5-8} cycloalkenyl group such as cyclo-2-hexenyl, or C_{6-10} cycloalkenylalkyl group such as cyclo-1-hexenylmethyl.

[0031]

In R^2 substituted alkenyl group means straight or branched C_{2-10} alkenyl group (e.g. 2-propenyl, 2-butenyl, 2-methyl-2-propenyl, 2-pentenyl, 3-methyl-2-butenyl or 3-methyl-2-hexenyl), C_{5-8} cycloalkenyl group (e.g. cyclo-2-hexenyl), or C_{6-10} cycloalkenylalkyl group (e.g. cyclo-1-hexenylmethyl), substituted by one or more substituents, respectively.

Examples of said substituents are hydroxy group, C_{1-6} alkoxy group such as methoxy, ethoxy or propoxy, C_{1-6} alkanoyl group such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl, C_{7-11} aroyl group such as benzoyl, p-toloyl or naphthoyl, carboxyl group, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl or ethoxycarbonyl), amino group, alkylamino group such as amino substituted by C_{1-6} alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino group such as amino substituted by the same or different two C_{1-6} alkyls (e.g. dimethylamino, diethylamino, ethylmethylamino), halogen atom, such as

fluorine atom, chlorine atom or bromine atom, or aliphatic heterocyclic group such as one containing 1 to 2 nitrogen atoms and 0 to 1 oxygen atom (e.g. pyrrolidinyl, piperidyl, piperazinyl or morpholinyl).

5 [0032]

In R^2 alkynyl group means the straight or branched C_{2-10} alkenyl group such as 2-propynyl, 2-butynyl, 2-pentynyl, 4-methyl-2-pentynyl, 4-methyl-2-hexynyl or 4-methyl-2-heptynyl.

10 [0033]

In R^2 substituted alkynyl group means straight or branched C_{2-10} alkynyl group (e.g. 2-propynyl, 2-butynyl, 2-pentynyl, 4-methyl-2-pentynyl, 4-methyl-2-hexynyl or 4-methyl-2-heptynyl) substituted by the same or different one 15 or more substituents, respectively.

Examples of said substituents are hydroxy group, C_{1-6} alkoxy group such as methoxy, ethoxy, or propoxy, C_{1-6} alkanoyl group such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl, C_{7-11} aroyl group such as benzoyl, p-toluoyl or naphthoyl, carboxyl group, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl or ethoxycarbonyl, amino group, alkylamino group such as amino substituted by C_{1-6} alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino group such as amino substituted 20 by the same or different two C_{1-6} alkyls (e.g. dimethylamino, 25

diethylamino, ethylmethylamino), halogen atom such as fluorine atom, chlorine atom or bromine atom, or aliphatic heterocyclic group such as one containing 1 to 2 nitrogen atoms and 0 to 1 oxygen atom (e.g. pyrrolidinyl, piperidyl, 5 piperazinyl, or morpholinyl).

[0034]

In R² aryl group means C₆₋₁₀ monocyclic or fused aryl group, such as phenyl or naphthyl.

[0035]

10 In R² substituted aryl group means C₆₋₁₀ monocyclic or fused aryl group (e.g. phenyl or naphthyl) substituted by the same or different one or more substituents.

Examples of said substituents are hydroxy group, C₁₋₆ alkoxy group such as methoxy, ethoxy, propoxy, C₁₋₆ alkanoyl group such as formyl, acetyl, propanoyl, butanoyl, 15 pentanoyl or hexanoyl, C₇₋₁₁ aroyl group such as benzoyl, p-toloyl or naphthoyl, carboxyl group, C₂₋₁₁ alkoxy carbonyl group, such as methoxycarbonyl or ethoxycarbonyl, amino group, alkylamino group such as amino substituted by C₁₋₆ 20 alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino group such as amino substituted by the same or different two C₁₋₆ alkyls (e.g. dimethylamino, diethylamino, ethylmethylamino), carbamoyl group, alkylcarbamoyl group such as C₁₋₆ alkylcarbamoyl (e.g. 25 methylcarbamoyl, ethylcarbamoyl, propylcarbamoyl or

butylcarbamoyl), dialkylcarbamoyl group such as same or different C_{1-6} alkyls-substituted carbamoyl (e.g. dimethylcarbamoyl, diethylcarbamoyl or ethylmethylcarbamoyl), halogen atom, such as fluorine atom, 5 chlorine atom or bromine atom, nitro group, or cyano group.

[0036]

In R^2 aralkyl group means C_{1-6} alkyl group substituted by C_{6-10} monocyclic or fused aryl, such as benzyl or phenethyl.

10 [0037]

In R^2 substituted aralkyl group means C_{1-6} alkyl group substituted by C_{6-10} monocyclic or fused aryl substituted by the same or different one or more substituents.

Examples of said substituents are hydroxy group, C_{1-6} 15 alkoxy group such as methoxy, ethoxy, propoxy, C_{1-6} alkanoyl such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl, C_{7-11} aroyl group such as benzoyl, p-toluoyl or naphthoyl, carboxyl group, C_{2-11} alkoxycarbonyl group, such as methoxycarbonyl or ethoxycarbonyl, amino group, 20 alkylamino group such as amino substituted by C_{1-6} alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino such as amino substituted by the same or different two C_{1-6} alkyls (e.g. dimethylamino, diethylamino, ethylmethylamino), carbamoyl group, alkylcarbamoyl such as 25 C_{1-6} alkylcarbamoyl group (e.g. methylcarbamoyl,

ethylcarbamoyl, propylcarbamoyl or butylcarbamoyl), dialkylcarbamoyl group such as same or different C₁₋₆ alkyls-substituted carbamoyl (e.g. dimethylcarbamoyl, diethylcarbamoyl or ethylmethylcarbamoyl), halogen atom, 5 such as fluorine atom, chlorine atom or bromine atom, nitro group, or cyano group.

[0038]

In R² heterocyclic group means monocyclic saturated heterocyclic group, or unsaturated monocyclic or fused 10 heterocyclic group containing at least one heteroatom, that is, 0-3 nitrogen atoms, 0-1 oxygen atom and 0-1 sulfur atom.

Said saturated monocyclic heterocyclic group includes 5 or 6 membered saturated heterocyclic group, such as tetrahydrofuranyl, pyrrolidinyl, morpholinyl, piperidyl, 15 piperazinyl or pyrazolidinyl. Said unsaturated monocyclic heterocyclic group means 5 or 6 membered unsaturated heterocyclic group, such as furyl, pyrrolyl, pyrazolyl, imidazolyl, thiazolyl, thienyl, pyridyl or pyrimidinyl. Said unsaturated fused heterocyclic group means unsaturated 20 bicyclic heterocyclic group, such as indolyl, isoindolyl, quinolyl, benzothizolyl, chromanyl or benzofuranyl.

[0039]

In R² substituted heterocyclic group means one substituted by the same or different and one or more 25 substituents. Said heterocyclic group is monocyclic

saturated heterocyclic group, unsaturated monocyclic or fused heterocyclic group containing at least one heteroatom, that is, 0-3 nitrogen atoms, 0-1 oxygen atom and 0-1 sulfur atom.

5 Said saturated monocyclic heterocyclic group includes 5 or 6 membered saturated heterocyclic group, such as tetrahydrofuranyl, pyrrolidinyl, morpholinyl, piperidyl, piperazinyl or pyrazolidinyl. Said unsaturated monocyclic heterocyclic group means 5 or 6 membered unsaturated heterocyclic group, such as furyl, pyrrolyl, pyrazolyl, imidazolyl, thiazolyl, thienyl, pyridyl or pyrimidinyl. Said unsaturated fused heterocyclic group means unsaturated bicyclic heterocyclic group, such as indolyl, isoindolyl, quinolyl, benzothiazolyl, chromanyl or benzofuranyl.

10 Said substituents include hydroxy group, C₁₋₆ alkoxy group such as methoxy, ethoxy or propoxy, C₁₋₆ alkanoyl group such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl, C₇₋₁₁ aroyl group such as benzoyl, p-toluoyl or naphthoyl, carboxyl group, C₂₋₁₁ alkoxycarbonyl group, such as methoxycarbonyl, ethoxycarbonyl or benzylloxycarbonyl, amino group, alkylamino group such as amino substituted by C₁₋₆ alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino group such as amino substituted by same or different two C₁₋₆ alkyls (e.g. dimethylamino, diethylamino, ethylmethylamino),

halogen atom such as fluorine atom, chlorine atom or bromine atom, nitro group and cyano group.

[0040]

In R^3 alkyl group includes straight or branched C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl).

[0041]

In R^3 substituted alkyl group means the straight or branched C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl) substituted by the same or different and one or more substituents.

Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, C_{1-6} alkanoyl such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl, C_{7-11} aroyl group such as benzoyl, p-toluoyl or naphthoyl, C_{1-6} alkanoyloxy group such as formyloxy, acetyloxy, propanoyloxy, butanoyloxy, pentanoyloxy or hexanoyloxy, C_{7-11} aroyl group such as benzoyl, p-toluoyl or naphthoyl, carboxyl, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl or ethoxycarbonyl, amino group, alkylamino

group such as amino substituted by C₁₋₆ alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino group such as amino substituted by same or different two C₁₋₆ alkyls (e.g. dimethylamino, diethylamino, 5 ethylmethylamino), and halogen atom such as fluorine atom, chlorine atom or bromine atom.

[0042]

) In R³ alkanoyl group means C₁₋₆ alkanoyl group, such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl.

10 [0043]

In R³ substituted alkanoyl group means C₁₋₆ alkanoyl group (such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl) substituted by the same or different and one or more substituents.

15 Said substituents include hydroxy group, C₁₋₆ alkoxy group, such as methoxy, ethoxy or propoxy), C₁₋₆ alkanoyl such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl, C₇₋₁₁ aroyl group such as benzoyl, p-toluoyl or naphthoyl, carboxyl group, C₂₋₇ alkoxycarbonyl group, such 20 as methoxycarbonyl or ethoxycarbonyl, amino group, alkylamino group such as amino substituted by C₁₋₆ alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino group such as amino substituted by same or different two C₁₋₆ alkyls (e.g. dimethylamino, diethylamino, 25 ethylmethylamino), and halogen atom such as fluorine atom,

chlorine atom or bromine atom.

[0044]

In R^3 aroyl group means C_{7-11} aroyl group, such as benzoyl or naphthoyl.

5 [0045]

In R^3 substituted aroyl group means C_{7-11} aroyl group (such as benzoyl or naphthoyl) substituted by the same or different and one or more substituents.

)

Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, C_{1-6} alkanoyl group such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl, C_{7-11} aroyl group such as benzoyl, p-toluoyl or naphthoyl, carboxyl group, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl or ethoxycarbonyl, amino group, alkylamino group such as amino substituted by C_{1-6} alkyl (e.g. methylamino, ethylamino, propylamino, butylamino), dialkylamino group such as amino substituted by the same or different two C_{1-6} alkyls (e.g. dimethylamino, diethylamino, ethylmethylamino), and halogen atom such as 20 fluorine atom, chlorine atom or bromine atom.

)

[0046]

In R^3 alkoxycarbonyl group means C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl.

25 [0047]

In R^3 substituted alkoxy carbonyl group means C_{2-11} alkoxy carbonyl group (such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl) substituted by the same or different and one or more substituents.

5 Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, carboxyl group, C_{2-7} alkoxy carbonyl group, such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl, and halogen atom such as fluorine atom, chlorine atom or bromine atom.

10 [0048]

In R^3 substituted benzyloxycarbonyl group means benzyloxycarbonyl group substituted by the same or different and one or more substituents.

15 Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, carboxyl group, C_{2-7} alkoxy carbonyl group, such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl, and halogen atom, such as fluorine atom, chlorine atom or bromine atom.

[0049]

20 In Y^1 and Y^2 alkyl group includes C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, and 2,2-dimethylpropyl).

25 [0050]

In Y^1 and Y^2 substituted alkyl group means C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl) substituted by the same or different and one or more substituents.

) Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, carboxyl, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl or ethoxycarbonyl, and halogen atom such as fluorine atom, chlorine atom or bromine atom.

[0051]

In Y^1 and Y^2 alkoxy group means C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy.

[0052]

) In Y^1 and Y^2 substituted alkoxy group means C_{1-6} alkoxy group (such as methoxy, ethoxy or propoxy) substituted by the same or different and one or more substituents.

20 Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, carboxyl group, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl, ethoxycarbonyl group or propoxycarbonyl, and halogen atom, such as fluorine atom, chlorine atom or bromine atom.

25 [0053]

In Y^1 and Y^2 alkanoyl group means C_{1-6} alkanoyl group, such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl.

[0054]

5 In Y^1 and Y^2 substituted alkanoyl group means C_{1-6} alkanoyl group (such as formyl, acetyl, propanoyl, butanoyl, pentanoyl or hexanoyl) substituted by the same or different and one or more substituents.

) Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, carboxyl group, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl, and halogen atom, such as fluorine atom, chlorine atom or bromine atom.

[0055]

15 In Y^1 and Y^2 aroyl group means C_{7-11} aroyl group, such as benzoyl or naphthoyl.

[0056]

) In Y^1 and Y^2 substituted aroyl group means C_{7-11} aroyl group (such as benzoyl or naphthoyl) substituted by the same or different and one or more substituents.

Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, carboxyl group, C_{2-7} alkoxycarbonyl group, such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl and halogen atom such as fluorine atom, chlorine atom or bromine atom.

[0057]

In Y^1 and Y^2 alkoxy carbonyl group means C_{2-7} alkoxy carbonyl group, such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl.

5 [0058]

In Y^1 and Y^2 substituted alkoxy carbonyl group means C_{2-7} alkoxy carbonyl group (such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl) substituted by the same or different and one or more substituents.

10 Said substituents include hydroxy group, C_{1-6} alkoxy group, such as methoxy, ethoxy or propoxy, carboxyl group, C_{2-7} alkoxy carbonyl group, such as methoxycarbonyl, ethoxycarbonyl or propoxycarbonyl and halogen atom such as fluorine atom, chlorine atom or bromine atom.

15 [0059]

In Y^1 and Y^2 alkylamino group means amino group substituted by C_{1-6} alkyl group, such as methylamino, ethylamino, propylamino, or butylamino.

[0060]

20 In Y^1 and Y^2 di(alkyl)amino group means amino group substituted by the same or different and C_{1-6} alkyl group, such as dimethylamino, diethylamino or ethylmethylamino.

[0061]

25 In Y^1 and Y^2 alkylcarbamoyl group means carbamoyl group substituted by C_{1-6} alkyl group, such as

methylcarbamoyl, ethylcarbamoyl, propylcarbamoyl or butylcarbamoyl.

[0062]

In Y^1 and Y^2 di(alkyl)carbamoyl group means carbamoyl group substituted by the same or different and C_{1-6} alkyl group, such as dimethylcarbamoyl, diethylcarbamoyl or ethylmethylcarbamoyl.

[0063]

In Y^1 and Y^2 halogen atom means halogen atom such as fluorine atom, chlorine atom, bromine atom or iodine atom.

The groups without any specific definition in the present specification are as follows.

Alkyl group includes straight or branched C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, butyl, pentyl, hexyl), 15 C_{3-7} cycloalkyl group (e.g. cyclopropyl, cyclopentyl, cyclohexyl or cycloheptyl) or C_{4-10} cycloalkylalkyl group (e.g. cyclopropylmethyl, cyclopentylmethyl, cyclohexylmethyl or cyclohexylethyl).

[0064]

20 Alkyl of alkylamino or dialkylamino means straight or branched C_{1-6} alkyl group (e.g. methyl, ethyl, propyl, butyl, pentyl, hexyl).

[0065]

Substituted alkyl of substituted alkylamino means the 25 above alkyl substituted by the substituent. The said

substituent is aliphatic heterocyclic group containing 1 to 2 nitrogen atoms and 0 to 1 oxygen atom, such as pyrrolidinyl, piperidyl, piperazinyl, tetrahydroazepinyl or morpholinyl.

5 [0066]

Aliphatic heterocyclic group is one containing at least one nitrogen atom as a hetero atom, e.g. aliphatic heterocyclic group containing 1 to 2 nitrogen atoms and 0 to 1 oxygen atom, such as pyrrolidinyl, piperidyl, 10 piperazinyl, tetrahydroazepinyl or morpholinyl.

[0067]

The compound of the present invention can be formed a salt with an acid. The preferable acids are pharmaceutically acceptable acids, including inorganic acids, such as hydrochloric acid, sulfuric acid, hydrobromic acid, etc., and organic acids, such as acetic acid, oxalic acid, citric acid, malic acid, tartaric acid, 15 fumaric acid, maleic acid, etc.

Further, in case of the compound having an acidic 20 substituent, the compound may form a salt with a base.

The preferable bases are pharmaceutically acceptable bases, including inorganic bases like alkali metals, such as sodium or potassium, or organic bases, such as triethylamine or pyridine.

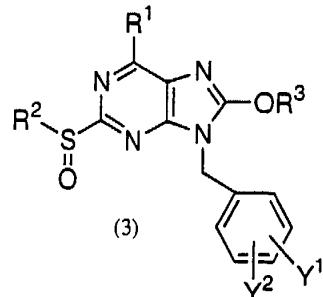
25 [0068]

The compounds included in the compound (1) of the present invention are as follows.

[0069]

[Table 1]

5



R ¹	R ²	R ³	Y ¹	Y ²
amino	H	H	H	H
amino	methyl	H	H	H
amino	ethyl	H	H	H
amino	propyl	H	H	H
amino	methylethyl	H	H	H
amino	butyl	H	H	H
amino	1-methylpropyl	H	H	H
amino	2-methylpropyl	H	H	H
amino	dimethylethyl	H	H	H
amino	pentyl	H	H	H
amino	1-methylbutyl	H	H	H
amino	2-methylbutyl	H	H	H
amino	1,1-dimethylpropyl	H	H	H
amino	1,2-dimethylpropyl	H	H	H
amino	2,2-dimethylpropyl	H	H	H
amino	hexyl	H	H	H
amino	1-methylpentyl	H	H	H
amino	2-methylpentyl	H	H	H
amino	3-methylpentyl	H	H	H
amino	4-methylpentyl	H	H	H
amino	1,1-dimethylbutyl	H	H	H
amino	1,2-dimethylbutyl	H	H	H
amino	1,3-dimethylbutyl	H	H	H
amino	2,2-dimethylbutyl	H	H	H
amino	2,3-dimethylbutyl	H	H	H
amino	3,3-dimethylbutyl	H	H	H
amino	1-ethylbutyl	H	H	H
amino	2-ethylbutyl	H	H	H
amino	1,1,2-trimethylpropyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	heptyl	H	H	H
amino	cyclopropyl	H	H	H
amino	cyclopentyl	H	H	H
amino	cyclohexyl	H	H	H
amino	cycloheptyl	H	H	H
amino	cyclopropylmethyl	H	H	H
amino	cyclopentylmethyl	H	H	H
amino	cyclohexylmethyl	H	H	H
amino	cycloheptylmethyl	H	H	H
amino	2-cyclopropylethyl	H	H	H
amino	2-cyclopentylethyl	H	H	H
amino	2-cyclohexylethyl	H	H	H
amino	2-cycloheptylethyl	H	H	H
amino	3-cyclopropylpropyl	H	H	H
amino	3-cyclopentylpropyl	H	H	H
amino	3-cyclohexylpropyl	H	H	H
amino	3-cycloheptylpropyl	H	H	H
amino	4-cyclopropylbutyl	H	H	H
amino	4-cyclopentylbutyl	H	H	H
amino	4-cyclohexylbutyl	H	H	H
amino	4-cycloheptylbutyl	H	H	H
amino	5-cyclopropylpentyl	H	H	H
amino	5-cyclopentylpentyl	H	H	H
amino	5-cyclohexylpentyl	H	H	H
amino	5-cycloheptylpentyl	H	H	H
amino	6-methoxyhexyl	H	H	H
amino	5-methoxypentyl	H	H	H
amino	4-methoxybutyl	H	H	H
amino	3-methoxypropyl	H	H	H
amino	2-methoxyethyl	H	H	H
amino	2-fluoroethyl	H	H	H
amino	3-fluoropropyl	H	H	H
amino	4-fluorobutyl	H	H	H
amino	5-fluoropentyl	H	H	H
amino	6-fluorohexyl	H	H	H
amino	2-chloroethyl	H	H	H
amino	3-chloropropyl	H	H	H
amino	4-chlorobutyl	H	H	H
amino	5-chloropentyl	H	H	H
amino	6-chlorohexyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	2-hydroxyethyl	H	H	H
amino	3-hydroxypropyl	H	H	H
amino	4-hydroxybutyl	H	H	H
amino	5-hydroxypentyl	H	H	H
amino	6-hydroxyhexyl	H	H	H
amino	3-hydroxybutyl	H	H	H
amino	2-carboxyethyl	H	H	H
amino	3-carboxypropyl	H	H	H
amino	4-carboxybutyl	H	H	H
amino	5-carboxypentyl	H	H	H
amino	6-carboxyhexyl	H	H	H
amino	2-methoxycarbonylethyl	H	H	H
amino	3-methoxycarbonylpropyl	H	H	H
amino	4-methoxycarbonylbutyl	H	H	H
amino	5-methoxycarbonylpentyl	H	H	H
amino	6-methoxycarbonylhexyl	H	H	H
amino	2-carbamoylethyl	H	H	H
amino	3-carbamoylpropyl	H	H	H
amino	4-carbamoylbutyl	H	H	H
amino	5-carbamoylpentyl	H	H	H
amino	6-carbamoylhexyl	H	H	H
amino	2-dimethylcarbamoylethyl	H	H	H
amino	3-dimethylcarbamoylpropyl	H	H	H
amino	4-dimethylcarbamoylbutyl	H	H	H
amino	5-dimethylcarbamoylpentyl	H	H	H
amino	6-dimethylcarbamoylhexyl	H	H	H
amino	2-methylcarbamoylethyl	H	H	H
amino	3-methylcarbamoylpropyl	H	H	H
amino	4-methylcarbamoylbutyl	H	H	H
amino	5-methylcarbamoylpentyl	H	H	H
amino	6-methylcarbamoylhexyl	H	H	H
amino	2-ethylcarbamoylethyl	H	H	H
amino	3-ethylcarbamoylpropyl	H	H	H
amino	4-ethylcarbamoylbutyl	H	H	H
amino	5-ethylcarbamoylpentyl	H	H	H
amino	6-ethylcarbamoylhexyl	H	H	H
amino	2-diethylcarbamoylethyl	H	H	H
amino	3-diethylcarbamoylpropyl	H	H	H

Continued

R^1	R^2	R^3	Y^1	Y^2
amino	4-diethylcarbamoylbutyl	H	H	H
amino	5-diethylcarbamoylpentyl	H	H	H
amino	6-diethylcarbamoylhexyl	H	H	H
amino	2,2,2-trifluoroethyl	H	H	H
amino	3,3,3-trifluoropropyl	H	H	H
amino	4,4,4-trifluorobutyl	H	H	H
amino	5,5,5-trifluoropentyl	H	H	H
amino	6,6,6-trifluorohexyl	H	H	H
amino	2-aminoethyl	H	H	H
amino	3-aminopropyl	H	H	H
amino	4-aminobutyl	H	H	H
amino	5-aminopentyl	H	H	H
amino	6-aminohexyl	H	H	H
amino	2-methylaminoethyl	H	H	H
amino	3-methylaminopropyl	H	H	H
amino	4-methylaminobutyl	H	H	H
amino	5-methylaminopentyl	H	H	H
amino	6-methylaminothexyl	H	H	H
amino	2-dimethylaminoethyl	H	H	H
amino	3-dimethylaminopropyl	H	H	H
amino	4-dimethylaminobutyl	H	H	H
amino	5-dimethylaminopentyl	H	H	H
amino	6-dimethylaminothexyl	H	H	H
amino	2-acetylaminoethyl	H	H	H
amino	3-acetylaminopropyl	H	H	H
amino	4-acetylaminobutyl	H	H	H
amino	5-acetylaminopentyl	H	H	H
amino	6-acetylaminothexyl	H	H	H
amino	2-benzoylaminoethyl	H	H	H
amino	3-benzoylaminopropyl	H	H	H
amino	4-benzoylaminobutyl	H	H	H
amino	5-benzoylaminopentyl	H	H	H
amino	6-benzoylaminothexyl	H	H	H
amino	2-ethoxyethyl	H	H	H
amino	3-ethoxypropyl	H	H	H
amino	4-ethoxybutyl	H	H	H
amino	5-ethoxypentyl	H	H	H
amino	2-propoxyethyl	H	H	H
amino	3-propoxypropyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	benzyl	H	H	H
amino	2-phenylethyl	H	H	H
amino	4-fluorobenzyl	H	H	H
amino	3-fluorobenzyl	H	H	H
amino	2-fluorobenzyl	H	H	H
amino	3,4-difluorobenzyl	H	H	H
amino	2,6-difluorobenzyl	H	H	H
amino	4-chlorobenzyl	H	H	H
amino	3-chlorobenzyl	H	H	H
amino	2-chlorobenzyl	H	H	H
amino	2,6-dichlorobenzyl	H	H	H
amino	3,4-dichlorobenzyl	H	H	H
amino	4-methoxybenzyl	H	H	H
amino	3-methoxybenzyl	H	H	H
amino	2-methoxybenzyl	H	H	H
amino	3,4-dimethoxybenzyl	H	H	H
amino	3,4,5-trimethoxybenzyl	H	H	H
amino	4-hydroxybenzyl	H	H	H
amino	3-hydroxybenzyl	H	H	H
amino	2-hydroxybenzyl	H	H	H
amino	3,4-dihydroxybenzyl	H	H	H
amino	4-methylbenzyl	H	H	H
amino	3-methylbenzyl	H	H	H
amino	2-methylbenzyl	H	H	H
amino	4-aminobenzyl	H	H	H
amino	3-aminobenzyl	H	H	H
amino	2-aminobenzyl	H	H	H
amino	4-dimethylaminobenzyl	H	H	H
amino	3-dimethylaminobenzyl	H	H	H
amino	2-dimethylaminobenzyl	H	H	H
amino	4-carbamoylbenzyl	H	H	H
amino	3-carbamoylbenzyl	H	H	H
amino	2-carbamoylbenzyl	H	H	H
amino	4-dimethylcarbamoylbenzyl	H	H	H
amino	3-dimethylcarbamoylbenzyl	H	H	H
amino	2-dimethylcarbamoylbenzyl	H	H	H
amino	4-methylcarbamoylbenzyl	H	H	H
amino	3-methylcarbamoylbenzyl	H	H	H
amino	2-methylcarbamoylbenzyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	4-cyanobenzyl	H	H	H
amino	3-cyanobenzyl	H	H	H
amino	2-cyanobenzyl	H	H	H
amino	4-phenoxybenzyl	H	H	H
amino	3-phenoxybenzyl	H	H	H
amino	2-phenoxybenzyl	H	H	H
amino	4-carboxybenzyl	H	H	H
amino	3-carboxybenzyl	H	H	H
amino	2-carboxybenzyl	H	H	H
amino	4-methoxycarbonylbenzyl	H	H	H
amino	3-methoxycarbonylbenzyl	H	H	H
amino	2-methoxycarbonylbenzyl	H	H	H
amino	4-acetylbenzyl	H	H	H
amino	3-acetylbenzyl	H	H	H
amino	2-acetylbenzyl	H	H	H
amino	4-benzoylbenzyl	H	H	H
amino	3-benzoylbenzyl	H	H	H
amino	2-benzoylbenzyl	H	H	H
amino	phenyl	H	H	H
amino	4-fluorophenyl	H	H	H
amino	3-fluorophenyl	H	H	H
amino	2-fluorophenyl	H	H	H
amino	3,4-difluorophenyl	H	H	H
amino	2,6-difluorophenyl	H	H	H
amino	4-chlorophenyl	H	H	H
amino	3-chlorophenyl	H	H	H
amino	2-chlorophenyl	H	H	H
amino	3,4-dichlorophenyl	H	H	H
amino	2,6-dichlorophenyl	H	H	H
amino	2-methoxyphenyl	H	H	H
amino	3-methoxyphenyl	H	H	H
amino	4-methoxyphenyl	H	H	H
amino	3,4-dimethoxyphenyl	H	H	H
amino	3,4,5-trimethoxyphenyl	H	H	H
amino	2-hydroxyphenyl	H	H	H
amino	3-hydroxyphenyl	H	H	H
amino	4-hydroxyphenyl	H	H	H
amino	3,4-hydroxyphenyl	H	H	H
amino	4-methylphenyl	H	H	H
amino	3-methylphenyl	H	H	H
amino	2-methylphenyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	4-aminophenyl	H	H	H
amino	3-aminophenyl	H	H	H
amino	2-aminophenyl	H	H	H
amino	4-dimethylaminophenyl	H	H	H
amino	3-dimethylaminophenyl	H	H	H
amino	2-dimethylaminophenyl	H	H	H
amino	4-methylaminophenyl	H	H	H
amino	3-methylaminophenyl	H	H	H
amino	2-methylaminophenyl	H	H	H
amino	4-cyanophenyl	H	H	H
amino	3-cyanophenyl	H	H	H
amino	2cyanophenyl	H	H	H
amino	4-phenoxyphenyl	H	H	H
amino	3-phenoxyphenyl	H	H	H
amino	2-phenoxyphenyl	H	H	H
amino	4-carbamoylphenyl	H	H	H
amino	3-carbamoylphenyl	H	H	H
amino	2-carbamoylphenyl	H	H	H
amino	4-methylcarbamoylphenyl	H	H	H
amino	3-methylcarbamoylphenyl	H	H	H
amino	2-methylcarbamoylphenyl	H	H	H
amino	4-dimethylcarbamoylphenyl	H	H	H
amino	3-dimethylcarbamoylphenyl	H	H	H
amino	2-dimethylcarbamoylphenyl	H	H	H
amino	4-carboxyphenyl	H	H	H
amino	3-carboxyphenyl	H	H	H
amino	2-carboxyphenyl	H	H	H
amino	4-methoxycarbonylphenyl	H	H	H
amino	3-methoxycarbonylphenyl	H	H	H
amino	2-methoxycarbonylphenyl	H	H	H
amino	4-acetylphenyl	H	H	H
amino	3-acetylphenyl	H	H	H
amino	2-acetylphenyl	H	H	H
amino	4-benzoylphenyl	H	H	H
amino	3-benzoylphenyl	H	H	H
amino	2-benzoylphenyl	H	H	H
amino	2-(4-fluorophenyl)ethyl	H	H	H
amino	2-(4-chlorophenyl)ethyl	H	H	H
amino	2-(4-methoxyphenyl)ethyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	methyl	H	2-chloro	H
amino	methyl	H	3-chloro	H
amino	methyl	H	4-chloro	H
amino	methyl	H	2-fluoro	H
amino	methyl	H	3-fluoro	H
amino	methyl	H	4-fluoro	H
amino	methyl	H	2-bromo	H
amino	methyl	H	3-bromo	H
amino	methyl	H	4-bromo	H
amino	methyl	H	2-nitro	H
amino	methyl	H	3-nitro	H
amino	methyl	H	4-nitro	H
amino	methyl	H	2-methoxy	H
amino	methyl	H	3-methoxy	H
amino	methyl	H	4-methoxy	H
amino	methyl	H	2-chloro	4-chloro
amino	methyl	H	3-chloro	4-chloro
amino	methyl	H	2-fluoro	4-fluoro
amino	methyl	H	3-fluoro	4-fluoro
amino	methyl	H	2-bromo	4-bromo
amino	methyl	H	3-bromo	4-bromo
amino	methyl	H	2-methoxy	4-methoxy
amino	methyl	H	3-methoxy	4-methoxy
amino	methyl	H	2-acetyl	H
amino	methyl	H	3-acetyl	H
amino	methyl	H	4-acetyl	H
amino	methyl	H	2-benzoyl	H
amino	methyl	H	3-benzoyl	H
amino	methyl	H	4-benzoyl	H
amino	methyl	H	2-cyano	H
amino	methyl	H	3-cyano	H
amino	methyl	H	4-cyano	H
amino	methyl	H	2-carbamoyl	H
amino	methyl	H	3-carbamoyl	H
amino	methyl	H	4-carbamoyl	H
amino	methyl	H	2-dimethylcarbamoyl	H
amino	methyl	H	3-dimethylcarbamoyl	H
amino	methyl	H	4-dimethylcarbamoyl	H
amino	methyl	H	2-methylcarbamoyl	H
amino	methyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	methyl	H	4-methylcarbamoyl	H
amino	methyl	H	2-methoxycarbonyl	H
amino	methyl	H	3-methoxycarbonyl	H
amino	methyl	H	4-methoxycarbonyl	H
amino	methyl	H	2-amino	H
amino	methyl	H	3-amino	H
amino	methyl	H	4-amino	H
amino	methyl	H	2-dimethylamino	H
amino	methyl	H	3-dimethylamino	H
amino	methyl	H	4-dimethylamino	H
amino	methyl	H	2-methylamino	H
amino	methyl	H	3-methylamino	H
amino	methyl	H	4-methylamino	H
amino	methyl	H	2-trifluoromethyl	H
amino	methyl	H	3-trifluoromethyl	H
amino	methyl	H	4-trifluoromethyl	H
amino	methyl	H	2-fluoromethyl	H
amino	methyl	H	3-fluoromethyl	H
amino	methyl	H	4-fluoromethyl	H
amino	methyl	H	2-hydroxy	H
amino	methyl	H	3-hydroxy	H
amino	methyl	H	4-hydroxy	H
amino	methyl	H	4-(4-fluorobenzoyl)	H
amino	methyl	H	3-(4-fluorobenzoyl)	H
amino	methyl	H	2-(4-fluorobenzoyl)	H
amino	methyl	H	4-(4-methylbenzoyl)	H
amino	methyl	H	3-(4-methylbenzoyl)	H
amino	methyl	H	2-(4-methylbenzoyl)	H
amino	methyl	H	4-chloroacetyl	H
amino	methyl	H	3-chloroacetyl	H
amino	methyl	H	2-chloroacetyl	H
amino	methyl	H	4-ethoxycarbonyl	H
amino	methyl	H	3-ethoxycarbonyl	H
amino	methyl	H	2-ethoxycarbonyl	H
amino	methyl	H	4-carboxy	H
amino	methyl	H	3-carboxy	H
amino	methyl	H	2-carboxy	H
amino	methyl	H	4-chloromethoxy	H
amino	methyl	H	3-chloromethoxy	H
amino	methyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	ethyl	H	2-chloro	H
amino	ethyl	H	3-chloro	H
amino	ethyl	H	4-chloro	H
amino	ethyl	H	2-fluoro	H
amino	ethyl	H	3-fluoro	H
amino	ethyl	H	4-fluoro	H
amino	ethyl	H	2-bromo	H
amino	ethyl	H	3-bromo	H
amino	ethyl	H	4-bromo	H
amino	ethyl	H	2-nitro	H
amino	ethyl	H	3-nitro	H
amino	ethyl	H	4-nitro	H
amino	ethyl	H	2-methoxy	H
amino	ethyl	H	3-methoxy	H
amino	ethyl	H	4-methoxy	H
amino	ethyl	H	2-chloro	4-chloro
amino	ethyl	H	3-chloro	4-chloro
amino	ethyl	H	2-fluoro	4-fluoro
amino	ethyl	H	3-fluoro	4-fluoro
amino	ethyl	H	2-bromo	4-bromo
amino	ethyl	H	3-bromo	4-bromo
amino	ethyl	H	2-methoxy	4-methoxy
amino	ethyl	H	3-methoxy	4-methoxy
amino	ethyl	H	2-acetyl	H
amino	ethyl	H	3-acetyl	H
amino	ethyl	H	4-acetyl	H
amino	ethyl	H	2-benzoyl	H
amino	ethyl	H	3-benzoyl	H
amino	ethyl	H	4-benzoyl	H
amino	ethyl	H	2-cyano	H
amino	ethyl	H	3-cyano	H
amino	ethyl	H	4-cyano	H
amino	ethyl	H	2-carbamoyl	H
amino	ethyl	H	3-carbamoyl	H
amino	ethyl	H	4-carbamoyl	H
amino	ethyl	H	2-dimethylcarbamoyl	H
amino	ethyl	H	3-dimethylcarbamoyl	H
amino	ethyl	H	4-dimethylcarbamoyl	H
amino	ethyl	H	2-methylcarbamoyl	H
amino	ethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	ethyl	H	4-methylcarbamoyl	H
amino	ethyl	H	2-methoxycarbonyl	H
amino	ethyl	H	3-methoxycarbonyl	H
amino	ethyl	H	4-methoxycarbonyl	H
amino	ethyl	H	2-amino	H
amino	ethyl	H	3-amino	H
amino	ethyl	H	4-amino	H
amino	ethyl	H	2-dimethylamino	H
amino	ethyl	H	3-dimethylamino	H
amino	ethyl	H	4-dimethylamino	H
amino	ethyl	H	2-methylamino	H
amino	ethyl	H	3-methylamino	H
amino	ethyl	H	4-methylamino	H
amino	ethyl	H	2-trifluoromethyl	H
amino	ethyl	H	3-trifluoromethyl	H
amino	ethyl	H	4-trifluoromethyl	H
amino	ethyl	H	2-fluoromethyl	H
amino	ethyl	H	3-fluoromethyl	H
amino	ethyl	H	4-fluoromethyl	H
amino	ethyl	H	2-hydroxy	H
amino	ethyl	H	3-hydroxy	H
amino	ethyl	H	4-hydroxy	H
amino	ethyl	H	4-(4-fluorobenzoyl)	H
amino	ethyl	H	3-(4-fluorobenzoyl)	H
amino	ethyl	H	2-(4-fluorobenzoyl)	H
amino	ethyl	H	4-(4-methylbenzoyl)	H
amino	ethyl	H	3-(4-methylbenzoyl)	H
amino	ethyl	H	2-(4-methylbenzoyl)	H
amino	ethyl	H	4-chloroacetyl	H
amino	ethyl	H	3-chloroacetyl	H
amino	ethyl	H	2-chloroacetyl	H
amino	ethyl	H	4-ethoxycarbonyl	H
amino	ethyl	H	3-ethoxycarbonyl	H
amino	ethyl	H	2-ethoxycarbonyl	H
amino	ethyl	H	4-carboxy	H
amino	ethyl	H	3-carboxy	H
amino	ethyl	H	2-carboxy	H
amino	ethyl	H	4-chloromethoxy	H
amino	ethyl	H	3-chloromethoxy	H
amino	ethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	propyl	H	2-chloro	H
amino	propyl	H	3-chloro	H
amino	propyl	H	4-chloro	H
amino	propyl	H	2-fluoro	H
amino	propyl	H	3-fluoro	H
amino	propyl	H	4-fluoro	H
amino	propyl	H	2-bromo	H
amino	propyl	H	3-bromo	H
amino	propyl	H	4-bromo	H
amino	propyl	H	2-nitro	H
amino	propyl	H	3-nitro	H
amino	propyl	H	4-nitro	H
amino	propyl	H	2-methoxy	H
amino	propyl	H	3-methoxy	H
amino	propyl	H	4-methoxy	H
amino	propyl	H	2-chloro	4-chloro
amino	propyl	H	3-chloro	4-chloro
amino	propyl	H	2-fluoro	4-fluoro
amino	propyl	H	3-fluoro	4-fluoro
amino	propyl	H	2-bromo	4-bromo
amino	propyl	H	3-bromo	4-bromo
amino	propyl	H	2-methoxy	4-methoxy
amino	propyl	H	3-methoxy	4-methoxy
amino	propyl	H	2-acetyl	H
amino	propyl	H	3-acetyl	H
amino	propyl	H	4-acetyl	H
amino	propyl	H	2-benzoyl	H
amino	propyl	H	3-benzoyl	H
amino	propyl	H	4-benzoyl	H
amino	propyl	H	2-cyano	H
amino	propyl	H	3-cyano	H
amino	propyl	H	4-cyano	H
amino	propyl	H	2-carbamoyl	H
amino	propyl	H	3-carbamoyl	H
amino	propyl	H	4-carbamoyl	H
amino	propyl	H	2-dimethylcarbamoyl	H
amino	propyl	H	3-dimethylcarbamoyl	H
amino	propyl	H	4-dimethylcarbamoyl	H
amino	propyl	H	2-methylcarbamoyl	H
amino	propyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	propyl	H	4-methylcarbamoyl	H
amino	propyl	H	2-methoxycarbonyl	H
amino	propyl	H	3-methoxycarbonyl	H
amino	propyl	H	4-methoxycarbonyl	H
amino	propyl	H	2-amino	H
amino	propyl	H	3-amino	H
amino	propyl	H	4-amino	H
amino	propyl	H	2-dimethylamino	H
amino	propyl	H	3-dimethylamino	H
amino	propyl	H	4-dimethylamino	H
amino	propyl	H	2-methylamino	H
amino	propyl	H	3-methylamino	H
amino	propyl	H	4-methylamino	H
amino	propyl	H	2-trifluoromethyl	H
amino	propyl	H	3-trifluoromethyl	H
amino	propyl	H	4-trifluoromethyl	H
amino	propyl	H	2-fluoromethyl	H
amino	propyl	H	3-fluoromethyl	H
amino	propyl	H	4-fluoromethyl	H
amino	propyl	H	2-hydroxy	H
amino	propyl	H	3-hydroxy	H
amino	propyl	H	4-hydroxy	H
amino	propyl	H	4-(4-fluorobenzoyl)	H
amino	propyl	H	3-(4-fluorobenzoyl)	H
amino	propyl	H	2-(4-fluorobenzoyl)	H
amino	propyl	H	4-(4-methylbenzoyl)	H
amino	propyl	H	3-(4-methylbenzoyl)	H
amino	propyl	H	2-(4-methylbenzoyl)	H
amino	propyl	H	4-chloroacetyl	H
amino	propyl	H	3-chloroacetyl	H
amino	propyl	H	2-chloroacetyl	H
amino	propyl	H	4-ethoxycarbonyl	H
amino	propyl	H	3-ethoxycarbonyl	H
amino	propyl	H	2-ethoxycarbonyl	H
amino	propyl	H	4-carboxy	H
amino	propyl	H	3-carboxy	H
amino	propyl	H	2-carboxy	H
amino	propyl	H	4-chloromethoxy	H
amino	propyl	H	3-chloromethoxy	H
amino	propyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	butyl	H	2-chloro	H
amino	butyl	H	3-chloro	H
amino	butyl	H	4-chloro	H
amino	butyl	H	2-fluoro	H
amino	butyl	H	3-fluoro	H
amino	butyl	H	4-fluoro	H
amino	butyl	H	2-bromo	H
amino	butyl	H	3-bromo	H
amino	butyl	H	4-bromo	H
amino	butyl	H	2-nitro	H
amino	butyl	H	3-nitro	H
amino	butyl	H	4-nitro	H
amino	butyl	H	2-methoxy	H
amino	butyl	H	3-methoxy	H
amino	butyl	H	4-methoxy	H
amino	butyl	H	2-chloro	4-chloro
amino	butyl	H	3-chloro	4-chloro
amino	butyl	H	2-fluoro	4-fluoro
amino	butyl	H	3-fluoro	4-fluoro
amino	butyl	H	2-bromo	4-bromo
amino	butyl	H	3-bromo	4-bromo
amino	butyl	H	2-methoxy	4-methoxy
amino	butyl	H	3-methoxy	4-methoxy
amino	butyl	H	2-acetyl	H
amino	butyl	H	3-acetyl	H
amino	butyl	H	4-acetyl	H
amino	butyl	H	2-benzoyl	H
amino	butyl	H	3-benzoyl	H
amino	butyl	H	4-benzoyl	H
amino	butyl	H	2-cyano	H
amino	butyl	H	3-cyano	H
amino	butyl	H	4-cyano	H
amino	butyl	H	2-carbamoyl	H
amino	butyl	H	3-carbamoyl	H
amino	butyl	H	4-carbamoyl	H
amino	butyl	H	2-dimethylcarbamoyl	H
amino	butyl	H	3-dimethylcarbamoyl	H
amino	butyl	H	4-dimethylcarbamoyl	H
amino	butyl	H	2-methylcarbamoyl	H
amino	butyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	butyl	H	4-methylcarbamoyl	H
amino	butyl	H	2-methoxycarbonyl	H
amino	butyl	H	3-methoxycarbonyl	H
amino	butyl	H	4-methoxycarbonyl	H
amino	butyl	H	2-amino	H
amino	butyl	H	3-amino	H
amino	butyl	H	4-amino	H
amino	butyl	H	2-dimethylamino	H
amino	butyl	H	3-dimethylamino	H
amino	butyl	H	4-dimethylamino	H
amino	butyl	H	2-methylamino	H
amino	butyl	H	3-methylamino	H
amino	butyl	H	4-methylamino	H
amino	butyl	H	2-trifluoromethyl	H
amino	butyl	H	3-trifluoromethyl	H
amino	butyl	H	4-trifluoromethyl	H
amino	butyl	H	2-fluoromethyl	H
amino	butyl	H	3-fluoromethyl	H
amino	butyl	H	4-fluoromethyl	H
amino	butyl	H	2-hydroxy	H
amino	butyl	H	3-hydroxy	H
amino	butyl	H	4-hydroxy	H
amino	butyl	H	4-(4-fluorobenzoyl)	H
amino	butyl	H	3-(4-fluorobenzoyl)	H
amino	butyl	H	2-(4-fluorobenzoyl)	H
amino	butyl	H	4-(4-methylbenzoyl)	H
amino	butyl	H	3-(4-methylbenzoyl)	H
amino	butyl	H	2-(4-methylbenzoyl)	H
amino	butyl	H	4-chloroacetyl	H
amino	butyl	H	3-chloroacetyl	H
amino	butyl	H	2-chloroacetyl	H
amino	butyl	H	4-ethoxycarbonyl	H
amino	butyl	H	3-ethoxycarbonyl	H
amino	butyl	H	2-ethoxycarbonyl	H
amino	butyl	H	4-carboxy	H
amino	butyl	H	3-carboxy	H
amino	butyl	H	2-carboxy	H
amino	butyl	H	4-chloromethoxy	H
amino	butyl	H	3-chloromethoxy	H
amino	butyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	benzyl	H	2-chloro	H
amino	benzyl	H	3-chloro	H
amino	benzyl	H	4-chloro	H
amino	benzyl	H	2-fluoro	H
amino	benzyl	H	3-fluoro	H
amino	benzyl	H	4-fluoro	H
amino	benzyl	H	2-bromo	H
amino	benzyl	H	3-bromo	H
amino	benzyl	H	4-bromo	H
amino	benzyl	H	2-nitro	H
amino	benzyl	H	3-nitro	H
amino	benzyl	H	4-nitro	H
amino	benzyl	H	2-methoxy	H
amino	benzyl	H	3-methoxy	H
amino	benzyl	H	4-methoxy	H
amino	benzyl	H	2-chloro	4-chloro
amino	benzyl	H	3-chloro	4-chloro
amino	benzyl	H	2-fluoro	4-fluoro
amino	benzyl	H	3-fluoro	4-fluoro
amino	benzyl	H	2-bromo	4-bromo
amino	benzyl	H	3-bromo	4-bromo
amino	benzyl	H	2-methoxy	4-methoxy
amino	benzyl	H	3-methoxy	4-methoxy
amino	benzyl	H	2-acetyl	H
amino	benzyl	H	3-acetyl	H
amino	benzyl	H	4-acetyl	H
amino	benzyl	H	2-benzoyl	H
amino	benzyl	H	3-benzoyl	H
amino	benzyl	H	4-benzoyl	H
amino	benzyl	H	2-cyano	H
amino	benzyl	H	3-cyano	H
amino	benzyl	H	4-cyano	H
amino	benzyl	H	2-carbamoyl	H
amino	benzyl	H	3-carbamoyl	H
amino	benzyl	H	4-carbamoyl	H
amino	benzyl	H	2-dimethylcarbamoyl	H
amino	benzyl	H	3-dimethylcarbamoyl	H
amino	benzyl	H	4-dimethylcarbamoyl	H
amino	benzyl	H	2-methylcarbamoyl	H
amino	benzyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	benzyl	H	4-methylcarbamoyl	H
amino	benzyl	H	2-methoxycarbonyl	H
amino	benzyl	H	3-methoxycarbonyl	H
amino	benzyl	H	4-methoxycarbonyl	H
amino	benzyl	H	2-amino	H
amino	benzyl	H	3-amino	H
amino	benzyl	H	4-amino	H
amino	benzyl	H	2-dimethylamino	H
amino	benzyl	H	3-dimethylamino	H
amino	benzyl	H	4-dimethylamino	H
amino	benzyl	H	2-methylamino	H
amino	benzyl	H	3-methylamino	H
amino	benzyl	H	4-methylamino	H
amino	benzyl	H	2-trifluoromethyl	H
amino	benzyl	H	3-trifluoromethyl	H
amino	benzyl	H	4-trifluoromethyl	H
amino	benzyl	H	2-fluoromethyl	H
amino	benzyl	H	3-fluoromethyl	H
amino	benzyl	H	4-fluoromethyl	H
amino	benzyl	H	2-hydroxy	H
amino	benzyl	H	3-hydroxy	H
amino	benzyl	H	4-hydroxy	H
amino	benzyl	H	4-(4-fluorobenzoyl)	H
amino	benzyl	H	3-(4-fluorobenzoyl)	H
amino	benzyl	H	2-(4-fluorobenzoyl)	H
amino	benzyl	H	4-(4-methylbenzoyl)	H
amino	benzyl	H	3-(4-methylbenzoyl)	H
amino	benzyl	H	2-(4-methylbenzoyl)	H
amino	benzyl	H	4-chloroacetyl	H
amino	benzyl	H	3-chloroacetyl	H
amino	benzyl	H	2-chloroacetyl	H
amino	benzyl	H	4-ethoxycarbonyl	H
amino	benzyl	H	3-ethoxycarbonyl	H
amino	benzyl	H	2-ethoxycarbonyl	H
amino	benzyl	H	4-carboxy	H
amino	benzyl	H	3-carboxy	H
amino	benzyl	H	2-carboxy	H
amino	benzyl	H	4-chloromethoxy	H
amino	benzyl	H	3-chloromethoxy	H
amino	benzyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	cyclohexylmethyl	H	3-chloro	H
amino	cyclohexylmethyl	H	3-chloro	H
amino	cyclohexylmethyl	H	4-chloro	H
amino	cyclohexylmethyl	H	2-fluoro	H
amino	cyclohexylmethyl	H	3-fluoro	H
amino	cyclohexylmethyl	H	4-fluoro	H
amino	cyclohexylmethyl	H	2-bromo	H
amino	cyclohexylmethyl	H	3-bromo	H
amino	cyclohexylmethyl	H	4-bromo	H
amino	cyclohexylmethyl	H	2-nitro	H
amino	cyclohexylmethyl	H	3-nitro	H
amino	cyclohexylmethyl	H	4-nitro	H
amino	cyclohexylmethyl	H	2-methoxy	H
amino	cyclohexylmethyl	H	3-methoxy	H
amino	cyclohexylmethyl	H	4-methoxy	H
amino	cyclohexylmethyl	H	2-chloro	4-chloro
amino	cyclohexylmethyl	H	3-chloro	4-chloro
amino	cyclohexylmethyl	H	2-fluoro	4-fluoro
amino	cyclohexylmethyl	H	3-fluoro	4-fluoro
amino	cyclohexylmethyl	H	2-bromo	4-bromo
amino	cyclohexylmethyl	H	3-bromo	4-bromo
amino	cyclohexylmethyl	H	2-methoxy	4-methoxy
amino	cyclohexylmethyl	H	3-methoxy	4-methoxy
amino	cyclohexylmethyl	H	2-acetyl	H
amino	cyclohexylmethyl	H	3-acetyl	H
amino	cyclohexylmethyl	H	4-acetyl	H
amino	cyclohexylmethyl	H	2-benzoyl	H
amino	cyclohexylmethyl	H	3-benzoyl	H
amino	cyclohexylmethyl	H	4-benzoyl	H
amino	cyclohexylmethyl	H	2-cyano	H
amino	cyclohexylmethyl	H	3-cyano	H
amino	cyclohexylmethyl	H	4-cyano	H
amino	cyclohexylmethyl	H	2-carbamoyl	H
amino	cyclohexylmethyl	H	3-carbamoyl	H
amino	cyclohexylmethyl	H	4-carbamoyl	H
amino	cyclohexylmethyl	H	2-dimethylcarbamoyl	H
amino	cyclohexylmethyl	H	3-dimethylcarbamoyl	H
amino	cyclohexylmethyl	H	4-dimethylcarbamoyl	H
amino	cyclohexylmethyl	H	2-methylcarbamoyl	H
amino	cyclohexylmethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	cyclohexylmethyl	H	4-methylcarbamoyl	H
amino	cyclohexylmethyl	H	2-methoxycarbonyl	H
amino	cyclohexylmethyl	H	3-methoxycarbonyl	H
amino	cyclohexylmethyl	H	4-methoxycarbonyl	H
amino	cyclohexylmethyl	H	2-amino	H
amino	cyclohexylmethyl	H	3-amino	H
amino	cyclohexylmethyl	H	4-amino	H
amino	cyclohexylmethyl	H	2-dimethylamino	H
amino	cyclohexylmethyl	H	3-dimethylamino	H
amino	cyclohexylmethyl	H	4-dimethylamino	H
amino	cyclohexylmethyl	H	2-methylamino	H
amino	cyclohexylmethyl	H	3-methylamino	H
amino	cyclohexylmethyl	H	4-methylamino	H
amino	cyclohexylmethyl	H	2-trifluoromethyl	H
amino	cyclohexylmethyl	H	3-trifluoromethyl	H
amino	cyclohexylmethyl	H	4-trifluoromethyl	H
amino	cyclohexylmethyl	H	2-fluoromethyl	H
amino	cyclohexylmethyl	H	3-fluoromethyl	H
amino	cyclohexylmethyl	H	4-fluoromethyl	H
amino	cyclohexylmethyl	H	2-hydroxy	H
amino	cyclohexylmethyl	H	3-hydroxy	H
amino	cyclohexylmethyl	H	4-hydroxy	H
amino	cyclohexylmethyl	H	4-(4-fluorobenzoyl)	H
amino	cyclohexylmethyl	H	3-(4-fluorobenzoyl)	H
amino	cyclohexylmethyl	H	2-(4-fluorobenzoyl)	H
amino	cyclohexylmethyl	H	4-(4-methylbenzoyl)	H
amino	cyclohexylmethyl	H	3-(4-methylbenzoyl)	H
amino	cyclohexylmethyl	H	2-(4-methylbenzoyl)	H
amino	cyclohexylmethyl	H	4-chloroacetyl	H
amino	cyclohexylmethyl	H	3-chloroacetyl	H
amino	cyclohexylmethyl	H	2-chloroacetyl	H
amino	cyclohexylmethyl	H	4-ethoxycarbonyl	H
amino	cyclohexylmethyl	H	3-ethoxycarbonyl	H
amino	cyclohexylmethyl	H	2-ethoxycarbonyl	H
amino	cyclohexylmethyl	H	4-carboxy	H
amino	cyclohexylmethyl	H	3-carboxy	H
amino	cyclohexylmethyl	H	2-carboxy	H
amino	cyclohexylmethyl	H	4-chloromethoxy	H
amino	cyclohexylmethyl	H	3-chloromethoxy	H
amino	cyclohexylmethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	2-methoxyethyl	H	3-chloro	H
amino	2-methoxyethyl	H	3-chloro	H
amino	2-methoxyethyl	H	4-chloro	H
amino	2-methoxyethyl	H	2-fluoro	H
amino	2-methoxyethyl	H	3-fluoro	H
amino	2-methoxyethyl	H	4-fluoro	H
amino	2-methoxyethyl	H	2-bromo	H
amino	2-methoxyethyl	H	3-bromo	H
amino	2-methoxyethyl	H	4-bromo	H
amino	2-methoxyethyl	H	2-nitro	H
amino	2-methoxyethyl	H	3-nitro	H
amino	2-methoxyethyl	H	4-nitro	H
amino	2-methoxyethyl	H	2-methoxy	H
amino	2-methoxyethyl	H	3-methoxy	H
amino	2-methoxyethyl	H	4-methoxy	H
amino	2-methoxyethyl	H	2-chloro	4-chloro
amino	2-methoxyethyl	H	3-chloro	4-chloro
amino	2-methoxyethyl	H	2-fluoro	4-fluoro
amino	2-methoxyethyl	H	3-fluoro	4-fluoro
amino	2-methoxyethyl	H	2-bromo	4-bromo
amino	2-methoxyethyl	H	3-bromo	4-bromo
amino	2-methoxyethyl	H	2-methoxy	4-methoxy
amino	2-methoxyethyl	H	3-methoxy	4-methoxy
amino	2-methoxyethyl	H	2-acetyl	H
amino	2-methoxyethyl	H	3-acetyl	H
amino	2-methoxyethyl	H	4-acetyl	H
amino	2-methoxyethyl	H	2-benzoyl	H
amino	2-methoxyethyl	H	3-benzoyl	H
amino	2-methoxyethyl	H	4-benzoyl	H
amino	2-methoxyethyl	H	2-cyano	H
amino	2-methoxyethyl	H	3-cyano	H
amino	2-methoxyethyl	H	4-cyano	H
amino	2-methoxyethyl	H	2-carbamoyl	H
amino	2-methoxyethyl	H	3-carbamoyl	H
amino	2-methoxyethyl	H	4-carbamoyl	H
amino	2-methoxyethyl	H	2-dimethylcarbamoyl	H
amino	2-methoxyethyl	H	3-dimethylcarbamoyl	H
amino	2-methoxyethyl	H	4-dimethylcarbamoyl	H
amino	2-methoxyethyl	H	2-methylcarbamoyl	H
amino	2-methoxyethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
amino	2-methoxyethyl	H	4-methylcarbamoyl	H
amino	2-methoxyethyl	H	2-methoxycarbonyl	H
amino	2-methoxyethyl	H	3-methoxycarbonyl	H
amino	2-methoxyethyl	H	4-methoxycarbonyl	H
amino	2-methoxyethyl	H	2-amino	H
amino	2-methoxyethyl	H	3-amino	H
amino	2-methoxyethyl	H	4-amino	H
amino	2-methoxyethyl	H	2-dimethylamino	H
amino	2-methoxyethyl	H	3-dimethylamino	H
amino	2-methoxyethyl	H	4-dimethylamino	H
amino	2-methoxyethyl	H	2-methylamino	H
amino	2-methoxyethyl	H	3-methylamino	H
amino	2-methoxyethyl	H	4-methylamino	H
amino	2-methoxyethyl	H	2-trifluoromethyl	H
amino	2-methoxyethyl	H	3-trifluoromethyl	H
amino	2-methoxyethyl	H	4-trifluoromethyl	H
amino	2-methoxyethyl	H	2-fluoromethyl	H
amino	2-methoxyethyl	H	3-fluoromethyl	H
amino	2-methoxyethyl	H	4-fluoromethyl	H
amino	2-methoxyethyl	H	2-hydroxy	H
amino	2-methoxyethyl	H	3-hydroxy	H
amino	2-methoxyethyl	H	4-hydroxy	H
amino	2-methoxyethyl	H	4-(4-fluorobenzoyl)	H
amino	2-methoxyethyl	H	3-(4-fluorobenzoyl)	H
amino	2-methoxyethyl	H	2-(4-fluorobenzoyl)	H
amino	2-methoxyethyl	H	4-(4-methylbenzoyl)	H
amino	2-methoxyethyl	H	3-(4-methylbenzoyl)	H
amino	2-methoxyethyl	H	2-(4-methylbenzoyl)	H
amino	2-methoxyethyl	H	4-chloroacetyl	H
amino	2-methoxyethyl	H	3-chloroacetyl	H
amino	2-methoxyethyl	H	2-chloroacetyl	H
amino	2-methoxyethyl	H	4-ethoxycarbonyl	H
amino	2-methoxyethyl	H	3-ethoxycarbonyl	H
amino	2-methoxyethyl	H	2-ethoxycarbonyl	H
amino	2-methoxyethyl	H	4-carboxy	H
amino	2-methoxyethyl	H	3-carboxy	H
amino	2-methoxyethyl	H	2-carboxy	H
amino	2-methoxyethyl	H	4-chloromethoxy	H
amino	2-methoxyethyl	H	3-chloromethoxy	H
amino	2-methoxyethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	H	H	H	H
methylamino	methyl	H	H	H
methylamino	ethyl	H	H	H
methylamino	propyl	H	H	H
methylamino	methylethyl	H	H	H
methylamino	butyl	H	H	H
methylamino	1-methylpropyl	H	H	H
methylamino	2-methylpropyl	H	H	H
methylamino	dimethylethyl	H	H	H
methylamino	pentyl	H	H	H
methylamino	1-methylbutyl	H	H	H
methylamino	2-methylbutyl	H	H	H
methylamino	1,1-dimethylpropyl	H	H	H
methylamino	1,2-dimethylpropyl	H	H	H
methylamino	2,2-dimethylpropyl	H	H	H
methylamino	hexyl	H	H	H
methylamino	1-methylpentyl	H	H	H
methylamino	2-methylpentyl	H	H	H
methylamino	3-methylpentyl	H	H	H
methylamino	4-methylpentyl	H	H	H
methylamino	1,1-dimethylbutyl	H	H	H
methylamino	1,2-dimethylbutyl	H	H	H
methylamino	1,3-dimethylbutyl	H	H	H
methylamino	2,2-dimethylbutyl	H	H	H
methylamino	2,3-dimethylbutyl	H	H	H
methylamino	3,3-dimethylbutyl	H	H	H
methylamino	1-ethylbutyl	H	H	H
methylamino	2-ethylbutyl	H	H	H
methylamino	1,1,2-trimethylpropyl	H	H	H
methylamino	heptyl	H	H	H
methylamino	cyclopropyl	H	H	H
methylamino	cyclopentyl	H	H	H
methylamino	cyclohexyl	H	H	H
methylamino	cycloheptyl	H	H	H
methylamino	cyclopropylmethyl	H	H	H
methylamino	cyclopentylmethyl	H	H	H
methylamino	cyclohexylmethyl	H	H	H
methylamino	cycloheptylmethyl	H	H	H
methylamino	2-methoxyethyl	H	H	H
methylamino	3-methoxypropyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	4-methoxybutyl	H	H	H
methylamino	5-methoxypentyl	H	H	H
methylamino	5-ethoxypentyl	H	H	H
methylamino	2-ethoxyethyl	H	H	H
methylamino	3-ethoxypropyl	H	H	H
methylamino	4-ethoxybutyl	H	H	H
methylamino	2-carboxyethyl	H	H	H
methylamino	3-carboxypropyl	H	H	H
methylamino	4-carboxybutyl	H	H	H
methylamino	5-carboxypentyl	H	H	H
methylamino	2-hydroxyethyl	H	H	H
methylamino	3-hydroxypropyl	H	H	H
methylamino	4-hydroxybutyl	H	H	H
methylamino	5-hydroxypentyl	H	H	H
methylamino	2-methoxycarbonylethyl	H	H	H
methylamino	3-methoxycarbonylpropyl	H	H	H
methylamino	4-methoxycarbonylbutyl	H	H	H
methylamino	5-methoxycarbonylpentyl	H	H	H
methylamino	2-aminoethyl	H	H	H
methylamino	3-aminopropyl	H	H	H
methylamino	4-aminobutyl	H	H	H
methylamino	5-aminopentyl	H	H	H
methylamino	6-aminohexyl	H	H	H
methylamino	2-chloroethyl	H	H	H
methylamino	3-chloropropyl	H	H	H
methylamino	4-chlorobutyl	H	H	H
methylamino	5-chloropentyl	H	H	H
methylamino	6-chlorohexyl	H	H	H
methylamino	2-fluoroethyl	H	H	H
methylamino	3-fluoropropyl	H	H	H
methylamino	4-fluorobutyl	H	H	H
methylamino	5-fluoropentyl	H	H	H
methylamino	6-fluorohexyl	H	H	H
methylamino	2-carbamoylethyl	H	H	H
methylamino	3-carbamoylpropyl	H	H	H
methylamino	4-carbamoylbutyl	H	H	H
methylamino	5-carbamoylpentyl	H	H	H
methylamino	6-carbamoylhexyl	H	H	H
methylamino	3-methylcarbamoylpropyl	H	H	H
methylamino	4-methylcarbamoylbutyl	H	H	H
methylamino	5-methylcarbamoylpentyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	benzyl	H	H	H
methylamino	2-phenylethyl	H	H	H
methylamino	4-fluorobenzyl	H	H	H
methylamino	3-fluorobenzyl	H	H	H
methylamino	4-chlorobenzyl	H	H	H
methylamino	3-chlorobenzyl	H	H	H
methylamino	4-methoxybenzyl	H	H	H
methylamino	3-methoxybenzyl	H	H	H
methylamino	4-hydroxybenzyl	H	H	H
methylamino	3-hydroxybenzyl	H	H	H
methylamino	4-methylbenzyl	H	H	H
methylamino	3-methylbenzyl	H	H	H
methylamino	4-aminobenzyl	H	H	H
methylamino	3-aminobenzyl	H	H	H
methylamino	4-dimethylaminobenzyl	H	H	H
methylamino	3-dimethylaminobenzyl	H	H	H
methylamino	4-carbamoylbenzyl	H	H	H
methylamino	3-carbamoylbenzyl	H	H	H
methylamino	4-methylcarbamoylbenzyl	H	H	H
methylamino	3-methylcarbamoylbenzyl	H	H	H
methylamino	4-cyanobenzyl	H	H	H
methylamino	4-phenoxybenzyl	H	H	H
methylamino	phenyl	H	H	H
methylamino	4-fluorophenyl	H	H	H
methylamino	3-fluorophenyl	H	H	H
methylamino	4-chlorophenyl	H	H	H
methylamino	3-methoxyphenyl	H	H	H
methylamino	3-hydroxyphenyl	H	H	H
methylamino	4-methylphenyl	H	H	H
methylamino	3-methylphenyl	H	H	H
methylamino	4-aminophenyl	H	H	H
methylamino	3-aminophenyl	H	H	H
methylamino	3-dimethylaminophenyl	H	H	H
methylamino	4-dimethylaminophenyl	H	H	H
methylamino	3-carbamoylphenyl	H	H	H
methylamino	4-carbamoylphenyl	H	H	H
methylamino	3-cyanophenyl	H	H	H
methylamino	4-cyanophenyl	H	H	H
methylamino	3-phenoxyphenyl	H	H	H
methylamino	4-phenoxyphenyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	methyl	H	2-chloro	H
methylamino	methyl	H	3-chloro	H
methylamino	methyl	H	4-chloro	H
methylamino	methyl	H	2-fluoro	H
methylamino	methyl	H	3-fluoro	H
methylamino	methyl	H	4-fluoro	H
methylamino	methyl	H	2-bromo	H
methylamino	methyl	H	3-bromo	H
methylamino	methyl	H	4-bromo	H
methylamino	methyl	H	2-nitro	H
methylamino	methyl	H	3-nitro	H
methylamino	methyl	H	4-nitro	H
methylamino	methyl	H	2-methoxy	H
methylamino	methyl	H	3-methoxy	H
methylamino	methyl	H	4-methoxy	H
methylamino	methyl	H	2-chloro	4-chloro
methylamino	methyl	H	3-chloro	4-chloro
methylamino	methyl	H	2-fluoro	4-fluoro
methylamino	methyl	H	3-fluoro	4-fluoro
methylamino	methyl	H	2-bromo	4-bromo
methylamino	methyl	H	3-bromo	4-bromo
methylamino	methyl	H	2-methoxy	4-methoxy
methylamino	methyl	H	3-methoxy	4-methoxy
methylamino	methyl	H	2-acetyl	H
methylamino	methyl	H	3-acetyl	H
methylamino	methyl	H	4-acetyl	H
methylamino	methyl	H	2-benzoyl	H
methylamino	methyl	H	3-benzoyl	H
methylamino	methyl	H	4-benzoyl	H
methylamino	methyl	H	2-cyano	H
methylamino	methyl	H	3-cyano	H
methylamino	methyl	H	4-cyano	H
methylamino	methyl	H	2-carbamoyl	H
methylamino	methyl	H	3-carbamoyl	H
methylamino	methyl	H	4-carbamoyl	H
methylamino	methyl	H	2-dimethylcarbamoyl	H
methylamino	methyl	H	3-dimethylcarbamoyl	H
methylamino	methyl	H	4-dimethylcarbamoyl	H
methylamino	methyl	H	2-methylcarbamoyl	H
methylamino	methyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	methyl	H	4-methylcarbamoyl	H
methylamino	methyl	H	2-methoxycarbonyl	H
methylamino	methyl	H	3-methoxycarbonyl	H
methylamino	methyl	H	4-methoxycarbonyl	H
methylamino	methyl	H	2-amino	H
methylamino	methyl	H	3-amino	H
methylamino	methyl	H	4-amino	H
methylamino	methyl	H	2-dimethylamino	H
methylamino	methyl	H	3-dimethylamino	H
methylamino	methyl	H	4-dimethylamino	H
methylamino	methyl	H	2-methylamino	H
methylamino	methyl	H	3-methylamino	H
methylamino	methyl	H	4-methylamino	H
methylamino	methyl	H	2-trifluoromethyl	H
methylamino	methyl	H	3-trifluoromethyl	H
methylamino	methyl	H	4-trifluoromethyl	H
methylamino	methyl	H	2-fluoromethyl	H
methylamino	methyl	H	3-fluoromethyl	H
methylamino	methyl	H	4-fluoromethyl	H
methylamino	methyl	H	2-hydroxy	H
methylamino	methyl	H	3-hydroxy	H
methylamino	methyl	H	4-hydroxy	H
methylamino	methyl	H	4-(4-fluorobenzoyl)	H
methylamino	methyl	H	3-(4-fluorobenzoyl)	H
methylamino	methyl	H	2-(4-fluorobenzoyl)	H
methylamino	methyl	H	4-(4-methylbenzoyl)	H
methylamino	methyl	H	3-(4-methylbenzoyl)	H
methylamino	methyl	H	2-(4-methylbenzoyl)	H
methylamino	methyl	H	4-chloroacetyl	H
methylamino	methyl	H	3-chloroacetyl	H
methylamino	methyl	H	2-chloroacetyl	H
methylamino	methyl	H	4-ethoxycarbonyl	H
methylamino	methyl	H	3-ethoxycarbonyl	H
methylamino	methyl	H	2-ethoxycarbonyl	H
methylamino	methyl	H	4-carboxy	H
methylamino	methyl	H	3-carboxy	H
methylamino	methyl	H	2-carboxy	H
methylamino	methyl	H	4-chloromethoxy	H
methylamino	methyl	H	3-chloromethoxy	H
methylamino	methyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	ethyl	H	2-chloro	H
methylamino	ethyl	H	3-chloro	H
methylamino	ethyl	H	4-chloro	H
methylamino	ethyl	H	2-fluoro	H
methylamino	ethyl	H	3-fluoro	H
methylamino	ethyl	H	4-fluoro	H
methylamino	ethyl	H	2-bromo	H
methylamino	ethyl	H	3-bromo	H
methylamino	ethyl	H	4-bromo	H
methylamino	ethyl	H	2-nitro	H
methylamino	ethyl	H	3-nitro	H
methylamino	ethyl	H	4-nitro	H
methylamino	ethyl	H	2-methoxy	H
methylamino	ethyl	H	3-methoxy	H
methylamino	ethyl	H	4-methoxy	H
methylamino	ethyl	H	2-chloro	4-chloro
methylamino	ethyl	H	3-chloro	4-chloro
methylamino	ethyl	H	2-fluoro	4-fluoro
methylamino	ethyl	H	3-fluoro	4-fluoro
methylamino	ethyl	H	2-bromo	4-bromo
methylamino	ethyl	H	3-bromo	4-bromo
methylamino	ethyl	H	2-methoxy	4-methoxy
methylamino	ethyl	H	3-methoxy	4-methoxy
methylamino	ethyl	H	2-acetyl	H
methylamino	ethyl	H	3-acetyl	H
methylamino	ethyl	H	4-acetyl	H
methylamino	ethyl	H	2-benzoyl	H
methylamino	ethyl	H	3-benzoyl	H
methylamino	ethyl	H	4-benzoyl	H
methylamino	ethyl	H	2-cyano	H
methylamino	ethyl	H	3-cyano	H
methylamino	ethyl	H	4-cyano	H
methylamino	ethyl	H	2-carbamoyl	H
methylamino	ethyl	H	3-carbamoyl	H
methylamino	ethyl	H	4-carbamoyl	H
methylamino	ethyl	H	2-dimethylcarbamoyl	H
methylamino	ethyl	H	3-dimethylcarbamoyl	H
methylamino	ethyl	H	4-dimethylcarbamoyl	H
methylamino	ethyl	H	2-methylcarbamoyl	H
methylamino	ethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	ethyl	H	4-methylcarbamoyl	H
methylamino	ethyl	H	2-methoxycarbonyl	H
methylamino	ethyl	H	3-methoxycarbonyl	H
methylamino	ethyl	H	4-methoxycarbonyl	H
methylamino	ethyl	H	2-amino	H
methylamino	ethyl	H	3-amino	H
methylamino	ethyl	H	4-amino	H
methylamino	ethyl	H	2-dimethylamino	H
methylamino	ethyl	H	3-dimethylamino	H
methylamino	ethyl	H	4-dimethylamino	H
methylamino	ethyl	H	2-methylamino	H
methylamino	ethyl	H	3-methylamino	H
methylamino	ethyl	H	4-methylamino	H
methylamino	ethyl	H	2-trifluoromethyl	H
methylamino	ethyl	H	3-trifluoromethyl	H
methylamino	ethyl	H	4-trifluoromethyl	H
methylamino	ethyl	H	2-fluoromethyl	H
methylamino	ethyl	H	3-fluoromethyl	H
methylamino	ethyl	H	4-fluoromethyl	H
methylamino	ethyl	H	2-hydroxy	H
methylamino	ethyl	H	3-hydroxy	H
methylamino	ethyl	H	4-hydroxy	H
methylamino	ethyl	H	4-(4-fluorobenzoyl)	H
methylamino	ethyl	H	3-(4-fluorobenzoyl)	H
methylamino	ethyl	H	2-(4-fluorobenzoyl)	H
methylamino	ethyl	H	4-(4-methylbenzoyl)	H
methylamino	ethyl	H	3-(4-methylbenzoyl)	H
methylamino	ethyl	H	2-(4-methylbenzoyl)	H
methylamino	ethyl	H	4-chloroacetyl	H
methylamino	ethyl	H	3-chloroacetyl	H
methylamino	ethyl	H	2-chloroacetyl	H
methylamino	ethyl	H	4-ethoxycarbonyl	H
methylamino	ethyl	H	3-ethoxycarbonyl	H
methylamino	ethyl	H	2-ethoxycarbonyl	H
methylamino	ethyl	H	4-carboxy	H
methylamino	ethyl	H	3-carboxy	H
methylamino	ethyl	H	2-carboxy	H
methylamino	ethyl	H	4-chloromethoxy	H
methylamino	ethyl	H	3-chloromethoxy	H
methylamino	ethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	propyl	H	2-chloro	H
methylamino	propyl	H	3-chloro	H
methylamino	propyl	H	4-chloro	H
methylamino	propyl	H	2-fluoro	H
methylamino	propyl	H	3-fluoro	H
methylamino	propyl	H	4-fluoro	H
methylamino	propyl	H	2-bromo	H
methylamino	propyl	H	3-bromo	H
methylamino	propyl	H	4-bromo	H
methylamino	propyl	H	2-nitro	H
methylamino	propyl	H	3-nitro	H
methylamino	propyl	H	4-nitro	H
methylamino	propyl	H	2-methoxy	H
methylamino	propyl	H	3-methoxy	H
methylamino	propyl	H	4-methoxy	H
methylamino	propyl	H	2-chloro	4-chloro
methylamino	propyl	H	3-chloro	4-chloro
methylamino	propyl	H	2-fluoro	4-fluoro
methylamino	propyl	H	3-fluoro	4-fluoro
methylamino	propyl	H	2-bromo	4-bromo
methylamino	propyl	H	3-bromo	4-bromo
methylamino	propyl	H	2-methoxy	4-methoxy
methylamino	propyl	H	3-methoxy	4-methoxy
methylamino	propyl	H	2-acetyl	H
methylamino	propyl	H	3-acetyl	H
methylamino	propyl	H	4-acetyl	H
methylamino	propyl	H	2-benzoyl	H
methylamino	propyl	H	3-benzoyl	H
methylamino	propyl	H	4-benzoyl	H
methylamino	propyl	H	2-cyano	H
methylamino	propyl	H	3-cyano	H
methylamino	propyl	H	4-cyano	H
methylamino	propyl	H	2-carbamoyl	H
methylamino	propyl	H	3-carbamoyl	H
methylamino	propyl	H	4-carbamoyl	H
methylamino	propyl	H	2-dimethylcarbamoyl	H
methylamino	propyl	H	3-dimethylcarbamoyl	H
methylamino	propyl	H	4-dimethylcarbamoyl	H
methylamino	propyl	H	2-methylcarbamoyl	H
methylamino	propyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	propyl	H	4-methylcarbamoyl	H
methylamino	propyl	H	2-methoxycarbonyl	H
methylamino	propyl	H	3-methoxycarbonyl	H
methylamino	propyl	H	4-methoxycarbonyl	H
methylamino	propyl	H	2-amino	H
methylamino	propyl	H	3-amino	H
methylamino	propyl	H	4-amino	H
methylamino	propyl	H	2-dimethylamino	H
methylamino	propyl	H	3-dimethylamino	H
methylamino	propyl	H	4-dimethylamino	H
methylamino	propyl	H	2-methylamino	H
methylamino	propyl	H	3-methylamino	H
methylamino	propyl	H	4-methylamino	H
methylamino	propyl	H	2-trifluoromethyl	H
methylamino	propyl	H	3-trifluoromethyl	H
methylamino	propyl	H	4-trifluoromethyl	H
methylamino	propyl	H	2-fluoromethyl	H
methylamino	propyl	H	3-fluoromethyl	H
methylamino	propyl	H	4-fluoromethyl	H
methylamino	propyl	H	2-hydroxy	H
methylamino	propyl	H	3-hydroxy	H
methylamino	propyl	H	4-hydroxy	H
methylamino	propyl	H	4-(4-fluorobenzoyl)	H
methylamino	propyl	H	3-(4-fluorobenzoyl)	H
methylamino	propyl	H	2-(4-fluorobenzoyl)	H
methylamino	propyl	H	4-(4-methylbenzoyl)	H
methylamino	propyl	H	3-(4-methylbenzoyl)	H
methylamino	propyl	H	2-(4-methylbenzoyl)	H
methylamino	propyl	H	4-chloroacetyl	H
methylamino	propyl	H	3-chloroacetyl	H
methylamino	propyl	H	2-chloroacetyl	H
methylamino	propyl	H	4-ethoxycarbonyl	H
methylamino	propyl	H	3-ethoxycarbonyl	H
methylamino	propyl	H	2-ethoxycarbonyl	H
methylamino	propyl	H	4-carboxy	H
methylamino	propyl	H	3-carboxy	H
methylamino	propyl	H	2-carboxy	H
methylamino	propyl	H	4-chloromethoxy	H
methylamino	propyl	H	3-chloromethoxy	H
methylamino	propyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	butyl	H	2-chloro	H
methylamino	butyl	H	3-chloro	H
methylamino	butyl	H	4-chloro	H
methylamino	butyl	H	2-fluoro	H
methylamino	butyl	H	3-fluoro	H
methylamino	butyl	H	4-fluoro	H
methylamino	butyl	H	2-bromo	H
methylamino	butyl	H	3-bromo	H
methylamino	butyl	H	4-bromo	H
methylamino	butyl	H	2-nitro	H
methylamino	butyl	H	3-nitro	H
methylamino	butyl	H	4-nitro	H
methylamino	butyl	H	2-methoxy	H
methylamino	butyl	H	3-methoxy	H
methylamino	butyl	H	4-methoxy	H
methylamino	butyl	H	2-chloro	4-chloro
methylamino	butyl	H	3-chloro	4-chloro
methylamino	butyl	H	2-fluoro	4-fluoro
methylamino	butyl	H	3-fluoro	4-fluoro
methylamino	butyl	H	2-bromo	4-bromo
methylamino	butyl	H	3-bromo	4-bromo
methylamino	butyl	H	2-methoxy	4-methoxy
methylamino	butyl	H	3-methoxy	4-methoxy
methylamino	butyl	H	2-acetyl	H
methylamino	butyl	H	3-acetyl	H
methylamino	butyl	H	4-acetyl	H
methylamino	butyl	H	2-benzoyl	H
methylamino	butyl	H	3-benzoyl	H
methylamino	butyl	H	4-benzoyl	H
methylamino	butyl	H	2-cyano	H
methylamino	butyl	H	3-cyano	H
methylamino	butyl	H	4-cyano	H
methylamino	butyl	H	2-carbamoyl	H
methylamino	butyl	H	3-carbamoyl	H
methylamino	butyl	H	4-carbamoyl	H
methylamino	butyl	H	2-dimethylcarbamoyl	H
methylamino	butyl	H	3-dimethylcarbamoyl	H
methylamino	butyl	H	4-dimethylcarbamoyl	H
methylamino	butyl	H	2-methylcarbamoyl	H
methylamino	butyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	butyl	H	4-methylcarbamoyl	H
methylamino	butyl	H	2-methoxycarbonyl	H
methylamino	butyl	H	3-methoxycarbonyl	H
methylamino	butyl	H	4-methoxycarbonyl	H
methylamino	butyl	H	2-amino	H
methylamino	butyl	H	3-amino	H
methylamino	butyl	H	4-amino	H
methylamino	butyl	H	2-dimethylamino	H
methylamino	butyl	H	3-dimethylamino	H
methylamino	butyl	H	4-dimethylamino	H
methylamino	butyl	H	2-methylamino	H
methylamino	butyl	H	3-methylamino	H
methylamino	butyl	H	4-methylamino	H
methylamino	butyl	H	2-trifluoromethyl	H
methylamino	butyl	H	3-trifluoromethyl	H
methylamino	butyl	H	4-trifluoromethyl	H
methylamino	butyl	H	2-fluoromethyl	H
methylamino	butyl	H	3-fluoromethyl	H
methylamino	butyl	H	4-fluoromethyl	H
methylamino	butyl	H	2-hydroxy	H
methylamino	butyl	H	3-hydroxy	H
methylamino	butyl	H	4-hydroxy	H
methylamino	butyl	H	4-(4-fluorobenzoyl)	H
methylamino	butyl	H	3-(4-fluorobenzoyl)	H
methylamino	butyl	H	2-(4-fluorobenzoyl)	H
methylamino	butyl	H	4-(4-methylbenzoyl)	H
methylamino	butyl	H	3-(4-methylbenzoyl)	H
methylamino	butyl	H	2-(4-methylbenzoyl)	H
methylamino	butyl	H	4-chloroacetyl	H
methylamino	butyl	H	3-chloroacetyl	H
methylamino	butyl	H	2-chloroacetyl	H
methylamino	butyl	H	4-ethoxycarbonyl	H
methylamino	butyl	H	3-ethoxycarbonyl	H
methylamino	butyl	H	2-ethoxycarbonyl	H
methylamino	butyl	H	4-carboxy	H
methylamino	butyl	H	3-carboxy	H
methylamino	butyl	H	2-carboxy	H
methylamino	butyl	H	4-chloromethoxy	H
methylamino	butyl	H	3-chloromethoxy	H
methylamino	butyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	benzyl	H	2-chloro	H
methylamino	benzyl	H	3-chloro	H
methylamino	benzyl	H	4-chloro	H
methylamino	benzyl	H	2-fluoro	H
methylamino	benzyl	H	3-fluoro	H
methylamino	benzyl	H	4-fluoro	H
methylamino	benzyl	H	2-bromo	H
methylamino	benzyl	H	3-bromo	H
methylamino	benzyl	H	4-bromo	H
methylamino	benzyl	H	2-nitro	H
methylamino	benzyl	H	3-nitro	H
methylamino	benzyl	H	4-nitro	H
methylamino	benzyl	H	2-methoxy	H
methylamino	benzyl	H	3-methoxy	H
methylamino	benzyl	H	4-methoxy	H
methylamino	benzyl	H	2-chloro	4-chloro
methylamino	benzyl	H	3-chloro	4-chloro
methylamino	benzyl	H	2-fluoro	4-fluoro
methylamino	benzyl	H	3-fluoro	4-fluoro
methylamino	benzyl	H	2-bromo	4-bromo
methylamino	benzyl	H	3-bromo	4-bromo
methylamino	benzyl	H	2-methoxy	4-methoxy
methylamino	benzyl	H	3-methoxy	4-methoxy
methylamino	benzyl	H	2-acetyl	H
methylamino	benzyl	H	3-acetyl	H
methylamino	benzyl	H	4-acetyl	H
methylamino	benzyl	H	2-benzoyl	H
methylamino	benzyl	H	3-benzoyl	H
methylamino	benzyl	H	4-benzoyl	H
methylamino	benzyl	H	2-cyano	H
methylamino	benzyl	H	3-cyano	H
methylamino	benzyl	H	4-cyano	H
methylamino	benzyl	H	2-carbamoyl	H
methylamino	benzyl	H	3-carbamoyl	H
methylamino	benzyl	H	4-carbamoyl	H
methylamino	benzyl	H	2-dimethylcarbamoyl	H
methylamino	benzyl	H	3-dimethylcarbamoyl	H
methylamino	benzyl	H	4-dimethylcarbamoyl	H
methylamino	benzyl	H	2-methylcarbamoyl	H
methylamino	benzyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	benzyl	H	4-methylcarbamoyl	H
methylamino	benzyl	H	2-methoxycarbonyl	H
methylamino	benzyl	H	3-methoxycarbonyl	H
methylamino	benzyl	H	4-methoxycarbonyl	H
methylamino	benzyl	H	2-amino	H
methylamino	benzyl	H	3-amino	H
methylamino	benzyl	H	4-amino	H
methylamino	benzyl	H	2-dimethylamino	H
methylamino	benzyl	H	3-dimethylamino	H
methylamino	benzyl	H	4-dimethylamino	H
methylamino	benzyl	H	2-methylamino	H
methylamino	benzyl	H	3-methylamino	H
methylamino	benzyl	H	4-methylamino	H
methylamino	benzyl	H	2-trifluoromethyl	H
methylamino	benzyl	H	3-trifluoromethyl	H
methylamino	benzyl	H	4-trifluoromethyl	H
methylamino	benzyl	H	2-fluoromethyl	H
methylamino	benzyl	H	3-fluoromethyl	H
methylamino	benzyl	H	4-fluoromethyl	H
methylamino	benzyl	H	2-hydroxy	H
methylamino	benzyl	H	3-hydroxy	H
methylamino	benzyl	H	4-hydroxy	H
methylamino	benzyl	H	4-(4-fluorobenzoyl)	H
methylamino	benzyl	H	3-(4-fluorobenzoyl)	H
methylamino	benzyl	H	2-(4-fluorobenzoyl)	H
methylamino	benzyl	H	4-(4-methylbenzoyl)	H
methylamino	benzyl	H	3-(4-methylbenzoyl)	H
methylamino	benzyl	H	2-(4-methylbenzoyl)	H
methylamino	benzyl	H	4-chloroacetyl	H
methylamino	benzyl	H	3-chloroacetyl	H
methylamino	benzyl	H	2-chloroacetyl	H
methylamino	benzyl	H	4-ethoxycarbonyl	H
methylamino	benzyl	H	3-ethoxycarbonyl	H
methylamino	benzyl	H	2-ethoxycarbonyl	H
methylamino	benzyl	H	4-carboxy	H
methylamino	benzyl	H	3-carboxy	H
methylamino	benzyl	H	2-carboxy	H
methylamino	benzyl	H	4-chloromethoxy	H
methylamino	benzyl	H	3-chloromethoxy	H
methylamino	benzyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	cyclohexylmethyl	H	3-chloro	H
methylamino	cyclohexylmethyl	H	3-chloro	H
methylamino	cyclohexylmethyl	H	4-chloro	H
methylamino	cyclohexylmethyl	H	2-fluoro	H
methylamino	cyclohexylmethyl	H	3-fluoro	H
methylamino	cyclohexylmethyl	H	4-fluoro	H
methylamino	cyclohexylmethyl	H	2-bromo	H
methylamino	cyclohexylmethyl	H	3-bromo	H
methylamino	cyclohexylmethyl	H	4-bromo	H
methylamino	cyclohexylmethyl	H	2-nitro	H
methylamino	cyclohexylmethyl	H	3-nitro	H
methylamino	cyclohexylmethyl	H	4-nitro	H
methylamino	cyclohexylmethyl	H	2-methoxy	H
methylamino	cyclohexylmethyl	H	3-methoxy	H
methylamino	cyclohexylmethyl	H	4-methoxy	H
methylamino	cyclohexylmethyl	H	2-chloro	4-chloro
methylamino	cyclohexylmethyl	H	3-chloro	4-chloro
methylamino	cyclohexylmethyl	H	2-fluoro	4-fluoro
methylamino	cyclohexylmethyl	H	3-fluoro	4-fluoro
methylamino	cyclohexylmethyl	H	2-bromo	4-bromo
methylamino	cyclohexylmethyl	H	3-bromo	4-bromo
methylamino	cyclohexylmethyl	H	2-methoxy	4-methoxy
methylamino	cyclohexylmethyl	H	3-methoxy	4-methoxy
methylamino	cyclohexylmethyl	H	2-acetyl	H
methylamino	cyclohexylmethyl	H	3-acetyl	H
methylamino	cyclohexylmethyl	H	4-acetyl	H
methylamino	cyclohexylmethyl	H	2-benzoyl	H
methylamino	cyclohexylmethyl	H	3-benzoyl	H
methylamino	cyclohexylmethyl	H	4-benzoyl	H
methylamino	cyclohexylmethyl	H	2-cyano	H
methylamino	cyclohexylmethyl	H	3-cyano	H
methylamino	cyclohexylmethyl	H	4-cyano	H
methylamino	cyclohexylmethyl	H	2-carbamoyl	H
methylamino	cyclohexylmethyl	H	3-carbamoyl	H
methylamino	cyclohexylmethyl	H	4-carbamoyl	H
methylamino	cyclohexylmethyl	H	2-dimethylcarbamoyl	H
methylamino	cyclohexylmethyl	H	3-dimethylcarbamoyl	H
methylamino	cyclohexylmethyl	H	4-dimethylcarbamoyl	H
methylamino	cyclohexylmethyl	H	2-methylcarbamoyl	H
methylamino	cyclohexylmethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	cyclohexylmethyl	H	4-methylcarbamoyl	H
methylamino	cyclohexylmethyl	H	2-methoxycarbonyl	H
methylamino	cyclohexylmethyl	H	3-methoxycarbonyl	H
methylamino	cyclohexylmethyl	H	4-methoxycarbonyl	H
methylamino	cyclohexylmethyl	H	2-amino	H
methylamino	cyclohexylmethyl	H	3-amino	H
methylamino	cyclohexylmethyl	H	4-amino	H
methylamino	cyclohexylmethyl	H	2-dimethylamino	H
methylamino	cyclohexylmethyl	H	3-dimethylamino	H
methylamino	cyclohexylmethyl	H	4-dimethylamino	H
methylamino	cyclohexylmethyl	H	2-methylamino	H
methylamino	cyclohexylmethyl	H	3-methylamino	H
methylamino	cyclohexylmethyl	H	4-methylamino	H
methylamino	cyclohexylmethyl	H	2-trifluoromethyl	H
methylamino	cyclohexylmethyl	H	3-trifluoromethyl	H
methylamino	cyclohexylmethyl	H	4-trifluoromethyl	H
methylamino	cyclohexylmethyl	H	2-fluoromethyl	H
methylamino	cyclohexylmethyl	H	3-fluoromethyl	H
methylamino	cyclohexylmethyl	H	4-fluoromethyl	H
methylamino	cyclohexylmethyl	H	2-hydroxy	H
methylamino	cyclohexylmethyl	H	3-hydroxy	H
methylamino	cyclohexylmethyl	H	4-hydroxy	H
methylamino	cyclohexylmethyl	H	4-(4-fluorobenzoyl)	H
methylamino	cyclohexylmethyl	H	3-(4-fluorobenzoyl)	H
methylamino	cyclohexylmethyl	H	2-(4-fluorobenzoyl)	H
methylamino	cyclohexylmethyl	H	4-(4-methylbenzoyl)	H
methylamino	cyclohexylmethyl	H	3-(4-methylbenzoyl)	H
methylamino	cyclohexylmethyl	H	2-(4-methylbenzoyl)	H
methylamino	cyclohexylmethyl	H	4-chloroacetyl	H
methylamino	cyclohexylmethyl	H	3-chloroacetyl	H
methylamino	cyclohexylmethyl	H	2-chloroacetyl	H
methylamino	cyclohexylmethyl	H	4-ethoxycarbonyl	H
methylamino	cyclohexylmethyl	H	3-ethoxycarbonyl	H
methylamino	cyclohexylmethyl	H	2-ethoxycarbonyl	H
methylamino	cyclohexylmethyl	H	4-carboxy	H
methylamino	cyclohexylmethyl	H	3-carboxy	H
methylamino	cyclohexylmethyl	H	2-carboxy	H
methylamino	cyclohexylmethyl	H	4-chloromethoxy	H
methylamino	cyclohexylmethyl	H	3-chloromethoxy	H
methylamino	cyclohexylmethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	2-methoxyethyl	H	3-chloro	H
methylamino	2-methoxyethyl	H	3-chloro	H
methylamino	2-methoxyethyl	H	4-chloro	H
methylamino	2-methoxyethyl	H	2-fluoro	H
methylamino	2-methoxyethyl	H	3-fluoro	H
methylamino	2-methoxyethyl	H	4-fluoro	H
methylamino	2-methoxyethyl	H	2-bromo	H
methylamino	2-methoxyethyl	H	3-bromo	H
methylamino	2-methoxyethyl	H	4-bromo	H
methylamino	2-methoxyethyl	H	2-nitro	H
methylamino	2-methoxyethyl	H	3-nitro	H
methylamino	2-methoxyethyl	H	4-nitro	H
methylamino	2-methoxyethyl	H	2-methoxy	H
methylamino	2-methoxyethyl	H	3-methoxy	H
methylamino	2-methoxyethyl	H	4-methoxy	H
methylamino	2-methoxyethyl	H	2-chloro	4-chloro
methylamino	2-methoxyethyl	H	3-chloro	4-chloro
methylamino	2-methoxyethyl	H	2-fluoro	4-fluoro
methylamino	2-methoxyethyl	H	3-fluoro	4-fluoro
methylamino	2-methoxyethyl	H	2-bromo	4-bromo
methylamino	2-methoxyethyl	H	3-bromo	4-bromo
methylamino	2-methoxyethyl	H	2-methoxy	4-methoxy
methylamino	2-methoxyethyl	H	3-methoxy	4-methoxy
methylamino	2-methoxyethyl	H	2-acetyl	H
methylamino	2-methoxyethyl	H	3-acetyl	H
methylamino	2-methoxyethyl	H	4-acetyl	H
methylamino	2-methoxyethyl	H	2-benzoyl	H
methylamino	2-methoxyethyl	H	3-benzoyl	H
methylamino	2-methoxyethyl	H	4-benzoyl	H
methylamino	2-methoxyethyl	H	2-cyano	H
methylamino	2-methoxyethyl	H	3-cyano	H
methylamino	2-methoxyethyl	H	4-cyano	H
methylamino	2-methoxyethyl	H	2-carbamoyl	H
methylamino	2-methoxyethyl	H	3-carbamoyl	H
methylamino	2-methoxyethyl	H	4-carbamoyl	H
methylamino	2-methoxyethyl	H	2-dimethylcarbamoyl	H
methylamino	2-methoxyethyl	H	3-dimethylcarbamoyl	H
methylamino	2-methoxyethyl	H	4-dimethylcarbamoyl	H
methylamino	2-methoxyethyl	H	2-methylcarbamoyl	H
methylamino	2-methoxyethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
methylamino	2-methoxyethyl	H	4-methylcarbamoyl	H
methylamino	2-methoxyethyl	H	2-methoxycarbonyl	H
methylamino	2-methoxyethyl	H	3-methoxycarbonyl	H
methylamino	2-methoxyethyl	H	4-methoxycarbonyl	H
methylamino	2-methoxyethyl	H	2-amino	H
methylamino	2-methoxyethyl	H	3-amino	H
methylamino	2-methoxyethyl	H	4-amino	H
methylamino	2-methoxyethyl	H	2-dimethylamino	H
methylamino	2-methoxyethyl	H	3-dimethylamino	H
methylamino	2-methoxyethyl	H	4-dimethylamino	H
methylamino	2-methoxyethyl	H	2-methylamino	H
methylamino	2-methoxyethyl	H	3-methylamino	H
methylamino	2-methoxyethyl	H	4-methylamino	H
methylamino	2-methoxyethyl	H	2-trifluoromethyl	H
methylamino	2-methoxyethyl	H	3-trifluoromethyl	H
methylamino	2-methoxyethyl	H	4-trifluoromethyl	H
methylamino	2-methoxyethyl	H	2-fluoromethyl	H
methylamino	2-methoxyethyl	H	3-fluoromethyl	H
methylamino	2-methoxyethyl	H	4-fluoromethyl	H
methylamino	2-methoxyethyl	H	2-hydroxy	H
methylamino	2-methoxyethyl	H	3-hydroxy	H
methylamino	2-methoxyethyl	H	4-hydroxy	H
methylamino	2-methoxyethyl	H	4-(4-fluorobenzoyl)	H
methylamino	2-methoxyethyl	H	3-(4-fluorobenzoyl)	H
methylamino	2-methoxyethyl	H	2-(4-fluorobenzoyl)	H
methylamino	2-methoxyethyl	H	4-(4-methylbenzoyl)	H
methylamino	2-methoxyethyl	H	3-(4-methylbenzoyl)	H
methylamino	2-methoxyethyl	H	2-(4-methylbenzoyl)	H
methylamino	2-methoxyethyl	H	4-chloroacetyl	H
methylamino	2-methoxyethyl	H	3-chloroacetyl	H
methylamino	2-methoxyethyl	H	2-chloroacetyl	H
methylamino	2-methoxyethyl	H	4-ethoxycarbonyl	H
methylamino	2-methoxyethyl	H	3-ethoxycarbonyl	H
methylamino	2-methoxyethyl	H	2-ethoxycarbonyl	H
methylamino	2-methoxyethyl	H	4-carboxy	H
methylamino	2-methoxyethyl	H	3-carboxy	H
methylamino	2-methoxyethyl	H	2-carboxy	H
methylamino	2-methoxyethyl	H	4-chloromethoxy	H
methylamino	2-methoxyethyl	H	3-chloromethoxy	H
methylamino	2-methoxyethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	H	H	H	H
dimethylamino	methyl	H	H	H
dimethylamino	ethyl	H	H	H
dimethylamino	propyl	H	H	H
dimethylamino	methylethyl	H	H	H
dimethylamino	butyl	H	H	H
dimethylamino	1-methylpropyl	H	H	H
dimethylamino	2-methylpropyl	H	H	H
dimethylamino	dimethylethyl	H	H	H
dimethylamino	pentyl	H	H	H
dimethylamino	1-methylbutyl	H	H	H
dimethylamino	2-methylbutyl	H	H	H
dimethylamino	1,1-dimethylpropyl	H	H	H
dimethylamino	1,2-dimethylpropyl	H	H	H
dimethylamino	2,2-dimethylpropyl	H	H	H
dimethylamino	hexyl	H	H	H
dimethylamino	1-methylpentyl	H	H	H
dimethylamino	2-methylpentyl	H	H	H
dimethylamino	3-methylpentyl	H	H	H
dimethylamino	4-methylpentyl	H	H	H
dimethylamino	1,1-dimethylbutyl	H	H	H
dimethylamino	1,2-dimethylbutyl	H	H	H
dimethylamino	1,3-dimethylbutyl	H	H	H
dimethylamino	2,2-dimethylbutyl	H	H	H
dimethylamino	2,3-dimethylbutyl	H	H	H
dimethylamino	3,3-dimethylbutyl	H	H	H
dimethylamino	1-ethylbutyl	H	H	H
dimethylamino	2-ethylbutyl	H	H	H
dimethylamino	1,1,2-trimethylpropyl	H	H	H
dimethylamino	heptyl	H	H	H
dimethylamino	cyclopropyl	H	H	H
dimethylamino	cyclopentyl	H	H	H
dimethylamino	cyclohexyl	H	H	H
dimethylamino	cycloheptyl	H	H	H
dimethylamino	cyclopropylmethyl	H	H	H
dimethylamino	cyclopentylmethyl	H	H	H
dimethylamino	cyclohexylmethyl	H	H	H
dimethylamino	cycloheptylmethyl	H	H	H
dimethylamino	2-methoxyethyl	H	H	H
dimethylamino	3-methoxypropyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	4-methoxybutyl	H	H	H
dimethylamino	5-methoxypentyl	H	H	H
dimethylamino	5-ethoxypentyl	H	H	H
dimethylamino	2-ethoxyethyl	H	H	H
dimethylamino	3-ethoxypropyl	H	H	H
dimethylamino	4-ethoxybutyl	H	H	H
dimethylamino	2-carboxyethyl	H	H	H
dimethylamino	3-carboxypropyl	H	H	H
dimethylamino	4-carboxybutyl	H	H	H
dimethylamino	5-carboxypentyl	H	H	H
dimethylamino	2-hydroxyethyl	H	H	H
dimethylamino	3-hydroxypropyl	H	H	H
dimethylamino	4-hydroxybutyl	H	H	H
dimethylamino	5-hydroxypentyl	H	H	H
dimethylamino	2-methoxycarbonylethyl	H	H	H
dimethylamino	3-methoxycarbonylpropyl	H	H	H
dimethylamino	4-methoxycarbonylbutyl	H	H	H
dimethylamino	5-methoxycarbonylpentyl	H	H	H
dimethylamino	2-aminoethyl	H	H	H
dimethylamino	3-aminopropyl	H	H	H
dimethylamino	4-aminobutyl	H	H	H
dimethylamino	5-aminopentyl	H	H	H
dimethylamino	6-aminoethyl	H	H	H
dimethylamino	2-chloroethyl	H	H	H
dimethylamino	3-chloropropyl	H	H	H
dimethylamino	4-chlorobutyl	H	H	H
dimethylamino	5-chloropentyl	H	H	H
dimethylamino	6-chlorohexyl	H	H	H
dimethylamino	2-fluoroethyl	H	H	H
dimethylamino	3-fluoropropyl	H	H	H
dimethylamino	4-fluorobutyl	H	H	H
dimethylamino	5-fluoropentyl	H	H	H
dimethylamino	6-fluorohexyl	H	H	H
dimethylamino	2-carbamoylethyl	H	H	H
dimethylamino	3-carbamoylpropyl	H	H	H
dimethylamino	4-carbamoylbutyl	H	H	H
dimethylamino	5-carbamoylpentyl	H	H	H
dimethylamino	6-carbamoylhexyl	H	H	H
dimethylamino	3-methylcarbamoylpropyl	H	H	H
dimethylamino	4-methylcarbamoylbutyl	H	H	H
dimethylamino	5-methylcarbamoylpentyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	benzyl	H	H	H
dimethylamino	2-phenylethyl	H	H	H
dimethylamino	4-fluorobenzyl	H	H	H
dimethylamino	3-fluorobenzyl	H	H	H
dimethylamino	4-chlorobenzyl	H	H	H
dimethylamino	3-chlorobenzyl	H	H	H
dimethylamino	4-methoxybenzyl	H	H	H
dimethylamino	3-methoxybenzyl	H	H	H
dimethylamino	4-hydroxybenzyl	H	H	H
dimethylamino	3-hydroxybenzyl	H	H	H
dimethylamino	4-methylbenzyl	H	H	H
dimethylamino	3-methylbenzyl	H	H	H
dimethylamino	4-aminobenzyl	H	H	H
dimethylamino	3-aminobenzyl	H	H	H
dimethylamino	4-dimethylaminobenzyl	H	H	H
dimethylamino	3-dimethylaminobenzyl	H	H	H
dimethylamino	4-carbamoylbenzyl	H	H	H
dimethylamino	3-carbamoylbenzyl	H	H	H
dimethylamino	4-methylcarbamoylbenzyl	H	H	H
dimethylamino	3-methylcarbamoylbenzyl	H	H	H
dimethylamino	4-cyanobenzyl	H	H	H
dimethylamino	4-phenoxybenzyl	H	H	H
dimethylamino	phenyl	H	H	H
dimethylamino	4-fluorophenyl	H	H	H
dimethylamino	3-fluorophenyl	H	H	H
dimethylamino	4-chlorophenyl	H	H	H
dimethylamino	3-methoxyphenyl	H	H	H
dimethylamino	3-hydroxyphenyl	H	H	H
dimethylamino	4-methylphenyl	H	H	H
dimethylamino	3-methylphenyl	H	H	H
dimethylamino	4-aminophenyl	H	H	H
dimethylamino	3-aminophenyl	H	H	H
dimethylamino	3-dimethylaminophenyl	H	H	H
dimethylamino	4-dimethylaminophenyl	H	H	H
dimethylamino	3-carbamoylphenyl	H	H	H
dimethylamino	4-carbamoylphenyl	H	H	H
dimethylamino	3-cyanophenyl	H	H	H
dimethylamino	4-cyanophenyl	H	H	H
dimethylamino	3-phenoxyphenyl	H	H	H
dimethylamino	4-phenoxyphenyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	methyl	H	2-chloro	H
dimethylamino	methyl	H	3-chloro	H
dimethylamino	methyl	H	4-chloro	H
dimethylamino	methyl	H	2-fluoro	H
dimethylamino	methyl	H	3-fluoro	H
dimethylamino	methyl	H	4-fluoro	H
dimethylamino	methyl	H	2-bromo	H
dimethylamino	methyl	H	3-bromo	H
dimethylamino	methyl	H	4-bromo	H
dimethylamino	methyl	H	2-nitro	H
dimethylamino	methyl	H	3-nitro	H
dimethylamino	methyl	H	4-nitro	H
dimethylamino	methyl	H	2-methoxy	H
dimethylamino	methyl	H	3-methoxy	H
dimethylamino	methyl	H	4-methoxy	H
dimethylamino	methyl	H	2-chloro	4-chloro
dimethylamino	methyl	H	3-chloro	4-chloro
dimethylamino	methyl	H	2-fluoro	4-fluoro
dimethylamino	methyl	H	3-fluoro	4-fluoro
dimethylamino	methyl	H	2-bromo	4-bromo
dimethylamino	methyl	H	3-bromo	4-bromo
dimethylamino	methyl	H	2-methoxy	4-methoxy
dimethylamino	methyl	H	3-methoxy	4-methoxy
dimethylamino	methyl	H	2-acetyl	H
dimethylamino	methyl	H	3-acetyl	H
dimethylamino	methyl	H	4-acetyl	H
dimethylamino	methyl	H	2-benzoyl	H
dimethylamino	methyl	H	3-benzoyl	H
dimethylamino	methyl	H	4-benzoyl	H
dimethylamino	methyl	H	2-cyano	H
dimethylamino	methyl	H	3-cyano	H
dimethylamino	methyl	H	4-cyano	H
dimethylamino	methyl	H	2-carbamoyl	H
dimethylamino	methyl	H	3-carbamoyl	H
dimethylamino	methyl	H	4-carbamoyl	H
dimethylamino	methyl	H	2-dimethylcarbamoyl	H
dimethylamino	methyl	H	3-dimethylcarbamoyl	H
dimethylamino	methyl	H	4-dimethylcarbamoyl	H
dimethylamino	methyl	H	2-methylcarbamoyl	H
dimethylamino	methyl	H	3-methylcarbamoyl	H

Continued

R^1	R^2	R^3	Y^1	Y^2
dimethylamino	methyl	H	4-methylcarbamoyl	H
dimethylamino	methyl	H	2-methoxycarbonyl	H
dimethylamino	methyl	H	3-methoxycarbonyl	H
dimethylamino	methyl	H	4-methoxycarbonyl	H
dimethylamino	methyl	H	2-amino	H
dimethylamino	methyl	H	3-amino	H
dimethylamino	methyl	H	4-amino	H
dimethylamino	methyl	H	2-dimethylamino	H
dimethylamino	methyl	H	3-dimethylamino	H
dimethylamino	methyl	H	4-dimethylamino	H
dimethylamino	methyl	H	2-trifluoromethyl	H
dimethylamino	methyl	H	3-trifluoromethyl	H
dimethylamino	methyl	H	4-trifluoromethyl	H
dimethylamino	methyl	H	2-fluoromethyl	H
dimethylamino	methyl	H	3-fluoromethyl	H
dimethylamino	methyl	H	4-fluoromethyl	H
dimethylamino	methyl	H	2-hydroxy	H
dimethylamino	methyl	H	3-hydroxy	H
dimethylamino	methyl	H	4-hydroxy	H
dimethylamino	methyl	H	4-(4-fluorobenzoyl)	H
dimethylamino	methyl	H	3-(4-fluorobenzoyl)	H
dimethylamino	methyl	H	2-(4-fluorobenzoyl)	H
dimethylamino	methyl	H	4-(4-methylbenzoyl)	H
dimethylamino	methyl	H	3-(4-methylbenzoyl)	H
dimethylamino	methyl	H	2-(4-methylbenzoyl)	H
dimethylamino	methyl	H	4-chloroacetyl	H
dimethylamino	methyl	H	3-chloroacetyl	H
dimethylamino	methyl	H	2-chloroacetyl	H
dimethylamino	methyl	H	4-ethoxycarbonyl	H
dimethylamino	methyl	H	3-ethoxycarbonyl	H
dimethylamino	methyl	H	2-ethoxycarbonyl	H
dimethylamino	methyl	H	4-carboxy	H
dimethylamino	methyl	H	3-carboxy	H
dimethylamino	methyl	H	2-carboxy	H
dimethylamino	methyl	H	4-chloromethoxy	H
dimethylamino	methyl	H	3-chloromethoxy	H
dimethylamino	methyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	ethyl	H	2-chloro	H
dimethylamino	ethyl	H	3-chloro	H
dimethylamino	ethyl	H	4-chloro	H
dimethylamino	ethyl	H	2-fluoro	H
dimethylamino	ethyl	H	3-fluoro	H
dimethylamino	ethyl	H	4-fluoro	H
dimethylamino	ethyl	H	2-bromo	H
dimethylamino	ethyl	H	3-bromo	H
dimethylamino	ethyl	H	4-bromo	H
dimethylamino	ethyl	H	2-nitro	H
dimethylamino	ethyl	H	3-nitro	H
dimethylamino	ethyl	H	4-nitro	H
dimethylamino	ethyl	H	2-methoxy	H
dimethylamino	ethyl	H	3-methoxy	H
dimethylamino	ethyl	H	4-methoxy	H
dimethylamino	ethyl	H	2-chloro	4-chloro
dimethylamino	ethyl	H	3-chloro	4-chloro
dimethylamino	ethyl	H	2-fluoro	4-fluoro
dimethylamino	ethyl	H	3-fluoro	4-fluoro
dimethylamino	ethyl	H	2-bromo	4-bromo
dimethylamino	ethyl	H	3-bromo	4-bromo
dimethylamino	ethyl	H	2-methoxy	4-methoxy
dimethylamino	ethyl	H	3-methoxy	4-methoxy
dimethylamino	ethyl	H	2-acetyl	H
dimethylamino	ethyl	H	3-acetyl	H
dimethylamino	ethyl	H	4-acetyl	H
dimethylamino	ethyl	H	2-benzoyl	H
dimethylamino	ethyl	H	3-benzoyl	H
dimethylamino	ethyl	H	4-benzoyl	H
dimethylamino	ethyl	H	2-cyano	H
dimethylamino	ethyl	H	3-cyano	H
dimethylamino	ethyl	H	4-cyano	H
dimethylamino	ethyl	H	2-carbamoyl	H
dimethylamino	ethyl	H	3-carbamoyl	H
dimethylamino	ethyl	H	4-carbamoyl	H
dimethylamino	ethyl	H	2-dimethylcarbamoyl	H
dimethylamino	ethyl	H	3-dimethylcarbamoyl	H
dimethylamino	ethyl	H	4-dimethylcarbamoyl	H
dimethylamino	ethyl	H	2-methylcarbamoyl	H
dimethylamino	ethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	ethyl	H	4-methylcarbamoyl	H
dimethylamino	ethyl	H	2-methoxycarbonyl	H
dimethylamino	ethyl	H	3-methoxycarbonyl	H
dimethylamino	ethyl	H	4-methoxycarbonyl	H
dimethylamino	ethyl	H	2-amino	H
dimethylamino	ethyl	H	3-amino	H
dimethylamino	ethyl	H	4-amino	H
dimethylamino	ethyl	H	2-methylamino	H
dimethylamino	ethyl	H	3-methylamino	H
dimethylamino	ethyl	H	4-methylamino	H
dimethylamino	ethyl	H	2-dimethylamino	H
dimethylamino	ethyl	H	3-dimethylamino	H
dimethylamino	ethyl	H	4-dimethylamino	H
dimethylamino	ethyl	H	2-trifluoromethyl	H
dimethylamino	ethyl	H	3-trifluoromethyl	H
dimethylamino	ethyl	H	4-trifluoromethyl	H
dimethylamino	ethyl	H	2-fluoromethyl	H
dimethylamino	ethyl	H	3-fluoromethyl	H
dimethylamino	ethyl	H	4-fluoromethyl	H
dimethylamino	ethyl	H	2-hydroxy	H
dimethylamino	ethyl	H	3-hydroxy	H
dimethylamino	ethyl	H	4-hydroxy	H
dimethylamino	ethyl	H	4-(4-fluorobenzoyl)	H
dimethylamino	ethyl	H	3-(4-fluorobenzoyl)	H
dimethylamino	ethyl	H	2-(4-fluorobenzoyl)	H
dimethylamino	ethyl	H	4-(4-methylbenzoyl)	H
dimethylamino	ethyl	H	3-(4-methylbenzoyl)	H
dimethylamino	ethyl	H	2-(4-methylbenzoyl)	H
dimethylamino	ethyl	H	4-chloroacetyl	H
dimethylamino	ethyl	H	3-chloroacetyl	H
dimethylamino	ethyl	H	2-chloroacetyl	H
dimethylamino	ethyl	H	4-ethoxycarbonyl	H
dimethylamino	ethyl	H	3-ethoxycarbonyl	H
dimethylamino	ethyl	H	2-ethoxycarbonyl	H
dimethylamino	ethyl	H	4-carboxy	H
dimethylamino	ethyl	H	3-carboxy	H
dimethylamino	ethyl	H	2-carboxy	H
dimethylamino	ethyl	H	4-chloromethoxy	H
dimethylamino	ethyl	H	3-chloromethoxy	H
dimethylamino	ethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	propyl	H	2-chloro	H
dimethylamino	propyl	H	3-chloro	H
dimethylamino	propyl	H	4-chloro	H
dimethylamino	propyl	H	2-fluoro	H
dimethylamino	propyl	H	3-fluoro	H
dimethylamino	propyl	H	4-fluoro	H
dimethylamino	propyl	H	2-bromo	H
dimethylamino	propyl	H	3-bromo	H
dimethylamino	propyl	H	4-bromo	H
dimethylamino	propyl	H	2-nitro	H
dimethylamino	propyl	H	3-nitro	H
dimethylamino	propyl	H	4-nitro	H
dimethylamino	propyl	H	2-methoxy	H
dimethylamino	propyl	H	3-methoxy	H
dimethylamino	propyl	H	4-methoxy	H
dimethylamino	propyl	H	2-chloro	4-chloro
dimethylamino	propyl	H	3-chloro	4-chloro
dimethylamino	propyl	H	2-fluoro	4-fluoro
dimethylamino	propyl	H	3-fluoro	4-fluoro
dimethylamino	propyl	H	2-bromo	4-bromo
dimethylamino	propyl	H	3-bromo	4-bromo
dimethylamino	propyl	H	2-methoxy	4-methoxy
dimethylamino	propyl	H	3-methoxy	4-methoxy
dimethylamino	propyl	H	2-acetyl	H
dimethylamino	propyl	H	3-acetyl	H
dimethylamino	propyl	H	4-acetyl	H
dimethylamino	propyl	H	2-benzoyl	H
dimethylamino	propyl	H	3-benzoyl	H
dimethylamino	propyl	H	4-benzoyl	H
dimethylamino	propyl	H	2-cyano	H
dimethylamino	propyl	H	3-cyano	H
dimethylamino	propyl	H	4-cyano	H
dimethylamino	propyl	H	2-carbamoyl	H
dimethylamino	propyl	H	3-carbamoyl	H
dimethylamino	propyl	H	4-carbamoyl	H
dimethylamino	propyl	H	2-dimethylcarbamoyl	H
dimethylamino	propyl	H	3-dimethylcarbamoyl	H
dimethylamino	propyl	H	4-dimethylcarbamoyl	H
dimethylamino	propyl	H	2-methylcarbamoyl	H
dimethylamino	propyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	propyl	H	4-methylcarbamoyl	H
dimethylamino	propyl	H	2-methoxycarbonyl	H
dimethylamino	propyl	H	3-methoxycarbonyl	H
dimethylamino	propyl	H	4-methoxycarbonyl	H
dimethylamino	propyl	H	2-amino	H
dimethylamino	propyl	H	3-amino	H
dimethylamino	propyl	H	4-amino	H
dimethylamino	propyl	H	2-methylamino	H
dimethylamino	propyl	H	3-methylamino	H
dimethylamino	propyl	H	4-methylamino	H
dimethylamino	propyl	H	2-dimethylamino	H
dimethylamino	propyl	H	3-dimethylamino	H
dimethylamino	propyl	H	4-dimethylamino	H
dimethylamino	propyl	H	2-trifluoromethyl	H
dimethylamino	propyl	H	3-trifluoromethyl	H
dimethylamino	propyl	H	4-trifluoromethyl	H
dimethylamino	propyl	H	2-fluoromethyl	H
dimethylamino	propyl	H	3-fluoromethyl	H
dimethylamino	propyl	H	4-fluoromethyl	H
dimethylamino	propyl	H	2-hydroxy	H
dimethylamino	propyl	H	3-hydroxy	H
dimethylamino	propyl	H	4-hydroxy	H
dimethylamino	propyl	H	4-(4-fluorobenzoyl)	H
dimethylamino	propyl	H	3-(4-fluorobenzoyl)	H
dimethylamino	propyl	H	2-(4-fluorobenzoyl)	H
dimethylamino	propyl	H	4-(4-methylbenzoyl)	H
dimethylamino	propyl	H	3-(4-methylbenzoyl)	H
dimethylamino	propyl	H	2-(4-methylbenzoyl)	H
dimethylamino	propyl	H	4-chloroacetyl	H
dimethylamino	propyl	H	3-chloroacetyl	H
dimethylamino	propyl	H	2-chloroacetyl	H
dimethylamino	propyl	H	4-ethoxycarbonyl	H
dimethylamino	propyl	H	3-ethoxycarbonyl	H
dimethylamino	propyl	H	2-ethoxycarbonyl	H
dimethylamino	propyl	H	4-carboxy	H
dimethylamino	propyl	H	3-carboxy	H
dimethylamino	propyl	H	2-carboxy	H
dimethylamino	propyl	H	4-chloromethoxy	H
dimethylamino	propyl	H	3-chloromethoxy	H
dimethylamino	propyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	butyl	H	2-chloro	H
dimethylamino	butyl	H	3-chloro	H
dimethylamino	butyl	H	4-chloro	H
dimethylamino	butyl	H	2-fluoro	H
dimethylamino	butyl	H	3-fluoro	H
dimethylamino	butyl	H	4-fluoro	H
dimethylamino	butyl	H	2-bromo	H
dimethylamino	butyl	H	3-bromo	H
dimethylamino	butyl	H	4-bromo	H
dimethylamino	butyl	H	2-nitro	H
dimethylamino	butyl	H	3-nitro	H
dimethylamino	butyl	H	4-nitro	H
dimethylamino	butyl	H	2-methoxy	H
dimethylamino	butyl	H	3-methoxy	H
dimethylamino	butyl	H	4-methoxy	H
dimethylamino	butyl	H	2-chloro	4-chloro
dimethylamino	butyl	H	3-chloro	4-chloro
dimethylamino	butyl	H	2-fluoro	4-fluoro
dimethylamino	butyl	H	3-fluoro	4-fluoro
dimethylamino	butyl	H	2-bromo	4-bromo
dimethylamino	butyl	H	3-bromo	4-bromo
dimethylamino	butyl	H	2-methoxy	4-methoxy
dimethylamino	butyl	H	3-methoxy	4-methoxy
dimethylamino	butyl	H	2-acetyl	H
dimethylamino	butyl	H	3-acetyl	H
dimethylamino	butyl	H	4-acetyl	H
dimethylamino	butyl	H	2-benzoyl	H
dimethylamino	butyl	H	3-benzoyl	H
dimethylamino	butyl	H	4-benzoyl	H
dimethylamino	butyl	H	2-cyano	H
dimethylamino	butyl	H	3-cyano	H
dimethylamino	butyl	H	4-cyano	H
dimethylamino	butyl	H	2-carbamoyl	H
dimethylamino	butyl	H	3-carbamoyl	H
dimethylamino	butyl	H	4-carbamoyl	H
dimethylamino	butyl	H	2-dimethylcarbamoyl	H
dimethylamino	butyl	H	3-dimethylcarbamoyl	H
dimethylamino	butyl	H	4-dimethylcarbamoyl	H
dimethylamino	butyl	H	2-methylcarbamoyl	H
dimethylamino	butyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	butyl	H	4-methylcarbamoyl	H
dimethylamino	butyl	H	2-methoxycarbonyl	H
dimethylamino	butyl	H	3-methoxycarbonyl	H
dimethylamino	butyl	H	4-methoxycarbonyl	H
dimethylamino	butyl	H	2-amino	H
dimethylamino	butyl	H	3-amino	H
dimethylamino	butyl	H	4-amino	H
dimethylamino	butyl	H	2-methylamino	H
dimethylamino	butyl	H	3-methylamino	H
dimethylamino	butyl	H	4-methylamino	H
dimethylamino	butyl	H	2-dimethylamino	H
dimethylamino	butyl	H	3-dimethylamino	H
dimethylamino	butyl	H	4-dimethylamino	H
dimethylamino	butyl	H	2-trifluoromethyl	H
dimethylamino	butyl	H	3-trifluoromethyl	H
dimethylamino	butyl	H	4-trifluoromethyl	H
dimethylamino	butyl	H	2-fluoromethyl	H
dimethylamino	butyl	H	3-fluoromethyl	H
dimethylamino	butyl	H	4-fluoromethyl	H
dimethylamino	butyl	H	2-hydroxy	H
dimethylamino	butyl	H	3-hydroxy	H
dimethylamino	butyl	H	4-hydroxy	H
dimethylamino	butyl	H	4-(4-fluorobenzoyl)	H
dimethylamino	butyl	H	3-(4-fluorobenzoyl)	H
dimethylamino	butyl	H	2-(4-fluorobenzoyl)	H
dimethylamino	butyl	H	4-(4-methylbenzoyl)	H
dimethylamino	butyl	H	3-(4-methylbenzoyl)	H
dimethylamino	butyl	H	2-(4-methylbenzoyl)	H
dimethylamino	butyl	H	4-chloroacetyl	H
dimethylamino	butyl	H	3-chloroacetyl	H
dimethylamino	butyl	H	2-chloroacetyl	H
dimethylamino	butyl	H	4-ethoxycarbonyl	H
dimethylamino	butyl	H	3-ethoxycarbonyl	H
dimethylamino	butyl	H	2-ethoxycarbonyl	H
dimethylamino	butyl	H	4-carboxy	H
dimethylamino	butyl	H	3-carboxy	H
dimethylamino	butyl	H	2-carboxy	H
dimethylamino	butyl	H	4-chloromethoxy	H
dimethylamino	butyl	H	3-chloromethoxy	H
dimethylamino	butyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	benzyl	H	2-chloro	H
dimethylamino	benzyl	H	3-chloro	H
dimethylamino	benzyl	H	4-chloro	H
dimethylamino	benzyl	H	2-fluoro	H
dimethylamino	benzyl	H	3-fluoro	H
dimethylamino	benzyl	H	4-fluoro	H
dimethylamino	benzyl	H	2-bromo	H
dimethylamino	benzyl	H	3-bromo	H
dimethylamino	benzyl	H	4-bromo	H
dimethylamino	benzyl	H	2-nitro	H
dimethylamino	benzyl	H	3-nitro	H
dimethylamino	benzyl	H	4-nitro	H
dimethylamino	benzyl	H	2-methoxy	H
dimethylamino	benzyl	H	3-methoxy	H
dimethylamino	benzyl	H	4-methoxy	H
dimethylamino	benzyl	H	2-chloro	4-chloro
dimethylamino	benzyl	H	3-chloro	4-chloro
dimethylamino	benzyl	H	2-fluoro	4-fluoro
dimethylamino	benzyl	H	3-fluoro	4-fluoro
dimethylamino	benzyl	H	2-bromo	4-bromo
dimethylamino	benzyl	H	3-bromo	4-bromo
dimethylamino	benzyl	H	2-methoxy	4-methoxy
dimethylamino	benzyl	H	3-methoxy	4-methoxy
dimethylamino	benzyl	H	2-acetyl	H
dimethylamino	benzyl	H	3-acetyl	H
dimethylamino	benzyl	H	4-acetyl	H
dimethylamino	benzyl	H	2-benzoyl	H
dimethylamino	benzyl	H	3-benzoyl	H
dimethylamino	benzyl	H	4-benzoyl	H
dimethylamino	benzyl	H	2-cyano	H
dimethylamino	benzyl	H	3-cyano	H
dimethylamino	benzyl	H	4-cyano	H
dimethylamino	benzyl	H	2-carbamoyl	H
dimethylamino	benzyl	H	3-carbamoyl	H
dimethylamino	benzyl	H	4-carbamoyl	H
dimethylamino	benzyl	H	2-dimethylcarbamoyl	H
dimethylamino	benzyl	H	3-dimethylcarbamoyl	H
dimethylamino	benzyl	H	4-dimethylcarbamoyl	H
dimethylamino	benzyl	H	2-methylcarbamoyl	H
dimethylamino	benzyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	benzyl	H	4-methylcarbamoyl	H
dimethylamino	benzyl	H	2-methoxycarbonyl	H
dimethylamino	benzyl	H	3-methoxycarbonyl	H
dimethylamino	benzyl	H	4-methoxycarbonyl	H
dimethylamino	benzyl	H	2-amino	H
dimethylamino	benzyl	H	3-amino	H
dimethylamino	benzyl	H	4-amino	H
dimethylamino	benzyl	H	2-dimethylamino	H
dimethylamino	benzyl	H	3-dimethylamino	H
dimethylamino	benzyl	H	4-dimethylamino	H
dimethylamino	benzyl	H	2-trifluoromethyl	H
dimethylamino	benzyl	H	3-trifluoromethyl	H
dimethylamino	benzyl	H	4-trifluoromethyl	H
dimethylamino	benzyl	H	2-fluoromethyl	H
dimethylamino	benzyl	H	3-fluoromethyl	H
dimethylamino	benzyl	H	4-fluoromethyl	H
dimethylamino	benzyl	H	2-hydroxy	H
dimethylamino	benzyl	H	3-hydroxy	H
dimethylamino	benzyl	H	4-hydroxy	H
dimethylamino	benzyl	H	4-(4-fluorobenzoyl)	H
dimethylamino	benzyl	H	3-(4-fluorobenzoyl)	H
dimethylamino	benzyl	H	2-(4-fluorobenzoyl)	H
dimethylamino	benzyl	H	4-(4-methylbenzoyl)	H
dimethylamino	benzyl	H	3-(4-methylbenzoyl)	H
dimethylamino	benzyl	H	2-(4-methylbenzoyl)	H
dimethylamino	benzyl	H	4-chloroacetyl	H
dimethylamino	benzyl	H	3-chloroacetyl	H
dimethylamino	benzyl	H	2-chloroacetyl	H
dimethylamino	benzyl	H	4-ethoxycarbonyl	H
dimethylamino	benzyl	H	3-ethoxycarbonyl	H
dimethylamino	benzyl	H	2-ethoxycarbonyl	H
dimethylamino	benzyl	H	4-carboxy	H
dimethylamino	benzyl	H	3-carboxy	H
dimethylamino	benzyl	H	2-carboxy	H
dimethylamino	benzyl	H	4-chloromethoxy	H
dimethylamino	benzyl	H	3-chloromethoxy	H
dimethylamino	benzyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	cyclohexylmethyl	H	3-chloro	H
dimethylamino	cyclohexylmethyl	H	3-chloro	H
dimethylamino	cyclohexylmethyl	H	4-chloro	H
dimethylamino	cyclohexylmethyl	H	2-fluoro	H
dimethylamino	cyclohexylmethyl	H	3-fluoro	H
dimethylamino	cyclohexylmethyl	H	4-fluoro	H
dimethylamino	cyclohexylmethyl	H	2-bromo	H
dimethylamino	cyclohexylmethyl	H	3-bromo	H
dimethylamino	cyclohexylmethyl	H	4-bromo	H
dimethylamino	cyclohexylmethyl	H	2-nitro	H
dimethylamino	cyclohexylmethyl	H	3-nitro	H
dimethylamino	cyclohexylmethyl	H	4-nitro	H
dimethylamino	cyclohexylmethyl	H	2-methoxy	H
dimethylamino	cyclohexylmethyl	H	3-methoxy	H
dimethylamino	cyclohexylmethyl	H	4-methoxy	H
dimethylamino	cyclohexylmethyl	H	2-chloro	4-chloro
dimethylamino	cyclohexylmethyl	H	3-chloro	4-chloro
dimethylamino	cyclohexylmethyl	H	2-fluoro	4-fluoro
dimethylamino	cyclohexylmethyl	H	3-fluoro	4-fluoro
dimethylamino	cyclohexylmethyl	H	2-bromo	4-bromo
dimethylamino	cyclohexylmethyl	H	3-bromo	4-bromo
dimethylamino	cyclohexylmethyl	H	2-methoxy	4-methoxy
dimethylamino	cyclohexylmethyl	H	3-methoxy	4-methoxy
dimethylamino	cyclohexylmethyl	H	2-acetyl	H
dimethylamino	cyclohexylmethyl	H	3-acetyl	H
dimethylamino	cyclohexylmethyl	H	4-acetyl	H
dimethylamino	cyclohexylmethyl	H	2-benzoyl	H
dimethylamino	cyclohexylmethyl	H	3-benzoyl	H
dimethylamino	cyclohexylmethyl	H	4-benzoyl	H
dimethylamino	cyclohexylmethyl	H	2-cyano	H
dimethylamino	cyclohexylmethyl	H	3-cyano	H
dimethylamino	cyclohexylmethyl	H	4-cyano	H
dimethylamino	cyclohexylmethyl	H	2-carbamoyl	H
dimethylamino	cyclohexylmethyl	H	3-carbamoyl	H
dimethylamino	cyclohexylmethyl	H	4-carbamoyl	H
dimethylamino	cyclohexylmethyl	H	2-dimethylcarbamoyl	H
dimethylamino	cyclohexylmethyl	H	3-dimethylcarbamoyl	H
dimethylamino	cyclohexylmethyl	H	4-dimethylcarbamoyl	H
dimethylamino	cyclohexylmethyl	H	2-methylcarbamoyl	H
dimethylamino	cyclohexylmethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	cyclohexylmethyl	H	4-methylcarbamoyl	H
dimethylamino	cyclohexylmethyl	H	2-methoxycarbonyl	H
dimethylamino	cyclohexylmethyl	H	3-methoxycarbonyl	H
dimethylamino	cyclohexylmethyl	H	4-methoxycarbonyl	H
dimethylamino	cyclohexylmethyl	H	2-amino	H
dimethylamino	cyclohexylmethyl	H	3-amino	H
dimethylamino	cyclohexylmethyl	H	4-amino	H
dimethylamino	cyclohexylmethyl	H	2-methylamino	H
dimethylamino	cyclohexylmethyl	H	3-methylamino	H
dimethylamino	cyclohexylmethyl	H	4-methylamino	H
dimethylamino	cyclohexylmethyl	H	2-dimethylamino	H
dimethylamino	cyclohexylmethyl	H	3-dimethylamino	H
dimethylamino	cyclohexylmethyl	H	4-dimethylamino	H
dimethylamino	cyclohexylmethyl	H	2-trifluoromethyl	H
dimethylamino	cyclohexylmethyl	H	3-trifluoromethyl	H
dimethylamino	cyclohexylmethyl	H	4-trifluoromethyl	H
dimethylamino	cyclohexylmethyl	H	2-fluoromethyl	H
dimethylamino	cyclohexylmethyl	H	3-fluoromethyl	H
dimethylamino	cyclohexylmethyl	H	4-fluoromethyl	H
dimethylamino	cyclohexylmethyl	H	2-hydroxy	H
dimethylamino	cyclohexylmethyl	H	3-hydroxy	H
dimethylamino	cyclohexylmethyl	H	4-hydroxy	H
dimethylamino	cyclohexylmethyl	H	4-(4-fluorobenzoyl)	H
dimethylamino	cyclohexylmethyl	H	3-(4-fluorobenzoyl)	H
dimethylamino	cyclohexylmethyl	H	2-(4-fluorobenzoyl)	H
dimethylamino	cyclohexylmethyl	H	4-(4-methylbenzoyl)	H
dimethylamino	cyclohexylmethyl	H	3-(4-methylbenzoyl)	H
dimethylamino	cyclohexylmethyl	H	2-(4-methylbenzoyl)	H
dimethylamino	cyclohexylmethyl	H	4-chloroacetyl	H
dimethylamino	cyclohexylmethyl	H	3-chloroacetyl	H
dimethylamino	cyclohexylmethyl	H	2-chloroacetyl	H
dimethylamino	cyclohexylmethyl	H	4-ethoxycarbonyl	H
dimethylamino	cyclohexylmethyl	H	3-ethoxycarbonyl	H
dimethylamino	cyclohexylmethyl	H	2-ethoxycarbonyl	H
dimethylamino	cyclohexylmethyl	H	4-carboxy	H
dimethylamino	cyclohexylmethyl	H	3-carboxy	H
dimethylamino	cyclohexylmethyl	H	2-carboxy	H
dimethylamino	cyclohexylmethyl	H	4-chloromethoxy	H
dimethylamino	cyclohexylmethyl	H	3-chloromethoxy	H
dimethylamino	cyclohexylmethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	2-methoxyethyl	H	3-chloro	H
dimethylamino	2-methoxyethyl	H	3-chloro	H
dimethylamino	2-methoxyethyl	H	4-chloro	H
dimethylamino	2-methoxyethyl	H	2-fluoro	H
dimethylamino	2-methoxyethyl	H	3-fluoro	H
dimethylamino	2-methoxyethyl	H	4-fluoro	H
dimethylamino	2-methoxyethyl	H	2-bromo	H
dimethylamino	2-methoxyethyl	H	3-bromo	H
dimethylamino	2-methoxyethyl	H	4-bromo	H
dimethylamino	2-methoxyethyl	H	2-nitro	H
dimethylamino	2-methoxyethyl	H	3-nitro	H
dimethylamino	2-methoxyethyl	H	4-nitro	H
dimethylamino	2-methoxyethyl	H	2-methoxy	H
dimethylamino	2-methoxyethyl	H	3-methoxy	H
dimethylamino	2-methoxyethyl	H	4-methoxy	H
dimethylamino	2-methoxyethyl	H	2-chloro	4-chloro
dimethylamino	2-methoxyethyl	H	3-chloro	4-chloro
dimethylamino	2-methoxyethyl	H	2-fluoro	4-fluoro
dimethylamino	2-methoxyethyl	H	3-fluoro	4-fluoro
dimethylamino	2-methoxyethyl	H	2-bromo	4-bromo
dimethylamino	2-methoxyethyl	H	3-bromo	4-bromo
dimethylamino	2-methoxyethyl	H	2-methoxy	4-methoxy
dimethylamino	2-methoxyethyl	H	3-methoxy	4-methoxy
dimethylamino	2-methoxyethyl	H	2-acetyl	H
dimethylamino	2-methoxyethyl	H	3-acetyl	H
dimethylamino	2-methoxyethyl	H	4-acetyl	H
dimethylamino	2-methoxyethyl	H	2-benzoyl	H
dimethylamino	2-methoxyethyl	H	3-benzoyl	H
dimethylamino	2-methoxyethyl	H	4-benzoyl	H
dimethylamino	2-methoxyethyl	H	2-cyano	H
dimethylamino	2-methoxyethyl	H	3-cyano	H
dimethylamino	2-methoxyethyl	H	4-cyano	H
dimethylamino	2-methoxyethyl	H	2-carbamoyl	H
dimethylamino	2-methoxyethyl	H	3-carbamoyl	H
dimethylamino	2-methoxyethyl	H	4-carbamoyl	H
dimethylamino	2-methoxyethyl	H	2-dimethylcarbamoyl	H
dimethylamino	2-methoxyethyl	H	3-dimethylcarbamoyl	H
dimethylamino	2-methoxyethyl	H	4-dimethylcarbamoyl	H
dimethylamino	2-methoxyethyl	H	2-methylcarbamoyl	H
dimethylamino	2-methoxyethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
dimethylamino	2-methoxyethyl	H	4-methylcarbamoyl	H
dimethylamino	2-methoxyethyl	H	2-methoxycarbonyl	H
dimethylamino	2-methoxyethyl	H	3-methoxycarbonyl	H
dimethylamino	2-methoxyethyl	H	4-methoxycarbonyl	H
dimethylamino	2-methoxyethyl	H	2-amino	H
dimethylamino	2-methoxyethyl	H	3-amino	H
dimethylamino	2-methoxyethyl	H	4-amino	H
dimethylamino	2-methoxyethyl	H	2-methylamino	H
dimethylamino	2-methoxyethyl	H	3-methylamino	H
dimethylamino	2-methoxyethyl	H	4-methylamino	H
dimethylamino	2-methoxyethyl	H	2-dimethylamino	H
dimethylamino	2-methoxyethyl	H	3-dimethylamino	H
dimethylamino	2-methoxyethyl	H	4-dimethylamino	H
dimethylamino	2-methoxyethyl	H	2-trifluoromethyl	H
dimethylamino	2-methoxyethyl	H	3-trifluoromethyl	H
dimethylamino	2-methoxyethyl	H	4-trifluoromethyl	H
dimethylamino	2-methoxyethyl	H	2-fluoromethyl	H
dimethylamino	2-methoxyethyl	H	3-fluoromethyl	H
dimethylamino	2-methoxyethyl	H	4-fluoromethyl	H
dimethylamino	2-methoxyethyl	H	2-hydroxy	H
dimethylamino	2-methoxyethyl	H	3-hydroxy	H
dimethylamino	2-methoxyethyl	H	4-hydroxy	H
dimethylamino	2-methoxyethyl	H	4-(4-fluorobenzoyl)	H
dimethylamino	2-methoxyethyl	H	3-(4-fluorobenzoyl)	H
dimethylamino	2-methoxyethyl	H	2-(4-fluorobenzoyl)	H
dimethylamino	2-methoxyethyl	H	4-(4-methylbenzoyl)	H
dimethylamino	2-methoxyethyl	H	3-(4-methylbenzoyl)	H
dimethylamino	2-methoxyethyl	H	2-(4-methylbenzoyl)	H
dimethylamino	2-methoxyethyl	H	4-chloroacetyl	H
dimethylamino	2-methoxyethyl	H	3-chloroacetyl	H
dimethylamino	2-methoxyethyl	H	2-chloroacetyl	H
dimethylamino	2-methoxyethyl	H	4-ethoxycarbonyl	H
dimethylamino	2-methoxyethyl	H	3-ethoxycarbonyl	H
dimethylamino	2-methoxyethyl	H	2-ethoxycarbonyl	H
dimethylamino	2-methoxyethyl	H	4-carboxy	H
dimethylamino	2-methoxyethyl	H	3-carboxy	H
dimethylamino	2-methoxyethyl	H	2-carboxy	H
dimethylamino	2-methoxyethyl	H	4-chloromethoxy	H
dimethylamino	2-methoxyethyl	H	3-chloromethoxy	H
dimethylamino	2-methoxyethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	H	H	H	H
ethylamino	methyl	H	H	H
ethylamino	ethyl	H	H	H
ethylamino	propyl	H	H	H
ethylamino	methylethyl	H	H	H
ethylamino	butyl	H	H	H
ethylamino	1-methylpropyl	H	H	H
ethylamino	2-methylpropyl	H	H	H
ethylamino	dimethylethyl	H	H	H
ethylamino	pentyl	H	H	H
ethylamino	1-methylbutyl	H	H	H
ethylamino	2-methylbutyl	H	H	H
ethylamino	1,1-dimethylpropyl	H	H	H
ethylamino	1,2-dimethylpropyl	H	H	H
ethylamino	2,2-dimethylpropyl	H	H	H
ethylamino	hexyl	H	H	H
ethylamino	1-methylpentyl	H	H	H
ethylamino	2-methylpentyl	H	H	H
ethylamino	3-methylpentyl	H	H	H
ethylamino	4-methylpentyl	H	H	H
ethylamino	1,1-dimethylbutyl	H	H	H
ethylamino	1,2-dimethylbutyl	H	H	H
ethylamino	1,3-dimethylbutyl	H	H	H
ethylamino	2,2-dimethylbutyl	H	H	H
ethylamino	2,3-dimethylbutyl	H	H	H
ethylamino	3,3-dimethylbutyl	H	H	H
ethylamino	1-ethylbutyl	H	H	H
ethylamino	2-ethylbutyl	H	H	H
ethylamino	1,1,2-trimethylpropyl	H	H	H
ethylamino	heptyl	H	H	H
ethylamino	cyclopropyl	H	H	H
ethylamino	cyclopentyl	H	H	H
ethylamino	cyclohexyl	H	H	H
ethylamino	cycloheptyl	H	H	H
ethylamino	cyclopropylmethyl	H	H	H
ethylamino	cyclopentylmethyl	H	H	H
ethylamino	cyclohexylmethyl	H	H	H
ethylamino	cycloheptylmethyl	H	H	H
ethylamino	2-methoxyethyl	H	H	H
ethylamino	3-methoxypropyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	4-methoxybutyl	H	H	H
ethylamino	5-methoxypentyl	H	H	H
ethylamino	5-ethoxypentyl	H	H	H
ethylamino	2-ethoxyethyl	H	H	H
ethylamino	3-ethoxypropyl	H	H	H
ethylamino	4-ethoxybutyl	H	H	H
ethylamino	2-carboxyethyl	H	H	H
ethylamino	3-carboxypropyl	H	H	H
ethylamino	4-carboxybutyl	H	H	H
ethylamino	5-carboxypentyl	H	H	H
ethylamino	2-hydroxyethyl	H	H	H
ethylamino	3-hydroxypropyl	H	H	H
ethylamino	4-hydroxybutyl	H	H	H
ethylamino	5-hydroxypentyl	H	H	H
ethylamino	2-methoxycarbonylethyl	H	H	H
ethylamino	3-methoxycarbonylpropyl	H	H	H
ethylamino	4-methoxycarbonylbutyl	H	H	H
ethylamino	5-methoxycarbonylpentyl	H	H	H
ethylamino	2-aminoethyl	H	H	H
ethylamino	3-aminopropyl	H	H	H
ethylamino	4-aminobutyl	H	H	H
ethylamino	5-aminopentyl	H	H	H
ethylamino	6-aminohexyl	H	H	H
ethylamino	2-chloroethyl	H	H	H
ethylamino	3-chloropropyl	H	H	H
ethylamino	4-chlorobutyl	H	H	H
ethylamino	5-chloropentyl	H	H	H
ethylamino	6-chlorohexyl	H	H	H
ethylamino	2-fluoroethyl	H	H	H
ethylamino	3-fluoropropyl	H	H	H
ethylamino	4-fluorobutyl	H	H	H
ethylamino	5-fluoropentyl	H	H	H
ethylamino	6-fluorohexyl	H	H	H
ethylamino	2-carbamoylethyl	H	H	H
ethylamino	3-carbamoylpropyl	H	H	H
ethylamino	4-carbamoylbutyl	H	H	H
ethylamino	5-carbamoylpentyl	H	H	H
ethylamino	6-carbamoylhexyl	H	H	H
ethylamino	3-methylcarbamoylpropyl	H	H	H
ethylamino	4-methylcarbamoylbutyl	H	H	H
ethylamino	5-methylcarbamoylpentyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	benzyl	H	H	H
ethylamino	2-phenylethyl	H	H	H
ethylamino	4-fluorobenzyl	H	H	H
ethylamino	3-fluorobenzyl	H	H	H
ethylamino	4-chlorobenzyl	H	H	H
ethylamino	3-chlorobenzyl	H	H	H
ethylamino	4-methoxybenzyl	H	H	H
ethylamino	3-methoxybenzyl	H	H	H
ethylamino	4-hydroxybenzyl	H	H	H
ethylamino	3-hydroxybenzyl	H	H	H
ethylamino	4-methylbenzyl	H	H	H
ethylamino	3-methylbenzyl	H	H	H
ethylamino	4-aminobenzyl	H	H	H
ethylamino	3-aminobenzyl	H	H	H
ethylamino	4-dimethylaminobenzyl	H	H	H
ethylamino	3-dimethylaminobenzyl	H	H	H
ethylamino	4-carbamoylbenzyl	H	H	H
ethylamino	3-carbamoylbenzyl	H	H	H
ethylamino	4-methylcarbamoylbenzyl	H	H	H
ethylamino	3-methylcarbamoylbenzyl	H	H	H
ethylamino	4-cyanobenzyl	H	H	H
ethylamino	4-phenoxybenzyl	H	H	H
ethylamino	phenyl	H	H	H
ethylamino	4-fluorophenyl	H	H	H
ethylamino	3-fluorophenyl	H	H	H
ethylamino	4-chlorophenyl	H	H	H
ethylamino	3-methoxyphenyl	H	H	H
ethylamino	3-hydroxyphenyl	H	H	H
ethylamino	4-methylphenyl	H	H	H
ethylamino	3-methylphenyl	H	H	H
ethylamino	4-aminophenyl	H	H	H
ethylamino	3-aminophenyl	H	H	H
ethylamino	3-dimethylaminophenyl	H	H	H
ethylamino	4-dimethylaminophenyl	H	H	H
ethylamino	3-carbamoylphenyl	H	H	H
ethylamino	4-carbamoylphenyl	H	H	H
ethylamino	3-cyanophenyl	H	H	H
ethylamino	4-cyanophenyl	H	H	H
ethylamino	3-phenoxyphenyl	H	H	H
ethylamino	4-phenoxyphenyl	H	H	H

Continued

R^1	R^2	R^3	Y^1	Y^2
ethylamino	methyl	H	2-chloro	H
ethylamino	methyl	H	3-chloro	H
ethylamino	methyl	H	4-chloro	H
ethylamino	methyl	H	2-fluoro	H
ethylamino	methyl	H	3-fluoro	H
ethylamino	methyl	H	4-fluoro	H
ethylamino	methyl	H	2-bromo	H
ethylamino	methyl	H	3-bromo	H
ethylamino	methyl	H	4-bromo	H
ethylamino	methyl	H	2-nitro	H
ethylamino	methyl	H	3-nitro	H
ethylamino	methyl	H	4-nitro	H
ethylamino	methyl	H	2-methoxy	H
ethylamino	methyl	H	3-methoxy	H
ethylamino	methyl	H	4-methoxy	H
ethylamino	methyl	H	2-chloro	4-chloro
ethylamino	methyl	H	3-chloro	4-chloro
ethylamino	methyl	H	2-fluoro	4-fluoro
ethylamino	methyl	H	3-fluoro	4-fluoro
ethylamino	methyl	H	2-bromo	4-bromo
ethylamino	methyl	H	3-bromo	4-bromo
ethylamino	methyl	H	2-methoxy	4-methoxy
ethylamino	methyl	H	3-methoxy	4-methoxy
ethylamino	methyl	H	2-acetyl	H
ethylamino	methyl	H	3-acetyl	H
ethylamino	methyl	H	4-acetyl	H
ethylamino	methyl	H	2-benzoyl	H
ethylamino	methyl	H	3-benzoyl	H
ethylamino	methyl	H	4-benzoyl	H
ethylamino	methyl	H	2-cyano	H
ethylamino	methyl	H	3-cyano	H
ethylamino	methyl	H	4-cyano	H
ethylamino	methyl	H	2-carbamoyl	H
ethylamino	methyl	H	3-carbamoyl	H
ethylamino	methyl	H	4-carbamoyl	H
ethylamino	methyl	H	2-dimethylcarbamoyl	H
ethylamino	methyl	H	3-dimethylcarbamoyl	H
ethylamino	methyl	H	4-dimethylcarbamoyl	H
ethylamino	methyl	H	2-methylcarbamoyl	H
ethylamino	methyl	H	3-methylcarbamoyl	H

Continued

R^1	R^2	R^3	Y^1	Y^2
ethylamino	methyl	H	4-methylcarbamoyl	H
ethylamino	methyl	H	2-methoxycarbonyl	H
ethylamino	methyl	H	3-methoxycarbonyl	H
ethylamino	methyl	H	4-methoxycarbonyl	H
ethylamino	methyl	H	2-amino	H
ethylamino	methyl	H	3-amino	H
ethylamino	methyl	H	4-amino	H
)	ethylamino	methyl	2-methylamino	H
	ethylamino	methyl	3-methylamino	H
ethylamino	methyl	H	4-methylamino	H
ethylamino	methyl	H	2-dimethylamino	H
ethylamino	methyl	H	3-dimethylamino	H
ethylamino	methyl	H	4-dimethylamino	H
ethylamino	methyl	H	2-trifluoromethyl	H
ethylamino	methyl	H	3-trifluoromethyl	H
ethylamino	methyl	H	4-trifluoromethyl	H
ethylamino	methyl	H	2-fluoromethyl	H
ethylamino	methyl	H	3-fluoromethyl	H
ethylamino	methyl	H	4-fluoromethyl	H
ethylamino	methyl	H	2-hydroxy	H
ethylamino	methyl	H	3-hydroxy	H
ethylamino	methyl	H	4-hydroxy	H
)	ethylamino	methyl	4-(4-fluorobenzoyl)	H
	ethylamino	methyl	3-(4-fluorobenzoyl)	H
ethylamino	methyl	H	2-(4-fluorobenzoyl)	H
ethylamino	methyl	H	4-(4-methylbenzoyl)	H
ethylamino	methyl	H	3-(4-methylbenzoyl)	H
ethylamino	methyl	H	2-(4-methylbenzoyl)	H
ethylamino	methyl	H	4-chloroacetyl	H
ethylamino	methyl	H	3-chloroacetyl	H
ethylamino	methyl	H	2-chloroacetyl	H
ethylamino	methyl	H	4-ethoxycarbonyl	H
ethylamino	methyl	H	3-ethoxycarbonyl	H
ethylamino	methyl	H	2-ethoxycarbonyl	H
ethylamino	methyl	H	4-carboxy	H
ethylamino	methyl	H	3-carboxy	H
ethylamino	methyl	H	2-carboxy	H
ethylamino	methyl	H	4-chloromethoxy	H
ethylamino	methyl	H	3-chloromethoxy	H
ethylamino	methyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	ethyl	H	2-chloro	H
ethylamino	ethyl	H	3-chloro	H
ethylamino	ethyl	H	4-chloro	H
ethylamino	ethyl	H	2-fluoro	H
ethylamino	ethyl	H	3-fluoro	H
ethylamino	ethyl	H	4-fluoro	H
ethylamino	ethyl	H	2-bromo	H
ethylamino	ethyl	H	3-bromo	H
ethylamino	ethyl	H	4-bromo	H
ethylamino	ethyl	H	2-nitro	H
ethylamino	ethyl	H	3-nitro	H
ethylamino	ethyl	H	4-nitro	H
ethylamino	ethyl	H	2-methoxy	H
ethylamino	ethyl	H	3-methoxy	H
ethylamino	ethyl	H	4-methoxy	H
ethylamino	ethyl	H	2-chloro	4-chloro
ethylamino	ethyl	H	3-chloro	4-chloro
ethylamino	ethyl	H	2-fluoro	4-fluoro
ethylamino	ethyl	H	3-fluoro	4-fluoro
ethylamino	ethyl	H	2-bromo	4-bromo
ethylamino	ethyl	H	3-bromo	4-bromo
ethylamino	ethyl	H	2-methoxy	4-methoxy
ethylamino	ethyl	H	3-methoxy	4-methoxy
ethylamino	ethyl	H	2-acetyl	H
ethylamino	ethyl	H	3-acetyl	H
ethylamino	ethyl	H	4-acetyl	H
ethylamino	ethyl	H	2-benzoyl	H
ethylamino	ethyl	H	3-benzoyl	H
ethylamino	ethyl	H	4-benzoyl	H
ethylamino	ethyl	H	2-cyano	H
ethylamino	ethyl	H	3-cyano	H
ethylamino	ethyl	H	4-cyano	H
ethylamino	ethyl	H	2-carbamoyl	H
ethylamino	ethyl	H	3-carbamoyl	H
ethylamino	ethyl	H	4-carbamoyl	H
ethylamino	ethyl	H	2-dimethylcarbamoyl	H
ethylamino	ethyl	H	3-dimethylcarbamoyl	H
ethylamino	ethyl	H	4-dimethylcarbamoyl	H
ethylamino	ethyl	H	2-methylcarbamoyl	H
ethylamino	ethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	ethyl	H	4-methylcarbamoyl	H
ethylamino	ethyl	H	2-methoxycarbonyl	H
ethylamino	ethyl	H	3-methoxycarbonyl	H
ethylamino	ethyl	H	4-methoxycarbonyl	H
ethylamino	ethyl	H	2-amino	H
ethylamino	ethyl	H	3-amino	H
ethylamino	ethyl	H	4-amino	H
ethylamino	ethyl	H	2-methylamino	H
ethylamino	ethyl	H	3-methylamino	H
ethylamino	ethyl	H	4-methylamino	H
ethylamino	ethyl	H	2-dimethylamino	H
ethylamino	ethyl	H	3-dimethylamino	H
ethylamino	ethyl	H	4-dimethylamino	H
ethylamino	ethyl	H	2-trifluoromethyl	H
ethylamino	ethyl	H	3-trifluoromethyl	H
ethylamino	ethyl	H	4-trifluoromethyl	H
ethylamino	ethyl	H	2-fluoromethyl	H
ethylamino	ethyl	H	3-fluoromethyl	H
ethylamino	ethyl	H	4-fluoromethyl	H
ethylamino	ethyl	H	2-hydroxy	H
ethylamino	ethyl	H	3-hydroxy	H
ethylamino	ethyl	H	4-hydroxy	H
ethylamino	ethyl	H	4-(4-fluorobenzoyl)	H
ethylamino	ethyl	H	3-(4-fluorobenzoyl)	H
ethylamino	ethyl	H	2-(4-fluorobenzoyl)	H
ethylamino	ethyl	H	4-(4-methylbenzoyl)	H
ethylamino	ethyl	H	3-(4-methylbenzoyl)	H
ethylamino	ethyl	H	2-(4-methylbenzoyl)	H
ethylamino	ethyl	H	4-chloroacetyl	H
ethylamino	ethyl	H	3-chloroacetyl	H
ethylamino	ethyl	H	2-chloroacetyl	H
ethylamino	ethyl	H	4-ethoxycarbonyl	H
ethylamino	ethyl	H	3-ethoxycarbonyl	H
ethylamino	ethyl	H	2-ethoxycarbonyl	H
ethylamino	ethyl	H	4-carboxy	H
ethylamino	ethyl	H	3-carboxy	H
ethylamino	ethyl	H	2-carboxy	H
ethylamino	ethyl	H	4-chloromethoxy	H
ethylamino	ethyl	H	3-chloromethoxy	H
ethylamino	ethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	propyl	H	2-chloro	H
ethylamino	propyl	H	3-chloro	H
ethylamino	propyl	H	4-chloro	H
ethylamino	propyl	H	2-fluoro	H
ethylamino	propyl	H	3-fluoro	H
ethylamino	propyl	H	4-fluoro	H
ethylamino	propyl	H	2-bromo	H
ethylamino	propyl	H	3-bromo	H
ethylamino	propyl	H	4-bromo	H
ethylamino	propyl	H	2-nitro	H
ethylamino	propyl	H	3-nitro	H
ethylamino	propyl	H	4-nitro	H
ethylamino	propyl	H	2-methoxy	H
ethylamino	propyl	H	3-methoxy	H
ethylamino	propyl	H	4-methoxy	H
ethylamino	propyl	H	2-chloro	4-chloro
ethylamino	propyl	H	3-chloro	4-chloro
ethylamino	propyl	H	2-fluoro	4-fluoro
ethylamino	propyl	H	3-fluoro	4-fluoro
ethylamino	propyl	H	2-bromo	4-bromo
ethylamino	propyl	H	3-bromo	4-bromo
ethylamino	propyl	H	2-methoxy	4-methoxy
ethylamino	propyl	H	3-methoxy	4-methoxy
ethylamino	propyl	H	2-acetyl	H
ethylamino	propyl	H	3-acetyl	H
ethylamino	propyl	H	4-acetyl	H
ethylamino	propyl	H	2-benzoyl	H
ethylamino	propyl	H	3-benzoyl	H
ethylamino	propyl	H	4-benzoyl	H
ethylamino	propyl	H	2-cyano	H
ethylamino	propyl	H	3-cyano	H
ethylamino	propyl	H	4-cyano	H
ethylamino	propyl	H	2-carbamoyl	H
ethylamino	propyl	H	3-carbamoyl	H
ethylamino	propyl	H	4-carbamoyl	H
ethylamino	propyl	H	2-dimethylcarbamoyl	H
ethylamino	propyl	H	3-dimethylcarbamoyl	H
ethylamino	propyl	H	4-dimethylcarbamoyl	H
ethylamino	propyl	H	2-methylcarbamoyl	H
ethylamino	propyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	propyl	H	4-methylcarbamoyl	H
ethylamino	propyl	H	2-methoxycarbonyl	H
ethylamino	propyl	H	3-methoxycarbonyl	H
ethylamino	propyl	H	4-methoxycarbonyl	H
ethylamino	propyl	H	2-amino	H
ethylamino	propyl	H	3-amino	H
ethylamino	propyl	H	4-amino	H
ethylamino	propyl	H	2-methylamino	H
ethylamino	propyl	H	3-methylamino	H
ethylamino	propyl	H	4-methylamino	H
ethylamino	propyl	H	2-dimethylamino	H
ethylamino	propyl	H	3-dimethylamino	H
ethylamino	propyl	H	4-dimethylamino	H
ethylamino	propyl	H	2-trifluoromethyl	H
ethylamino	propyl	H	3-trifluoromethyl	H
ethylamino	propyl	H	4-trifluoromethyl	H
ethylamino	propyl	H	2-fluoromethyl	H
ethylamino	propyl	H	3-fluoromethyl	H
ethylamino	propyl	H	4-fluoromethyl	H
ethylamino	propyl	H	2-hydroxy	H
ethylamino	propyl	H	3-hydroxy	H
ethylamino	propyl	H	4-hydroxy	H
ethylamino	propyl	H	4-(4-fluorobenzoyl)	H
ethylamino	propyl	H	3-(4-fluorobenzoyl)	H
ethylamino	propyl	H	2-(4-fluorobenzoyl)	H
ethylamino	propyl	H	4-(4-methylbenzoyl)	H
ethylamino	propyl	H	3-(4-methylbenzoyl)	H
ethylamino	propyl	H	2-(4-methylbenzoyl)	H
ethylamino	propyl	H	4-chloroacetyl	H
ethylamino	propyl	H	3-chloroacetyl	H
ethylamino	propyl	H	2-chloroacetyl	H
ethylamino	propyl	H	4-ethoxycarbonyl	H
ethylamino	propyl	H	3-ethoxycarbonyl	H
ethylamino	propyl	H	2-ethoxycarbonyl	H
ethylamino	propyl	H	4-carboxy	H
ethylamino	propyl	H	3-carboxy	H
ethylamino	propyl	H	2-carboxy	H
ethylamino	propyl	H	4-chloromethoxy	H
ethylamino	propyl	H	3-chloromethoxy	H
ethylamino	propyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	butyl	H	2-chloro	H
ethylamino	butyl	H	3-chloro	H
ethylamino	butyl	H	4-chloro	H
ethylamino	butyl	H	2-fluoro	H
ethylamino	butyl	H	3-fluoro	H
ethylamino	butyl	H	4-fluoro	H
ethylamino	butyl	H	2-bromo	H
ethylamino	butyl	H	3-bromo	H
ethylamino	butyl	H	4-bromo	H
ethylamino	butyl	H	2-nitro	H
ethylamino	butyl	H	3-nitro	H
ethylamino	butyl	H	4-nitro	H
ethylamino	butyl	H	2-methoxy	H
ethylamino	butyl	H	3-methoxy	H
ethylamino	butyl	H	4-methoxy	H
ethylamino	butyl	H	2-chloro	4-chloro
ethylamino	butyl	H	3-chloro	4-chloro
ethylamino	butyl	H	2-fluoro	4-fluoro
ethylamino	butyl	H	3-fluoro	4-fluoro
ethylamino	butyl	H	2-bromo	4-bromo
ethylamino	butyl	H	3-bromo	4-bromo
ethylamino	butyl	H	2-methoxy	4-methoxy
ethylamino	butyl	H	3-methoxy	4-methoxy
ethylamino	butyl	H	2-acetyl	H
ethylamino	butyl	H	3-acetyl	H
ethylamino	butyl	H	4-acetyl	H
ethylamino	butyl	H	2-benzoyl	H
ethylamino	butyl	H	3-benzoyl	H
ethylamino	butyl	H	4-benzoyl	H
ethylamino	butyl	H	2-cyano	H
ethylamino	butyl	H	3-cyano	H
ethylamino	butyl	H	4-cyano	H
ethylamino	butyl	H	2-carbamoyl	H
ethylamino	butyl	H	3-carbamoyl	H
ethylamino	butyl	H	4-carbamoyl	H
ethylamino	butyl	H	2-dimethylcarbamoyl	H
ethylamino	butyl	H	3-dimethylcarbamoyl	H
ethylamino	butyl	H	4-dimethylcarbamoyl	H
ethylamino	butyl	H	2-methylcarbamoyl	H
ethylamino	butyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	butyl	H	4-methylcarbamoyl	H
ethylamino	butyl	H	2-methoxycarbonyl	H
ethylamino	butyl	H	3-methoxycarbonyl	H
ethylamino	butyl	H	4-methoxycarbonyl	H
ethylamino	butyl	H	2-amino	H
ethylamino	butyl	H	3-amino	H
ethylamino	butyl	H	4-amino	H
ethylamino	butyl	H	2-methylamino	H
ethylamino	butyl	H	3-methylamino	H
ethylamino	butyl	H	4-methylamino	H
ethylamino	butyl	H	2-dimethylamino	H
ethylamino	butyl	H	3-dimethylamino	H
ethylamino	butyl	H	4-dimethylamino	H
ethylamino	butyl	H	2-trifluoromethyl	H
ethylamino	butyl	H	3-trifluoromethyl	H
ethylamino	butyl	H	4-trifluoromethyl	H
ethylamino	butyl	H	2-fluoromethyl	H
ethylamino	butyl	H	3-fluoromethyl	H
ethylamino	butyl	H	4-fluoromethyl	H
ethylamino	butyl	H	2-hydroxy	H
ethylamino	butyl	H	3-hydroxy	H
ethylamino	butyl	H	4-hydroxy	H
ethylamino	butyl	H	4-(4-fluorobenzoyl)	H
ethylamino	butyl	H	3-(4-fluorobenzoyl)	H
ethylamino	butyl	H	2-(4-fluorobenzoyl)	H
ethylamino	butyl	H	4-(4-methylbenzoyl)	H
ethylamino	butyl	H	3-(4-methylbenzoyl)	H
ethylamino	butyl	H	2-(4-methylbenzoyl)	H
ethylamino	butyl	H	4-chloroacetyl	H
ethylamino	butyl	H	3-chloroacetyl	H
ethylamino	butyl	H	2-chloroacetyl	H
ethylamino	butyl	H	4-ethoxycarbonyl	H
ethylamino	butyl	H	3-ethoxycarbonyl	H
ethylamino	butyl	H	2-ethoxycarbonyl	H
ethylamino	butyl	H	4-carboxy	H
ethylamino	butyl	H	3-carboxy	H
ethylamino	butyl	H	2-carboxy	H
ethylamino	butyl	H	4-chloromethoxy	H
ethylamino	butyl	H	3-chloromethoxy	H
ethylamino	butyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	benzyl	H	2-chloro	H
ethylamino	benzyl	H	3-chloro	H
ethylamino	benzyl	H	4-chloro	H
ethylamino	benzyl	H	2-fluoro	H
ethylamino	benzyl	H	3-fluoro	H
ethylamino	benzyl	H	4-fluoro	H
ethylamino	benzyl	H	2-bromo	H
ethylamino	benzyl	H	3-bromo	H
ethylamino	benzyl	H	4-bromo	H
ethylamino	benzyl	H	2-nitro	H
ethylamino	benzyl	H	3-nitro	H
ethylamino	benzyl	H	4-nitro	H
ethylamino	benzyl	H	2-methoxy	H
ethylamino	benzyl	H	3-methoxy	H
ethylamino	benzyl	H	4-methoxy	H
ethylamino	benzyl	H	2-chloro	4-chloro
ethylamino	benzyl	H	3-chloro	4-chloro
ethylamino	benzyl	H	2-fluoro	4-fluoro
ethylamino	benzyl	H	3-fluoro	4-fluoro
ethylamino	benzyl	H	2-bromo	4-bromo
ethylamino	benzyl	H	3-bromo	4-bromo
ethylamino	benzyl	H	2-methoxy	4-methoxy
ethylamino	benzyl	H	3-methoxy	4-methoxy
ethylamino	benzyl	H	2-acetyl	H
ethylamino	benzyl	H	3-acetyl	H
ethylamino	benzyl	H	4-acetyl	H
ethylamino	benzyl	H	2-benzoyl	H
ethylamino	benzyl	H	3-benzoyl	H
ethylamino	benzyl	H	4-benzoyl	H
ethylamino	benzyl	H	2-cyano	H
ethylamino	benzyl	H	3-cyano	H
ethylamino	benzyl	H	4-cyano	H
ethylamino	benzyl	H	2-carbamoyl	H
ethylamino	benzyl	H	3-carbamoyl	H
ethylamino	benzyl	H	4-carbamoyl	H
ethylamino	benzyl	H	2-dimethylcarbamoyl	H
ethylamino	benzyl	H	3-dimethylcarbamoyl	H
ethylamino	benzyl	H	4-dimethylcarbamoyl	H
ethylamino	benzyl	H	2-methylcarbamoyl	H
ethylamino	benzyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	benzyl	H	4-methylcarbamoyl	H
ethylamino	benzyl	H	2-methoxycarbonyl	H
ethylamino	benzyl	H	3-methoxycarbonyl	H
ethylamino	benzyl	H	4-methoxycarbonyl	H
ethylamino	benzyl	H	2-amino	H
ethylamino	benzyl	H	3-amino	H
ethylamino	benzyl	H	4-amino	H
ethylamino	benzyl	H	2-methylamino	H
ethylamino	benzyl	H	3-methylamino	H
ethylamino	benzyl	H	4-methylamino	H
ethylamino	benzyl	H	2-dimethylamino	H
ethylamino	benzyl	H	3-dimethylamino	H
ethylamino	benzyl	H	4-dimethylamino	H
ethylamino	benzyl	H	2-trifluoromethyl	H
ethylamino	benzyl	H	3-trifluoromethyl	H
ethylamino	benzyl	H	4-trifluoromethyl	H
ethylamino	benzyl	H	2-fluoromethyl	H
ethylamino	benzyl	H	3-fluoromethyl	H
ethylamino	benzyl	H	4-fluoromethyl	H
ethylamino	benzyl	H	2-hydroxy	H
ethylamino	benzyl	H	3-hydroxy	H
ethylamino	benzyl	H	4-hydroxy	H
ethylamino	benzyl	H	4-(4-fluorobenzoyl)	H
ethylamino	benzyl	H	3-(4-fluorobenzoyl)	H
ethylamino	benzyl	H	2-(4-fluorobenzoyl)	H
ethylamino	benzyl	H	4-(4-methylbenzoyl)	H
ethylamino	benzyl	H	3-(4-methylbenzoyl)	H
ethylamino	benzyl	H	2-(4-methylbenzoyl)	H
ethylamino	benzyl	H	4-chloroacetyl	H
ethylamino	benzyl	H	3-chloroacetyl	H
ethylamino	benzyl	H	2-chloroacetyl	H
ethylamino	benzyl	H	4-ethoxycarbonyl	H
ethylamino	benzyl	H	3-ethoxycarbonyl	H
ethylamino	benzyl	H	2-ethoxycarbonyl	H
ethylamino	benzyl	H	4-carboxy	H
ethylamino	benzyl	H	3-carboxy	H
ethylamino	benzyl	H	2-carboxy	H
ethylamino	benzyl	H	4-chloromethoxy	H
ethylamino	benzyl	H	3-chloromethoxy	H
ethylamino	benzyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	cyclohexylmethyl	H	3-chloro	H
ethylamino	cyclohexylmethyl	H	3-chloro	H
ethylamino	cyclohexylmethyl	H	4-chloro	H
ethylamino	cyclohexylmethyl	H	2-fluoro	H
ethylamino	cyclohexylmethyl	H	3-fluoro	H
ethylamino	cyclohexylmethyl	H	4-fluoro	H
ethylamino	cyclohexylmethyl	H	2-bromo	H
ethylamino	cyclohexylmethyl	H	3-bromo	H
ethylamino	cyclohexylmethyl	H	4-bromo	H
ethylamino	cyclohexylmethyl	H	2-nitro	H
ethylamino	cyclohexylmethyl	H	3-nitro	H
ethylamino	cyclohexylmethyl	H	4-nitro	H
ethylamino	cyclohexylmethyl	H	2-methoxy	H
ethylamino	cyclohexylmethyl	H	3-methoxy	H
ethylamino	cyclohexylmethyl	H	4-methoxy	H
ethylamino	cyclohexylmethyl	H	2-chloro	4-chloro
ethylamino	cyclohexylmethyl	H	3-chloro	4-chloro
ethylamino	cyclohexylmethyl	H	2-fluoro	4-fluoro
ethylamino	cyclohexylmethyl	H	3-fluoro	4-fluoro
ethylamino	cyclohexylmethyl	H	2-bromo	4-bromo
ethylamino	cyclohexylmethyl	H	3-bromo	4-bromo
ethylamino	cyclohexylmethyl	H	2-methoxy	4-methoxy
ethylamino	cyclohexylmethyl	H	3-methoxy	4-methoxy
ethylamino	cyclohexylmethyl	H	2-acetyl	H
ethylamino	cyclohexylmethyl	H	3-acetyl	H
ethylamino	cyclohexylmethyl	H	4-acetyl	H
ethylamino	cyclohexylmethyl	H	2-benzoyl	H
ethylamino	cyclohexylmethyl	H	3-benzoyl	H
ethylamino	cyclohexylmethyl	H	4-benzoyl	H
ethylamino	cyclohexylmethyl	H	2-cyano	H
ethylamino	cyclohexylmethyl	H	3-cyano	H
ethylamino	cyclohexylmethyl	H	4-cyano	H
ethylamino	cyclohexylmethyl	H	2-carbamoyl	H
ethylamino	cyclohexylmethyl	H	3-carbamoyl	H
ethylamino	cyclohexylmethyl	H	4-carbamoyl	H
ethylamino	cyclohexylmethyl	H	2-dimethylcarbamoyl	H
ethylamino	cyclohexylmethyl	H	3-dimethylcarbamoyl	H
ethylamino	cyclohexylmethyl	H	4-dimethylcarbamoyl	H
ethylamino	cyclohexylmethyl	H	2-methylcarbamoyl	H
ethylamino	cyclohexylmethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	cyclohexylmethyl	H	4-methylcarbamoyl	H
ethylamino	cyclohexylmethyl	H	2-methoxycarbonyl	H
ethylamino	cyclohexylmethyl	H	3-methoxycarbonyl	H
ethylamino	cyclohexylmethyl	H	4-methoxycarbonyl	H
ethylamino	cyclohexylmethyl	H	2-amino	H
ethylamino	cyclohexylmethyl	H	3-amino	H
ethylamino	cyclohexylmethyl	H	4-amino	H
ethylamino	cyclohexylmethyl	H	2-methylamino	H
ethylamino	cyclohexylmethyl	H	3-methylamino	H
ethylamino	cyclohexylmethyl	H	4-methylamino	H
ethylamino	cyclohexylmethyl	H	2-dimethylamino	H
ethylamino	cyclohexylmethyl	H	3-dimethylamino	H
ethylamino	cyclohexylmethyl	H	4-dimethylamino	H
ethylamino	cyclohexylmethyl	H	2-trifluoromethyl	H
ethylamino	cyclohexylmethyl	H	3-trifluoromethyl	H
ethylamino	cyclohexylmethyl	H	4-trifluoromethyl	H
ethylamino	cyclohexylmethyl	H	2-fluoromethyl	H
ethylamino	cyclohexylmethyl	H	3-fluoromethyl	H
ethylamino	cyclohexylmethyl	H	4-fluoromethyl	H
ethylamino	cyclohexylmethyl	H	2-hydroxy	H
ethylamino	cyclohexylmethyl	H	3-hydroxy	H
ethylamino	cyclohexylmethyl	H	4-hydroxy	H
ethylamino	cyclohexylmethyl	H	4-(4-fluorobenzoyl)	H
ethylamino	cyclohexylmethyl	H	3-(4-fluorobenzoyl)	H
ethylamino	cyclohexylmethyl	H	2-(4-fluorobenzoyl)	H
ethylamino	cyclohexylmethyl	H	4-(4-methylbenzoyl)	H
ethylamino	cyclohexylmethyl	H	3-(4-methylbenzoyl)	H
ethylamino	cyclohexylmethyl	H	2-(4-methylbenzoyl)	H
ethylamino	cyclohexylmethyl	H	4-chloroacetyl	H
ethylamino	cyclohexylmethyl	H	3-chloroacetyl	H
ethylamino	cyclohexylmethyl	H	2-chloroacetyl	H
ethylamino	cyclohexylmethyl	H	4-ethoxycarbonyl	H
ethylamino	cyclohexylmethyl	H	3-ethoxycarbonyl	H
ethylamino	cyclohexylmethyl	H	2-ethoxycarbonyl	H
ethylamino	cyclohexylmethyl	H	4-carboxy	H
ethylamino	cyclohexylmethyl	H	3-carboxy	H
ethylamino	cyclohexylmethyl	H	2-carboxy	H
ethylamino	cyclohexylmethyl	H	4-chloromethoxy	H
ethylamino	cyclohexylmethyl	H	3-chloromethoxy	H
ethylamino	cyclohexylmethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	2-methoxyethyl	H	3-chloro	H
ethylamino	2-methoxyethyl	H	3-chloro	H
ethylamino	2-methoxyethyl	H	4-chloro	H
ethylamino	2-methoxyethyl	H	2-fluoro	H
ethylamino	2-methoxyethyl	H	3-fluoro	H
ethylamino	2-methoxyethyl	H	4-fluoro	H
ethylamino	2-methoxyethyl	H	2-bromo	H
ethylamino	2-methoxyethyl	H	3-bromo	H
ethylamino	2-methoxyethyl	H	4-bromo	H
ethylamino	2-methoxyethyl	H	2-nitro	H
ethylamino	2-methoxyethyl	H	3-nitro	H
ethylamino	2-methoxyethyl	H	4-nitro	H
ethylamino	2-methoxyethyl	H	2-methoxy	H
ethylamino	2-methoxyethyl	H	3-methoxy	H
ethylamino	2-methoxyethyl	H	4-methoxy	H
ethylamino	2-methoxyethyl	H	2-chloro	4-chloro
ethylamino	2-methoxyethyl	H	3-chloro	4-chloro
ethylamino	2-methoxyethyl	H	2-fluoro	4-fluoro
ethylamino	2-methoxyethyl	H	3-fluoro	4-fluoro
ethylamino	2-methoxyethyl	H	2-bromo	4-bromo
ethylamino	2-methoxyethyl	H	3-bromo	4-bromo
ethylamino	2-methoxyethyl	H	2-methoxy	4-methoxy
ethylamino	2-methoxyethyl	H	3-methoxy	4-methoxy
ethylamino	2-methoxyethyl	H	2-acetyl	H
ethylamino	2-methoxyethyl	H	3-acetyl	H
ethylamino	2-methoxyethyl	H	4-acetyl	H
ethylamino	2-methoxyethyl	H	2-benzoyl	H
ethylamino	2-methoxyethyl	H	3-benzoyl	H
ethylamino	2-methoxyethyl	H	4-benzoyl	H
ethylamino	2-methoxyethyl	H	2-cyano	H
ethylamino	2-methoxyethyl	H	3-cyano	H
ethylamino	2-methoxyethyl	H	4-cyano	H
ethylamino	2-methoxyethyl	H	2-carbamoyl	H
ethylamino	2-methoxyethyl	H	3-carbamoyl	H
ethylamino	2-methoxyethyl	H	4-carbamoyl	H
ethylamino	2-methoxyethyl	H	2-dimethylcarbamoyl	H
ethylamino	2-methoxyethyl	H	3-dimethylcarbamoyl	H
ethylamino	2-methoxyethyl	H	4-dimethylcarbamoyl	H
ethylamino	2-methoxyethyl	H	2-methylcarbamoyl	H
ethylamino	2-methoxyethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
ethylamino	2-methoxyethyl	H	4-methylcarbamoyl	H
ethylamino	2-methoxyethyl	H	2-methoxycarbonyl	H
ethylamino	2-methoxyethyl	H	3-methoxycarbonyl	H
ethylamino	2-methoxyethyl	H	4-methoxycarbonyl	H
ethylamino	2-methoxyethyl	H	2-amino	H
ethylamino	2-methoxyethyl	H	3-amino	H
ethylamino	2-methoxyethyl	H	4-amino	H
ethylamino	2-methoxyethyl	H	2-methylamino	H
ethylamino	2-methoxyethyl	H	3-methylamino	H
ethylamino	2-methoxyethyl	H	4-methylamino	H
ethylamino	2-methoxyethyl	H	2-dimethylamino	H
ethylamino	2-methoxyethyl	H	3-dimethylamino	H
ethylamino	2-methoxyethyl	H	4-dimethylamino	H
ethylamino	2-methoxyethyl	H	2-trifluoromethyl	H
ethylamino	2-methoxyethyl	H	3-trifluoromethyl	H
ethylamino	2-methoxyethyl	H	4-trifluoromethyl	H
ethylamino	2-methoxyethyl	H	2-fluoromethyl	H
ethylamino	2-methoxyethyl	H	3-fluoromethyl	H
ethylamino	2-methoxyethyl	H	4-fluoromethyl	H
ethylamino	2-methoxyethyl	H	2-hydroxy	H
ethylamino	2-methoxyethyl	H	3-hydroxy	H
ethylamino	2-methoxyethyl	H	4-hydroxy	H
ethylamino	2-methoxyethyl	H	4-(4-fluorobenzoyl)	H
ethylamino	2-methoxyethyl	H	3-(4-fluorobenzoyl)	H
ethylamino	2-methoxyethyl	H	2-(4-fluorobenzoyl)	H
ethylamino	2-methoxyethyl	H	4-(4-methylbenzoyl)	H
ethylamino	2-methoxyethyl	H	3-(4-methylbenzoyl)	H
ethylamino	2-methoxyethyl	H	2-(4-methylbenzoyl)	H
ethylamino	2-methoxyethyl	H	4-chloroacetyl	H
ethylamino	2-methoxyethyl	H	3-chloroacetyl	H
ethylamino	2-methoxyethyl	H	2-chloroacetyl	H
ethylamino	2-methoxyethyl	H	4-ethoxycarbonyl	H
ethylamino	2-methoxyethyl	H	3-ethoxycarbonyl	H
ethylamino	2-methoxyethyl	H	2-ethoxycarbonyl	H
ethylamino	2-methoxyethyl	H	4-carboxy	H
ethylamino	2-methoxyethyl	H	3-carboxy	H
ethylamino	2-methoxyethyl	H	2-carboxy	H
ethylamino	2-methoxyethyl	H	4-chloromethoxy	H
ethylamino	2-methoxyethyl	H	3-chloromethoxy	H
ethylamino	2-methoxyethyl	H	2-chloromethoxy	H

Continued

R^1	R^2	R^3	Y^1	Y^2
propylamino	H	H	H	H
propylamino	methyl	H	H	H
propylamino	ethyl	H	H	H
propylamino	propyl	H	H	H
propylamino	methylethyl	H	H	H
propylamino	butyl	H	H	H
propylamino	1-methylpropyl	H	H	H
propylamino	2-methylpropyl	H	H	H
propylamino	dimethylethyl	H	H	H
propylamino	pentyl	H	H	H
propylamino	1-methylbutyl	H	H	H
propylamino	2-methylbutyl	H	H	H
propylamino	1,1-dimethylpropyl	H	H	H
propylamino	1,2-dimethylpropyl	H	H	H
propylamino	2,2-dimethylpropyl	H	H	H
propylamino	hexyl	H	H	H
propylamino	1-methylpentyl	H	H	H
propylamino	2-methylpentyl	H	H	H
propylamino	3-methylpentyl	H	H	H
propylamino	4-methylpentyl	H	H	H
propylamino	1,1-dimethylbutyl	H	H	H
propylamino	1,2-dimethylbutyl	H	H	H
propylamino	1,3-dimethylbutyl	H	H	H
propylamino	2,2-dimethylbutyl	H	H	H
propylamino	2,3-dimethylbutyl	H	H	H
propylamino	3,3-dimethylbutyl	H	H	H
propylamino	1-ethylbutyl	H	H	H
propylamino	2-ethylbutyl	H	H	H
propylamino	1,1,2-trimethylpropyl	H	H	H
propylamino	heptyl	H	H	H
propylamino	cyclopropyl	H	H	H
propylamino	cyclopentyl	H	H	H
propylamino	cyclohexyl	H	H	H
propylamino	cycloheptyl	H	H	H
propylamino	cyclopropylmethyl	H	H	H
propylamino	cyclopentylmethyl	H	H	H
propylamino	cyclohexylmethyl	H	H	H
propylamino	cycloheptylmethyl	H	H	H
propylamino	2-methoxyethyl	H	H	H
propylamino	3-methoxypropyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	4-methoxybutyl	H	H	H
propylamino	5-methoxypentyl	H	H	H
propylamino	5-ethoxypentyl	H	H	H
propylamino	2-ethoxyethyl	H	H	H
propylamino	3-ethoxypropyl	H	H	H
propylamino	4-ethoxybutyl	H	H	H
propylamino	2-carboxyethyl	H	H	H
propylamino	3-carboxypropyl	H	H	H
propylamino	4-carboxybutyl	H	H	H
propylamino	5-carboxypentyl	H	H	H
propylamino	2-hydroxyethyl	H	H	H
propylamino	3-hydroxypropyl	H	H	H
propylamino	4-hydroxybutyl	H	H	H
propylamino	5-hydroxypentyl	H	H	H
propylamino	2-methoxycarbonylethyl	H	H	H
propylamino	3-methoxycarbonylpropyl	H	H	H
propylamino	4-methoxycarbonylbutyl	H	H	H
propylamino	5-methoxycarbonylpentyl	H	H	H
propylamino	2-aminoethyl	H	H	H
propylamino	3-aminopropyl	H	H	H
propylamino	4-aminobutyl	H	H	H
propylamino	5-aminopentyl	H	H	H
propylamino	6-aminoethyl	H	H	H
propylamino	2-chloroethyl	H	H	H
propylamino	3-chloropropyl	H	H	H
propylamino	4-chlorobutyl	H	H	H
propylamino	5-chloropentyl	H	H	H
propylamino	6-chlorohexyl	H	H	H
propylamino	2-fluoroethyl	H	H	H
propylamino	3-fluoropropyl	H	H	H
propylamino	4-fluorobutyl	H	H	H
propylamino	5-fluoropentyl	H	H	H
propylamino	6-fluorohexyl	H	H	H
propylamino	2-carbamoylethyl	H	H	H
propylamino	3-carbamoylpropyl	H	H	H
propylamino	4-carbamoylbutyl	H	H	H
propylamino	5-carbamoylpentyl	H	H	H
propylamino	6-carbamoylhexyl	H	H	H
propylamino	3-methylcarbamoylpropyl	H	H	H
propylamino	4-methylcarbamoylbutyl	H	H	H
propylamino	5-methylcarbamoylpentyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	benzyl	H	H	H
propylamino	2-phenylethyl	H	H	H
propylamino	4-fluorobenzyl	H	H	H
propylamino	3-fluorobenzyl	H	H	H
propylamino	4-chlorobenzyl	H	H	H
propylamino	3-chlorobenzyl	H	H	H
propylamino	4-methoxybenzyl	H	H	H
propylamino	3-methoxybenzyl	H	H	H
propylamino	4-hydroxybenzyl	H	H	H
propylamino	3-hydroxybenzyl	H	H	H
propylamino	4-methylbenzyl	H	H	H
propylamino	3-methylbenzyl	H	H	H
propylamino	4-aminobenzyl	H	H	H
propylamino	3-aminobenzyl	H	H	H
propylamino	4-dimethylaminobenzyl	H	H	H
propylamino	3-dimethylaminobenzyl	H	H	H
propylamino	4-carbamoylbenzyl	H	H	H
propylamino	3-carbamoylbenzyl	H	H	H
propylamino	4-methylcarbamoylbenzyl	H	H	H
propylamino	3-methylcarbamoylbenzyl	H	H	H
propylamino	4-cyanobenzyl	H	H	H
propylamino	4-phenoxybenzyl	H	H	H
propylamino	phenyl	H	H	H
propylamino	4-fluorophenyl	H	H	H
propylamino	3-fluorophenyl	H	H	H
propylamino	4-chlorophenyl	H	H	H
propylamino	3-methoxyphenyl	H	H	H
propylamino	3-hydroxyphenyl	H	H	H
propylamino	4-methylphenyl	H	H	H
propylamino	3-methylphenyl	H	H	H
propylamino	4-aminophenyl	H	H	H
propylamino	3-aminophenyl	H	H	H
propylamino	3-dimethylaminophenyl	H	H	H
propylamino	4-dimethylaminophenyl	H	H	H
propylamino	3-carbamoylphenyl	H	H	H
propylamino	4-carbamoylphenyl	H	H	H
propylamino	3-cyanophenyl	H	H	H
propylamino	4-cyanophenyl	H	H	H
propylamino	3-phenoxyphenyl	H	H	H
propylamino	4-phenoxyphenyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	methyl	H	2-chloro	H
propylamino	methyl	H	3-chloro	H
propylamino	methyl	H	4-chloro	H
propylamino	methyl	H	2-fluoro	H
propylamino	methyl	H	3-fluoro	H
propylamino	methyl	H	4-fluoro	H
propylamino	methyl	H	2-bromo	H
propylamino	methyl	H	3-bromo	H
propylamino	methyl	H	4-bromo	H
propylamino	methyl	H	2-nitro	H
propylamino	methyl	H	3-nitro	H
propylamino	methyl	H	4-nitro	H
propylamino	methyl	H	2-methoxy	H
propylamino	methyl	H	3-methoxy	H
propylamino	methyl	H	4-methoxy	H
propylamino	methyl	H	2-chloro	4-chloro
propylamino	methyl	H	3-chloro	4-chloro
propylamino	methyl	H	2-fluoro	4-fluoro
propylamino	methyl	H	3-fluoro	4-fluoro
propylamino	methyl	H	2-bromo	4-bromo
propylamino	methyl	H	3-bromo	4-bromo
propylamino	methyl	H	2-methoxy	4-methoxy
propylamino	methyl	H	3-methoxy	4-methoxy
propylamino	methyl	H	2-acetyl	H
propylamino	methyl	H	3-acetyl	H
propylamino	methyl	H	4-acetyl	H
propylamino	methyl	H	2-benzoyl	H
propylamino	methyl	H	3-benzoyl	H
propylamino	methyl	H	4-benzoyl	H
propylamino	methyl	H	2-cyano	H
propylamino	methyl	H	3-cyano	H
propylamino	methyl	H	4-cyano	H
propylamino	methyl	H	2-carbamoyl	H
propylamino	methyl	H	3-carbamoyl	H
propylamino	methyl	H	4-carbamoyl	H
propylamino	methyl	H	2-dimethylcarbamoyl	H
propylamino	methyl	H	3-dimethylcarbamoyl	H
propylamino	methyl	H	4-dimethylcarbamoyl	H
propylamino	methyl	H	2-methylcarbamoyl	H
propylamino	methyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	methyl	H	4-methylcarbamoyl	H
propylamino	methyl	H	2-methoxycarbonyl	H
propylamino	methyl	H	3-methoxycarbonyl	H
propylamino	methyl	H	4-methoxycarbonyl	H
propylamino	methyl	H	2-amino	H
propylamino	methyl	H	3-amino	H
propylamino	methyl	H	4-amino	H
propylamino	methyl	H	2-methylamino	H
propylamino	methyl	H	3-methylamino	H
propylamino	methyl	H	4-methylamino	H
propylamino	methyl	H	2-dimethylamino	H
propylamino	methyl	H	3-dimethylamino	H
propylamino	methyl	H	4-dimethylamino	H
propylamino	methyl	H	2-trifluoromethyl	H
propylamino	methyl	H	3-trifluoromethyl	H
propylamino	methyl	H	4-trifluoromethyl	H
propylamino	methyl	H	2-fluoromethyl	H
propylamino	methyl	H	3-fluoromethyl	H
propylamino	methyl	H	4-fluoromethyl	H
propylamino	methyl	H	2-hydroxy	H
propylamino	methyl	H	3-hydroxy	H
propylamino	methyl	H	4-hydroxy	H
propylamino	methyl	H	4-(4-fluorobenzoyl)	H
propylamino	methyl	H	3-(4-fluorobenzoyl)	H
propylamino	methyl	H	2-(4-fluorobenzoyl)	H
propylamino	methyl	H	4-(4-methylbenzoyl)	H
propylamino	methyl	H	3-(4-methylbenzoyl)	H
propylamino	methyl	H	2-(4-methylbenzoyl)	H
propylamino	methyl	H	4-chloroacetyl	H
propylamino	methyl	H	3-chloroacetyl	H
propylamino	methyl	H	2-chloroacetyl	H
propylamino	methyl	H	4-ethoxycarbonyl	H
propylamino	methyl	H	3-ethoxycarbonyl	H
propylamino	methyl	H	2-ethoxycarbonyl	H
propylamino	methyl	H	4-carboxy	H
propylamino	methyl	H	3-carboxy	H
propylamino	methyl	H	2-carboxy	H
propylamino	methyl	H	4-chloromethoxy	H
propylamino	methyl	H	3-chloromethoxy	H
propylamino	methyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	ethyl	H	2-chloro	H
propylamino	ethyl	H	3-chloro	H
propylamino	ethyl	H	4-chloro	H
propylamino	ethyl	H	2-fluoro	H
propylamino	ethyl	H	3-fluoro	H
propylamino	ethyl	H	4-fluoro	H
propylamino	ethyl	H	2-bromo	H
propylamino	ethyl	H	3-bromo	H
propylamino	ethyl	H	4-bromo	H
propylamino	ethyl	H	2-nitro	H
propylamino	ethyl	H	3-nitro	H
propylamino	ethyl	H	4-nitro	H
propylamino	ethyl	H	2-methoxy	H
propylamino	ethyl	H	3-methoxy	H
propylamino	ethyl	H	4-methoxy	H
propylamino	ethyl	H	2-chloro	4-chloro
propylamino	ethyl	H	3-chloro	4-chloro
propylamino	ethyl	H	2-fluoro	4-fluoro
propylamino	ethyl	H	3-fluoro	4-fluoro
propylamino	ethyl	H	2-bromo	4-bromo
propylamino	ethyl	H	3-bromo	4-bromo
propylamino	ethyl	H	2-methoxy	4-methoxy
propylamino	ethyl	H	3-methoxy	4-methoxy
propylamino	ethyl	H	2-acetyl	H
propylamino	ethyl	H	3-acetyl	H
propylamino	ethyl	H	4-acetyl	H
propylamino	ethyl	H	2-benzoyl	H
propylamino	ethyl	H	3-benzoyl	H
propylamino	ethyl	H	4-benzoyl	H
propylamino	ethyl	H	2-cyano	H
propylamino	ethyl	H	3-cyano	H
propylamino	ethyl	H	4-cyano	H
propylamino	ethyl	H	2-carbamoyl	H
propylamino	ethyl	H	3-carbamoyl	H
propylamino	ethyl	H	4-carbamoyl	H
propylamino	ethyl	H	2-dimethylcarbamoyl	H
propylamino	ethyl	H	3-dimethylcarbamoyl	H
propylamino	ethyl	H	4-dimethylcarbamoyl	H
propylamino	ethyl	H	2-methylcarbamoyl	H
propylamino	ethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	ethyl	H	4-methylcarbamoyl	H
propylamino	ethyl	H	2-methoxycarbonyl	H
propylamino	ethyl	H	3-methoxycarbonyl	H
propylamino	ethyl	H	4-methoxycarbonyl	H
propylamino	ethyl	H	2-amino	H
propylamino	ethyl	H	3-amino	H
propylamino	ethyl	H	4-amino	H
propylamino	ethyl	H	2-methylamino	H
propylamino	ethyl	H	3-methylamino	H
propylamino	ethyl	H	4-methylamino	H
propylamino	ethyl	H	2-dimethylamino	H
propylamino	ethyl	H	3-dimethylamino	H
propylamino	ethyl	H	4-dimethylamino	H
propylamino	ethyl	H	2-trifluoromethyl	H
propylamino	ethyl	H	3-trifluoromethyl	H
propylamino	ethyl	H	4-trifluoromethyl	H
propylamino	ethyl	H	2-fluoromethyl	H
propylamino	ethyl	H	3-fluoromethyl	H
propylamino	ethyl	H	4-fluoromethyl	H
propylamino	ethyl	H	2-hydroxy	H
propylamino	ethyl	H	3-hydroxy	H
propylamino	ethyl	H	4-hydroxy	H
propylamino	ethyl	H	4-(4-fluorobenzoyl)	H
propylamino	ethyl	H	3-(4-fluorobenzoyl)	H
propylamino	ethyl	H	2-(4-fluorobenzoyl)	H
propylamino	ethyl	H	4-(4-methylbenzoyl)	H
propylamino	ethyl	H	3-(4-methylbenzoyl)	H
propylamino	ethyl	H	2-(4-methylbenzoyl)	H
propylamino	ethyl	H	4-chloroacetyl	H
propylamino	ethyl	H	3-chloroacetyl	H
propylamino	ethyl	H	2-chloroacetyl	H
propylamino	ethyl	H	4-ethoxycarbonyl	H
propylamino	ethyl	H	3-ethoxycarbonyl	H
propylamino	ethyl	H	2-ethoxycarbonyl	H
propylamino	ethyl	H	4-carboxy	H
propylamino	ethyl	H	3-carboxy	H
propylamino	ethyl	H	2-carboxy	H
propylamino	ethyl	H	4-chloromethoxy	H
propylamino	ethyl	H	3-chloromethoxy	H
propylamino	ethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	propyl	H	2-chloro	H
propylamino	propyl	H	3-chloro	H
propylamino	propyl	H	4-chloro	H
propylamino	propyl	H	2-fluoro	H
propylamino	propyl	H	3-fluoro	H
propylamino	propyl	H	4-fluoro	H
propylamino	propyl	H	2-bromo	H
propylamino	propyl	H	3-bromo	H
propylamino	propyl	H	4-bromo	H
propylamino	propyl	H	2-nitro	H
propylamino	propyl	H	3-nitro	H
propylamino	propyl	H	4-nitro	H
propylamino	propyl	H	2-methoxy	H
propylamino	propyl	H	3-methoxy	H
propylamino	propyl	H	4-methoxy	H
propylamino	propyl	H	2-chloro	4-chloro
propylamino	propyl	H	3-chloro	4-chloro
propylamino	propyl	H	2-fluoro	4-fluoro
propylamino	propyl	H	3-fluoro	4-fluoro
propylamino	propyl	H	2-bromo	4-bromo
propylamino	propyl	H	3-bromo	4-bromo
propylamino	propyl	H	2-methoxy	4-methoxy
propylamino	propyl	H	3-methoxy	4-methoxy
propylamino	propyl	H	2-acetyl	H
propylamino	propyl	H	3-acetyl	H
propylamino	propyl	H	4-acetyl	H
propylamino	propyl	H	2-benzoyl	H
propylamino	propyl	H	3-benzoyl	H
propylamino	propyl	H	4-benzoyl	H
propylamino	propyl	H	2-cyano	H
propylamino	propyl	H	3-cyano	H
propylamino	propyl	H	4-cyano	H
propylamino	propyl	H	2-carbamoyl	H
propylamino	propyl	H	3-carbamoyl	H
propylamino	propyl	H	4-carbamoyl	H
propylamino	propyl	H	2-dimethylcarbamoyl	H
propylamino	propyl	H	3-dimethylcarbamoyl	H
propylamino	propyl	H	4-dimethylcarbamoyl	H
propylamino	propyl	H	2-methylcarbamoyl	H
propylamino	propyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	propyl	H	4-methylcarbamoyl	H
propylamino	propyl	H	2-methoxycarbonyl	H
propylamino	propyl	H	3-methoxycarbonyl	H
propylamino	propyl	H	4-methoxycarbonyl	H
propylamino	propyl	H	2-amino	H
propylamino	propyl	H	3-amino	H
propylamino	propyl	H	4-amino	H
propylamino	propyl	H	2-methylamino	H
propylamino	propyl	H	3-methylamino	H
propylamino	propyl	H	4-methylamino	H
propylamino	propyl	H	2-dimethylamino	H
propylamino	propyl	H	3-dimethylamino	H
propylamino	propyl	H	4-dimethylamino	H
propylamino	propyl	H	2-trifluoromethyl	H
propylamino	propyl	H	3-trifluoromethyl	H
propylamino	propyl	H	4-trifluoromethyl	H
propylamino	propyl	H	2-fluoromethyl	H
propylamino	propyl	H	3-fluoromethyl	H
propylamino	propyl	H	4-fluoromethyl	H
propylamino	propyl	H	2-hydroxy	H
propylamino	propyl	H	3-hydroxy	H
propylamino	propyl	H	4-hydroxy	H
propylamino	propyl	H	4-(4-fluorobenzoyl)	H
propylamino	propyl	H	3-(4-fluorobenzoyl)	H
propylamino	propyl	H	2-(4-fluorobenzoyl)	H
propylamino	propyl	H	4-(4-methylbenzoyl)	H
propylamino	propyl	H	3-(4-methylbenzoyl)	H
propylamino	propyl	H	2-(4-methylbenzoyl)	H
propylamino	propyl	H	4-chloroacetyl	H
propylamino	propyl	H	3-chloroacetyl	H
propylamino	propyl	H	2-chloroacetyl	H
propylamino	propyl	H	4-ethoxycarbonyl	H
propylamino	propyl	H	3-ethoxycarbonyl	H
propylamino	propyl	H	2-ethoxycarbonyl	H
propylamino	propyl	H	4-carboxy	H
propylamino	propyl	H	3-carboxy	H
propylamino	propyl	H	2-carboxy	H
propylamino	propyl	H	4-chloromethoxy	H
propylamino	propyl	H	3-chloromethoxy	H
propylamino	propyl	H	2-chloromethoxy	H

Continued

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R ¹	R ²	R ³	Y ¹	Y ²
propylamino	butyl	H	2-chloro	H
propylamino	butyl	H	3-chloro	H
propylamino	butyl	H	4-chloro	H
propylamino	butyl	H	2-fluoro	H
propylamino	butyl	H	3-fluoro	H
propylamino	butyl	H	4-fluoro	H
propylamino	butyl	H	2-bromo	H
propylamino	butyl	H	3-bromo	H
propylamino	butyl	H	4-bromo	H
propylamino	butyl	H	2-nitro	H
propylamino	butyl	H	3-nitro	H
propylamino	butyl	H	4-nitro	H
propylamino	butyl	H	2-methoxy	H
propylamino	butyl	H	3-methoxy	H
propylamino	butyl	H	4-methoxy	H
propylamino	butyl	H	2-chloro	4-chloro
propylamino	butyl	H	3-chloro	4-chloro
propylamino	butyl	H	2-fluoro	4-fluoro
propylamino	butyl	H	3-fluoro	4-fluoro
propylamino	butyl	H	2-bromo	4-bromo
propylamino	butyl	H	3-bromo	4-bromo
propylamino	butyl	H	2-methoxy	4-methoxy
propylamino	butyl	H	3-methoxy	4-methoxy
propylamino	butyl	H	2-acetyl	H
propylamino	butyl	H	3-acetyl	H
propylamino	butyl	H	4-acetyl	H
propylamino	butyl	H	2-benzoyl	H
propylamino	butyl	H	3-benzoyl	H
propylamino	butyl	H	4-benzoyl	H
propylamino	butyl	H	2-cyano	H
propylamino	butyl	H	3-cyano	H
propylamino	butyl	H	4-cyano	H
propylamino	butyl	H	2-carbamoyl	H
propylamino	butyl	H	3-carbamoyl	H
propylamino	butyl	H	4-carbamoyl	H
propylamino	butyl	H	2-dimethylcarbamoyl	H
propylamino	butyl	H	3-dimethylcarbamoyl	H
propylamino	butyl	H	4-dimethylcarbamoyl	H
propylamino	butyl	H	2-methylcarbamoyl	H
propylamino	butyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	butyl	H	4-methylcarbamoyl	H
propylamino	butyl	H	2-methoxycarbonyl	H
propylamino	butyl	H	3-methoxycarbonyl	H
propylamino	butyl	H	4-methoxycarbonyl	H
propylamino	butyl	H	2-amino	H
propylamino	butyl	H	3-amino	H
propylamino	butyl	H	4-amino	H
propylamino	butyl	H	2-methylamino	H
propylamino	butyl	H	3-methylamino	H
propylamino	butyl	H	4-methylamino	H
propylamino	butyl	H	2-dimethylamino	H
propylamino	butyl	H	3-dimethylamino	H
propylamino	butyl	H	4-dimethylamino	H
propylamino	butyl	H	2-trifluoromethyl	H
propylamino	butyl	H	3-trifluoromethyl	H
propylamino	butyl	H	4-trifluoromethyl	H
propylamino	butyl	H	2-fluoromethyl	H
propylamino	butyl	H	3-fluoromethyl	H
propylamino	butyl	H	4-fluoromethyl	H
propylamino	butyl	H	2-hydroxy	H
propylamino	butyl	H	3-hydroxy	H
propylamino	butyl	H	4-hydroxy	H
propylamino	butyl	H	4-(4-fluorobenzoyl)	H
propylamino	butyl	H	3-(4-fluorobenzoyl)	H
propylamino	butyl	H	2-(4-fluorobenzoyl)	H
propylamino	butyl	H	4-(4-methylbenzoyl)	H
propylamino	butyl	H	3-(4-methylbenzoyl)	H
propylamino	butyl	H	2-(4-methylbenzoyl)	H
propylamino	butyl	H	4-chloroacetyl	H
propylamino	butyl	H	3-chloroacetyl	H
propylamino	butyl	H	2-chloroacetyl	H
propylamino	butyl	H	4-ethoxycarbonyl	H
propylamino	butyl	H	3-ethoxycarbonyl	H
propylamino	butyl	H	2-ethoxycarbonyl	H
propylamino	butyl	H	4-carboxy	H
propylamino	butyl	H	3-carboxy	H
propylamino	butyl	H	2-carboxy	H
propylamino	butyl	H	4-chloromethoxy	H
propylamino	butyl	H	3-chloromethoxy	H
propylamino	butyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	benzyl	H	2-chloro	H
propylamino	benzyl	H	3-chloro	H
propylamino	benzyl	H	4-chloro	H
propylamino	benzyl	H	2-fluoro	H
propylamino	benzyl	H	3-fluoro	H
propylamino	benzyl	H	4-fluoro	H
propylamino	benzyl	H	2-bromo	H
propylamino	benzyl	H	3-bromo	H
propylamino	benzyl	H	4-bromo	H
propylamino	benzyl	H	2-nitro	H
propylamino	benzyl	H	3-nitro	H
propylamino	benzyl	H	4-nitro	H
propylamino	benzyl	H	2-methoxy	H
propylamino	benzyl	H	3-methoxy	H
propylamino	benzyl	H	4-methoxy	H
propylamino	benzyl	H	2-chloro	4-chloro
propylamino	benzyl	H	3-chloro	4-chloro
propylamino	benzyl	H	2-fluoro	4-fluoro
propylamino	benzyl	H	3-fluoro	4-fluoro
propylamino	benzyl	H	2-bromo	4-bromo
propylamino	benzyl	H	3-bromo	4-bromo
propylamino	benzyl	H	2-methoxy	4-methoxy
propylamino	benzyl	H	3-methoxy	4-methoxy
propylamino	benzyl	H	2-acetyl	H
propylamino	benzyl	H	3-acetyl	H
propylamino	benzyl	H	4-acetyl	H
propylamino	benzyl	H	2-benzoyl	H
propylamino	benzyl	H	3-benzoyl	H
propylamino	benzyl	H	4-benzoyl	H
propylamino	benzyl	H	2-cyano	H
propylamino	benzyl	H	3-cyano	H
propylamino	benzyl	H	4-cyano	H
propylamino	benzyl	H	2-carbamoyl	H
propylamino	benzyl	H	3-carbamoyl	H
propylamino	benzyl	H	4-carbamoyl	H
propylamino	benzyl	H	2-dimethylcarbamoyl	H
propylamino	benzyl	H	3-dimethylcarbamoyl	H
propylamino	benzyl	H	4-dimethylcarbamoyl	H
propylamino	benzyl	H	2-methylcarbamoyl	H
propylamino	benzyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	benzyl	H	4-methylcarbamoyl	H
propylamino	benzyl	H	2-methoxycarbonyl	H
propylamino	benzyl	H	3-methoxycarbonyl	H
propylamino	benzyl	H	4-methoxycarbonyl	H
propylamino	benzyl	H	2-amino	H
propylamino	benzyl	H	3-amino	H
propylamino	benzyl	H	4-amino	H
propylamino	benzyl	H	2-methylamino	H
propylamino	benzyl	H	3-methylamino	H
propylamino	benzyl	H	4-methylamino	H
propylamino	benzyl	H	2-dimethylamino	H
propylamino	benzyl	H	3-dimethylamino	H
propylamino	benzyl	H	4-dimethylamino	H
propylamino	benzyl	H	2-trifluoromethyl	H
propylamino	benzyl	H	3-trifluoromethyl	H
propylamino	benzyl	H	4-trifluoromethyl	H
propylamino	benzyl	H	2-fluoromethyl	H
propylamino	benzyl	H	3-fluoromethyl	H
propylamino	benzyl	H	4-fluoromethyl	H
propylamino	benzyl	H	2-hydroxy	H
propylamino	benzyl	H	3-hydroxy	H
propylamino	benzyl	H	4-hydroxy	H
propylamino	benzyl	H	4-(4-fluorobenzoyl)	H
propylamino	benzyl	H	3-(4-fluorobenzoyl)	H
propylamino	benzyl	H	2-(4-fluorobenzoyl)	H
propylamino	benzyl	H	4-(4-methylbenzoyl)	H
propylamino	benzyl	H	3-(4-methylbenzoyl)	H
propylamino	benzyl	H	2-(4-methylbenzoyl)	H
propylamino	benzyl	H	4-chloroacetyl	H
propylamino	benzyl	H	3-chloroacetyl	H
propylamino	benzyl	H	2-chloroacetyl	H
propylamino	benzyl	H	4-ethoxycarbonyl	H
propylamino	benzyl	H	3-ethoxycarbonyl	H
propylamino	benzyl	H	2-ethoxycarbonyl	H
propylamino	benzyl	H	4-carboxy	H
propylamino	benzyl	H	3-carboxy	H
propylamino	benzyl	H	2-carboxy	H
propylamino	benzyl	H	4-chloromethoxy	H
propylamino	benzyl	H	3-chloromethoxy	H
propylamino	benzyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	cyclohexylmethyl	H	3-chloro	H
propylamino	cyclohexylmethyl	H	3-chloro	H
propylamino	cyclohexylmethyl	H	4-chloro	H
propylamino	cyclohexylmethyl	H	2-fluoro	H
propylamino	cyclohexylmethyl	H	3-fluoro	H
propylamino	cyclohexylmethyl	H	4-fluoro	H
propylamino	cyclohexylmethyl	H	2-bromo	H
propylamino	cyclohexylmethyl	H	3-bromo	H
propylamino	cyclohexylmethyl	H	4-bromo	H
propylamino	cyclohexylmethyl	H	2-nitro	H
propylamino	cyclohexylmethyl	H	3-nitro	H
propylamino	cyclohexylmethyl	H	4-nitro	H
propylamino	cyclohexylmethyl	H	2-methoxy	H
propylamino	cyclohexylmethyl	H	3-methoxy	H
propylamino	cyclohexylmethyl	H	4-methoxy	H
propylamino	cyclohexylmethyl	H	2-chloro	4-chloro
propylamino	cyclohexylmethyl	H	3-chloro	4-chloro
propylamino	cyclohexylmethyl	H	2-fluoro	4-fluoro
propylamino	cyclohexylmethyl	H	3-fluoro	4-fluoro
propylamino	cyclohexylmethyl	H	2-bromo	4-bromo
propylamino	cyclohexylmethyl	H	3-bromo	4-bromo
propylamino	cyclohexylmethyl	H	2-methoxy	4-methoxy
propylamino	cyclohexylmethyl	H	3-methoxy	4-methoxy
propylamino	cyclohexylmethyl	H	2-acetyl	H
propylamino	cyclohexylmethyl	H	3-acetyl	H
propylamino	cyclohexylmethyl	H	4-acetyl	H
propylamino	cyclohexylmethyl	H	2-benzoyl	H
propylamino	cyclohexylmethyl	H	3-benzoyl	H
propylamino	cyclohexylmethyl	H	4-benzoyl	H
propylamino	cyclohexylmethyl	H	2-cyano	H
propylamino	cyclohexylmethyl	H	3-cyano	H
propylamino	cyclohexylmethyl	H	4-cyano	H
propylamino	cyclohexylmethyl	H	2-carbamoyl	H
propylamino	cyclohexylmethyl	H	3-carbamoyl	H
propylamino	cyclohexylmethyl	H	4-carbamoyl	H
propylamino	cyclohexylmethyl	H	2-dimethylcarbamoyl	H
propylamino	cyclohexylmethyl	H	3-dimethylcarbamoyl	H
propylamino	cyclohexylmethyl	H	4-dimethylcarbamoyl	H
propylamino	cyclohexylmethyl	H	2-methylcarbamoyl	H
propylamino	cyclohexylmethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	cyclohexylmethyl	H	4-methylcarbamoyl	H
propylamino	cyclohexylmethyl	H	2-methoxycarbonyl	H
propylamino	cyclohexylmethyl	H	3-methoxycarbonyl	H
propylamino	cyclohexylmethyl	H	4-methoxycarbonyl	H
propylamino	cyclohexylmethyl	H	2-amino	H
propylamino	cyclohexylmethyl	H	3-amino	H
propylamino	cyclohexylmethyl	H	4-amino	H
propylamino	cyclohexylmethyl	H	2-methylamino	H
propylamino	cyclohexylmethyl	H	3-methylamino	H
propylamino	cyclohexylmethyl	H	4-methylamino	H
propylamino	cyclohexylmethyl	H	2-dimethylamino	H
propylamino	cyclohexylmethyl	H	3-dimethylamino	H
propylamino	cyclohexylmethyl	H	4-dimethylamino	H
propylamino	cyclohexylmethyl	H	2-trifluoromethyl	H
propylamino	cyclohexylmethyl	H	3-trifluoromethyl	H
propylamino	cyclohexylmethyl	H	4-trifluoromethyl	H
propylamino	cyclohexylmethyl	H	2-fluoromethyl	H
propylamino	cyclohexylmethyl	H	3-fluoromethyl	H
propylamino	cyclohexylmethyl	H	4-fluoromethyl	H
propylamino	cyclohexylmethyl	H	2-hydroxy	H
propylamino	cyclohexylmethyl	H	3-hydroxy	H
propylamino	cyclohexylmethyl	H	4-hydroxy	H
propylamino	cyclohexylmethyl	H	4-(4-fluorobenzoyl)	H
propylamino	cyclohexylmethyl	H	3-(4-fluorobenzoyl)	H
propylamino	cyclohexylmethyl	H	2-(4-fluorobenzoyl)	H
propylamino	cyclohexylmethyl	H	4-(4-methylbenzoyl)	H
propylamino	cyclohexylmethyl	H	3-(4-methylbenzoyl)	H
propylamino	cyclohexylmethyl	H	2-(4-methylbenzoyl)	H
propylamino	cyclohexylmethyl	H	4-chloroacetyl	H
propylamino	cyclohexylmethyl	H	3-chloroacetyl	H
propylamino	cyclohexylmethyl	H	2-chloroacetyl	H
propylamino	cyclohexylmethyl	H	4-ethoxycarbonyl	H
propylamino	cyclohexylmethyl	H	3-ethoxycarbonyl	H
propylamino	cyclohexylmethyl	H	2-ethoxycarbonyl	H
propylamino	cyclohexylmethyl	H	4-carboxy	H
propylamino	cyclohexylmethyl	H	3-carboxy	H
propylamino	cyclohexylmethyl	H	2-carboxy	H
propylamino	cyclohexylmethyl	H	4-chloromethoxy	H
propylamino	cyclohexylmethyl	H	3-chloromethoxy	H
propylamino	cyclohexylmethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	2-methoxyethyl	H	3-chloro	H
propylamino	2-methoxyethyl	H	3-chloro	H
propylamino	2-methoxyethyl	H	4-chloro	H
propylamino	2-methoxyethyl	H	2-fluoro	H
propylamino	2-methoxyethyl	H	3-fluoro	H
propylamino	2-methoxyethyl	H	4-fluoro	H
propylamino	2-methoxyethyl	H	2-bromo	H
propylamino	2-methoxyethyl	H	3-bromo	H
propylamino	2-methoxyethyl	H	4-bromo	H
propylamino	2-methoxyethyl	H	2-nitro	H
propylamino	2-methoxyethyl	H	3-nitro	H
propylamino	2-methoxyethyl	H	4-nitro	H
propylamino	2-methoxyethyl	H	2-methoxy	H
propylamino	2-methoxyethyl	H	3-methoxy	H
propylamino	2-methoxyethyl	H	4-methoxy	H
propylamino	2-methoxyethyl	H	2-chloro	4-chloro
propylamino	2-methoxyethyl	H	3-chloro	4-chloro
propylamino	2-methoxyethyl	H	2-fluoro	4-fluoro
propylamino	2-methoxyethyl	H	3-fluoro	4-fluoro
propylamino	2-methoxyethyl	H	2-bromo	4-bromo
propylamino	2-methoxyethyl	H	3-bromo	4-bromo
propylamino	2-methoxyethyl	H	2-methoxy	4-methoxy
propylamino	2-methoxyethyl	H	3-methoxy	4-methoxy
propylamino	2-methoxyethyl	H	2-acetyl	H
propylamino	2-methoxyethyl	H	3-acetyl	H
propylamino	2-methoxyethyl	H	4-acetyl	H
propylamino	2-methoxyethyl	H	2-benzoyl	H
propylamino	2-methoxyethyl	H	3-benzoyl	H
propylamino	2-methoxyethyl	H	4-benzoyl	H
propylamino	2-methoxyethyl	H	2-cyano	H
propylamino	2-methoxyethyl	H	3-cyano	H
propylamino	2-methoxyethyl	H	4-cyano	H
propylamino	2-methoxyethyl	H	2-carbamoyl	H
propylamino	2-methoxyethyl	H	3-carbamoyl	H
propylamino	2-methoxyethyl	H	4-carbamoyl	H
propylamino	2-methoxyethyl	H	2-dimethylcarbamoyl	H
propylamino	2-methoxyethyl	H	3-dimethylcarbamoyl	H
propylamino	2-methoxyethyl	H	4-dimethylcarbamoyl	H
propylamino	2-methoxyethyl	H	2-methylcarbamoyl	H
propylamino	2-methoxyethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
propylamino	2-methoxyethyl	H	4-methylcarbamoyl	H
propylamino	2-methoxyethyl	H	2-methoxycarbonyl	H
propylamino	2-methoxyethyl	H	3-methoxycarbonyl	H
propylamino	2-methoxyethyl	H	4-methoxycarbonyl	H
propylamino	2-methoxyethyl	H	2-amino	H
propylamino	2-methoxyethyl	H	3-amino	H
propylamino	2-methoxyethyl	H	4-amino	H
propylamino	2-methoxyethyl	H	2-methylamino	H
propylamino	2-methoxyethyl	H	3-methylamino	H
propylamino	2-methoxyethyl	H	4-methylamino	H
propylamino	2-methoxyethyl	H	2-dimethylamino	H
propylamino	2-methoxyethyl	H	3-dimethylamino	H
propylamino	2-methoxyethyl	H	4-dimethylamino	H
propylamino	2-methoxyethyl	H	2-trifluoromethyl	H
propylamino	2-methoxyethyl	H	3-trifluoromethyl	H
propylamino	2-methoxyethyl	H	4-trifluoromethyl	H
propylamino	2-methoxyethyl	H	2-fluoromethyl	H
propylamino	2-methoxyethyl	H	3-fluoromethyl	H
propylamino	2-methoxyethyl	H	4-fluoromethyl	H
propylamino	2-methoxyethyl	H	2-hydroxy	H
propylamino	2-methoxyethyl	H	3-hydroxy	H
propylamino	2-methoxyethyl	H	4-hydroxy	H
propylamino	2-methoxyethyl	H	4-(4-fluorobenzoyl)	H
propylamino	2-methoxyethyl	H	3-(4-fluorobenzoyl)	H
propylamino	2-methoxyethyl	H	2-(4-fluorobenzoyl)	H
propylamino	2-methoxyethyl	H	4-(4-methylbenzoyl)	H
propylamino	2-methoxyethyl	H	3-(4-methylbenzoyl)	H
propylamino	2-methoxyethyl	H	2-(4-methylbenzoyl)	H
propylamino	2-methoxyethyl	H	4-chloroacetyl	H
propylamino	2-methoxyethyl	H	3-chloroacetyl	H
propylamino	2-methoxyethyl	H	2-chloroacetyl	H
propylamino	2-methoxyethyl	H	4-ethoxycarbonyl	H
propylamino	2-methoxyethyl	H	3-ethoxycarbonyl	H
propylamino	2-methoxyethyl	H	2-ethoxycarbonyl	H
propylamino	2-methoxyethyl	H	4-carboxy	H
propylamino	2-methoxyethyl	H	3-carboxy	H
propylamino	2-methoxyethyl	H	2-carboxy	H
propylamino	2-methoxyethyl	H	4-chloromethoxy	H
propylamino	2-methoxyethyl	H	3-chloromethoxy	H
propylamino	2-methoxyethyl	H	2-chloromethoxy	H

Continued

R^1	R^2	R^3	Y^1	Y^2
1-piperazinyl	H	H	H	H
1-pyrrolidinyl	methyl	H	H	H
1-pyrrolidinylmethylamino	ethyl	H	H	H
1-piperazinyl	propyl	H	H	H
1-pyrrolidinyl	methylpropyl	H	H	H
1-pyrrolidinylmethylamino	butyl	H	H	H
1-piperazinyl	1-methylpropyl	H	H	H
1-pyrrolidinyl	2-methylpropyl	H	H	H
1-pyrrolidinylmethylamino	dimethylpropyl	H	H	H
1-piperazinyl	pentyl	H	H	H
1-pyrrolidinyl	1-methylbutyl	H	H	H
1-pyrrolidinylmethylamino	2-methylbutyl	H	H	H
1-piperazinyl	1,1-dimethylpropyl	H	H	H
1-pyrrolidinyl	1,2-dimethylpropyl	H	H	H
1-pyrrolidinylmethylamino	2,2-dimethylpropyl	H	H	H
1-piperazinyl	hexyl	H	H	H
1-pyrrolidinyl	1-methylpentyl	H	H	H
1-pyrrolidinylmethylamino	2-methylpentyl	H	H	H
1-piperazinyl	3-methylpentyl	H	H	H
1-pyrrolidinyl	4-methylpentyl	H	H	H
1-pyrrolidinylmethylamino	1,1-dimethylbutyl	H	H	H
1-piperazinyl	1,2-dimethylbutyl	H	H	H
1-pyrrolidinyl	1,3-dimethylbutyl	H	H	H
1-pyrrolidinylmethylamino	2,2-dimethylbutyl	H	H	H
1-piperazinyl	2,3-dimethylbutyl	H	H	H
1-pyrrolidinyl	3,3-dimethylbutyl	H	H	H
1-pyrrolidinylmethylamino	1-ethylbutyl	H	H	H
1-piperazinyl	2-ethylbutyl	H	H	H
1-pyrrolidinyl	1,1,2-trimethylpropyl	H	H	H
1-pyrrolidinylmethylamino	heptyl	H	H	H
1-piperazinyl	cyclopropyl	H	H	H
1-pyrrolidinyl	cyclopentyl	H	H	H
1-pyrrolidinylmethylamino	cyclohexyl	H	H	H
1-piperazinyl	cycloheptyl	H	H	H
1-pyrrolidinyl	cyclopropylmethyl	H	H	H
1-pyrrolidinylmethylamino	cyclopentylmethyl	H	H	H
1-piperazinyl	cyclohexylmethyl	H	H	H
1-pyrrolidinyl	cycloheptylmethyl	H	H	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	H	H
1-piperazinyl	3-methoxypropyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-pyrrolidinyl	4-methoxybutyl	H	H	H
1-pyrrolidinyl	5-methoxypentyl	H	H	H
1-pyrrolidinylmethylamino	5-ethoxypentyl	H	H	H
1-piperazinyl	2-ethoxyethyl	H	H	H
1-pyrrolidinyl	3-ethoxypropyl	H	H	H
1-pyrrolidinylmethylamino	4-ethoxybutyl	H	H	H
1-piperazinyl	2-carboxyethyl	H	H	H
1-pyrrolidinyl	3-carboxypropyl	H	H	H
1-pyrrolidinylmethylamino	4-carboxybutyl	H	H	H
1-piperazinyl	5-carboxypentyl	H	H	H
1-pyrrolidinyl	2-hydroxyethyl	H	H	H
1-pyrrolidinylmethylamino	3-hydroxypropyl	H	H	H
1-piperazinyl	4-hydroxybutyl	H	H	H
1-pyrrolidinyl	5-hydroxypentyl	H	H	H
1-pyrrolidinylmethylamino	2-methoxycarbonylethyl	H	H	H
1-piperazinyl	3-methoxycarbonylpropyl	H	H	H
1-pyrrolidinyl	4-methoxycarbonylbutyl	H	H	H
1-pyrrolidinylmethylamino	5-methoxycarbonylpentyl	H	H	H
1-piperazinyl	2-aminoethyl	H	H	H
1-pyrrolidinyl	3-aminopropyl	H	H	H
1-pyrrolidinylmethylamino	4-aminobutyl	H	H	H
1-piperazinyl	5-aminopentyl	H	H	H
1-pyrrolidinyl	6-aminoethyl	H	H	H
1-pyrrolidinylmethylamino	2-chloroethyl	H	H	H
1-piperazinyl	3-chloropropyl	H	H	H
1-pyrrolidinyl	4-chlorobutyl	H	H	H
1-pyrrolidinylmethylamino	5-chloropentyl	H	H	H
1-piperazinyl	6-chlorohexyl	H	H	H
1-pyrrolidinyl	2-fluoroethyl	H	H	H
1-pyrrolidinylmethylamino	3-fluoropropyl	H	H	H
1-piperazinyl	4-fluorobutyl	H	H	H
1-pyrrolidinyl	5-fluoropentyl	H	H	H
1-pyrrolidinylmethylamino	6-fluorohexyl	H	H	H
1-piperazinyl	2-carbamoylethyl	H	H	H
1-pyrrolidinyl	3-carbamoylpropyl	H	H	H
1-pyrrolidinylmethylamino	4-carbamoylbutyl	H	H	H
1-piperazinyl	5-carbamoylpentyl	H	H	H
1-pyrrolidinyl	6-carbamoylhexyl	H	H	H
1-pyrrolidinylmethylamino	3-methylcarbamoylpropyl	H	H	H
1-piperazinyl	4-methylcarbamoylbutyl	H	H	H
1-pyrrolidinyl	5-methylcarbamoylpentyl	H	H	H

Continued

R^1	R^2	R^3	Y^1	Y^2
1-pyrrolidinylmethylamino	benzyl	H	H	H
1-piperazinyl	2-phenylethyl	H	H	H
1-pyrrolidinyl	4-fluorobenzyl	H	H	H
1-pyrrolidinylmethylamino	3-fluorobenzyl	H	H	H
1-piperazinyl	4-chlorobenzyl	H	H	H
1-pyrrolidinyl	3-chlorobenzyl	H	H	H
1-pyrrolidinylmethylamino	4-methoxybenzyl	H	H	H
1-piperazinyl	3-methoxybenzyl	H	H	H
1-pyrrolidinyl	4-hydroxybenzyl	H	H	H
1-pyrrolidinylmethylamino	3-hydroxybenzyl	H	H	H
1-piperazinyl	4-methylbenzyl	H	H	H
1-pyrrolidinyl	3-methylbenzyl	H	H	H
1-pyrrolidinylmethylamino	4-aminobenzyl	H	H	H
1-piperazinyl	3-aminobenzyl	H	H	H
1-pyrrolidinyl	4-dimethylaminobenzyl	H	H	H
1-pyrrolidinylmethylamino	3-dimethylaminobenzyl	H	H	H
1-piperazinyl	4-carbamoylbenzyl	H	H	H
1-pyrrolidinyl	3-carbamoylbenzyl	H	H	H
1-pyrrolidinylmethylamino	4-methylcarbamoylbenzyl	H	H	H
1-piperazinyl	3-methylcarbamoylbenzyl	H	H	H
1-pyrrolidinyl	4-cyanobenzyl	H	H	H
1-pyrrolidinylmethylamino	4-phenoxybenzyl	H	H	H
1-piperazinyl	phenyl	H	H	H
1-pyrrolidinyl	4-fluorophenyl	H	H	H
1-pyrrolidinylmethylamino	3-fluorophenyl	H	H	H
1-piperazinyl	4-chlorophenyl	H	H	H
1-pyrrolidinyl	3-methoxyphenyl	H	H	H
1-pyrrolidinylmethylamino	3-hydroxyphenyl	H	H	H
1-piperazinyl	4-methylphenyl	H	H	H
1-pyrrolidinyl	3-methylphenyl	H	H	H
1-pyrrolidinylmethylamino	4-aminophenyl	H	H	H
1-piperazinyl	3-aminophenyl	H	H	H
1-pyrrolidinyl	3-dimethylaminophenyl	H	H	H
1-pyrrolidinylmethylamino	4-dimethylaminophenyl	H	H	H
1-piperazinyl	3-carbamoylphenyl	H	H	H
1-pyrrolidinyl	4-carbamoylphenyl	H	H	H
1-pyrrolidinylmethylamino	3-cyanophenyl	H	H	H
1-piperazinyl	4-cyanophenyl	H	H	H
1-pyrrolidinyl	3-phenoxyphenyl	H	H	H
1-pyrrolidinylmethylamino	4-phenoxyphenyl	H	H	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-piperazinyl	methyl	H	2-chloro	H
1-pyrrolidinyl	methyl	H	3-chloro	H
1-pyrrolidinylmethylamino	methyl	H	4-chloro	H
1-piperazinyl	methyl	H	2-fluoro	H
1-pyrrolidinyl	methyl	H	3-fluoro	H
1-pyrrolidinylmethylamino	methyl	H	4-fluoro	H
1-piperazinyl	methyl	H	2-bromo	H
1-pyrrolidinyl	methyl	H	3-bromo	H
1-pyrrolidinylmethylamino	methyl	H	4-bromo	H
1-piperazinyl	methyl	H	2-nitro	H
1-pyrrolidinyl	methyl	H	3-nitro	H
1-pyrrolidinylmethylamino	methyl	H	4-nitro	H
1-piperazinyl	methyl	H	2-methoxy	H
1-pyrrolidinyl	methyl	H	3-methoxy	H
1-pyrrolidinylmethylamino	methyl	H	4-methoxy	H
1-piperazinyl	methyl	H	2-chloro	4-chloro
1-pyrrolidinyl	methyl	H	3-chloro	4-chloro
1-pyrrolidinylmethylamino	methyl	H	2-fluoro	4-fluoro
1-piperazinyl	methyl	H	3-fluoro	4-fluoro
1-pyrrolidinyl	methyl	H	2-bromo	4-bromo
1-pyrrolidinylmethylamino	methyl	H	3-bromo	4-bromo
1-piperazinyl	methyl	H	2-methoxy	4-methoxy
1-pyrrolidinyl	methyl	H	3-methoxy	4-methoxy
1-pyrrolidinylmethylamino	methyl	H	2-acetyl	H
1-piperazinyl	methyl	H	3-acetyl	H
1-pyrrolidinyl	methyl	H	4-acetyl	H
1-pyrrolidinylmethylamino	methyl	H	2-benzoyl	H
1-piperazinyl	methyl	H	3-benzoyl	H
1-pyrrolidinyl	methyl	H	4-benzoyl	H
1-pyrrolidinylmethylamino	methyl	H	2-cyano	H
1-piperazinyl	methyl	H	3-cyano	H
1-pyrrolidinyl	methyl	H	4-cyano	H
1-pyrrolidinylmethylamino	methyl	H	2-carbamoyl	H
1-piperazinyl	methyl	H	3-carbamoyl	H
1-pyrrolidinyl	methyl	H	4-carbamoyl	H
1-pyrrolidinylmethylamino	methyl	H	2-dimethylcarbamoyl	H
1-piperazinyl	methyl	H	3-dimethylcarbamoyl	H
1-pyrrolidinyl	methyl	H	4-dimethylcarbamoyl	H
1-pyrrolidinylmethylamino	methyl	H	2-methylcarbamoyl	H
1-piperazinyl	methyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-pyrrolidinyl	methyl	H	4-methylcarbamoyl	H
1-pyrrolidinylmethylamino	methyl	H	2-methoxycarbonyl	H
1-piperazinyl	methyl	H	3-methoxycarbonyl	H
1-pyrrolidinyl	methyl	H	4-methoxycarbonyl	H
1-pyrrolidinylmethylamino	methyl	H	2-amino	H
1-piperazinyl	methyl	H	3-amino	H
1-pyrrolidinyl	methyl	H	4-amino	H
1-pyrrolidinylmethylamino	methyl	H	2-methylamino	H
1-piperazinyl	methyl	H	3-methylamino	H
1-pyrrolidinyl	methyl	H	4-methylamino	H
1-pyrrolidinylmethylamino	methyl	H	2-dimethylamino	H
1-piperazinyl	methyl	H	3-dimethylamino	H
1-pyrrolidinyl	methyl	H	4-dimethylamino	H
1-pyrrolidinylmethylamino	methyl	H	2-trifluoromethyl	H
1-piperazinyl	methyl	H	3-trifluoromethyl	H
1-pyrrolidinyl	methyl	H	4-trifluoromethyl	H
1-pyrrolidinylmethylamino	methyl	H	2-fluoromethyl	H
1-piperazinyl	methyl	H	3-fluoromethyl	H
1-pyrrolidinyl	methyl	H	4-fluoromethyl	H
1-pyrrolidinylmethylamino	methyl	H	2-hydroxy	H
1-piperazinyl	methyl	H	3-hydroxy	H
1-pyrrolidinyl	methyl	H	4-hydroxy	H
1-pyrrolidinylmethylamino	methyl	H	4-(4-fluorobenzoyl)	H
1-piperazinyl	methyl	H	3-(4-fluorobenzoyl)	H
1-pyrrolidinyl	methyl	H	2-(4-fluorobenzoyl)	H
1-pyrrolidinylmethylamino	methyl	H	4-(4-methylbenzoyl)	H
1-piperazinyl	methyl	H	3-(4-methylbenzoyl)	H
1-pyrrolidinyl	methyl	H	2-(4-methylbenzoyl)	H
1-pyrrolidinylmethylamino	methyl	H	4-chloroacetyl	H
1-piperazinyl	methyl	H	3-chloroacetyl	H
1-pyrrolidinyl	methyl	H	2-chloroacetyl	H
1-pyrrolidinylmethylamino	methyl	H	4-ethoxycarbonyl	H
1-piperazinyl	methyl	H	3-ethoxycarbonyl	H
1-pyrrolidinyl	methyl	H	2-ethoxycarbonyl	H
1-pyrrolidinylmethylamino	methyl	H	4-carboxy	H
1-piperazinyl	methyl	H	3-carboxy	H
1-pyrrolidinyl	methyl	H	2-carboxy	H
1-pyrrolidinylmethylamino	methyl	H	4-chloromethoxy	H
1-piperazinyl	methyl	H	3-chloromethoxy	H
1-pyrrolidinyl	methyl	H	2-chloromethoxy	H

Continued

R^1	R^2	R^3	Y^1	Y^2
1-pyrrolidinylmethylamino	ethyl	H	2-chloro	H
1-piperazinyl	ethyl	H	3-chloro	H
1-pyrrolidinyl	ethyl	H	4-chloro	H
1-pyrrolidinylmethylamino	ethyl	H	2-fluoro	H
1-piperazinyl	ethyl	H	3-fluoro	H
1-pyrrolidinyl	ethyl	H	4-fluoro	H
1-pyrrolidinylmethylamino	ethyl	H	2-bromo	H
1-piperazinyl	ethyl	H	3-bromo	H
1-pyrrolidinyl	ethyl	H	4-bromo	H
1-pyrrolidinylmethylamino	ethyl	H	2-nitro	H
1-piperazinyl	ethyl	H	3-nitro	H
1-pyrrolidinyl	ethyl	H	4-nitro	H
1-pyrrolidinylmethylamino	ethyl	H	2-methoxy	H
1-piperazinyl	ethyl	H	3-methoxy	H
1-pyrrolidinyl	ethyl	H	4-methoxy	H
1-pyrrolidinylmethylamino	ethyl	H	2-chloro	4-chloro
1-piperazinyl	ethyl	H	3-chloro	4-chloro
1-pyrrolidinyl	ethyl	H	2-fluoro	4-fluoro
1-pyrrolidinylmethylamino	ethyl	H	3-fluoro	4-fluoro
1-piperazinyl	ethyl	H	2-bromo	4-bromo
1-pyrrolidinyl	ethyl	H	3-bromo	4-bromo
1-pyrrolidinylmethylamino	ethyl	H	2-methoxy	4-methoxy
1-piperazinyl	ethyl	H	3-methoxy	4-methoxy
1-pyrrolidinyl	ethyl	H	2-acetyl	H
1-pyrrolidinylmethylamino	ethyl	H	3-acetyl	H
1-piperazinyl	ethyl	H	4-acetyl	H
1-pyrrolidinyl	ethyl	H	2-benzoyl	H
1-pyrrolidinylmethylamino	ethyl	H	3-benzoyl	H
1-piperazinyl	ethyl	H	4-benzoyl	H
1-pyrrolidinyl	ethyl	H	2-cyano	H
1-pyrrolidinylmethylamino	ethyl	H	3-cyano	H
1-piperazinyl	ethyl	H	4-cyano	H
1-pyrrolidinyl	ethyl	H	2-carbamoyl	H
1-pyrrolidinylmethylamino	ethyl	H	3-carbamoyl	H
1-piperazinyl	ethyl	H	4-carbamoyl	H
1-pyrrolidinyl	ethyl	H	2-dimethylcarbamoyl	H
1-pyrrolidinylmethylamino	ethyl	H	3-dimethylcarbamoyl	H
1-piperazinyl	ethyl	H	4-dimethylcarbamoyl	H
1-pyrrolidinyl	ethyl	H	2-methylcarbamoyl	H
1-pyrrolidinylmethylamino	ethyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-piperazinyl	ethyl	H	4-methylcarbamoyl	H
1-pyrrolidinyl	ethyl	H	2-methoxycarbonyl	H
1-pyrrolidinylmethylamino	ethyl	H	3-methoxycarbonyl	H
1-piperazinyl	ethyl	H	4-methoxycarbonyl	H
1-pyrrolidinyl	ethyl	H	2-amino	H
1-pyrrolidinylmethylamino	ethyl	H	3-amino	H
1-piperazinyl	ethyl	H	4-amino	H
1-pyrrolidinyl	ethyl	H	4-methylamino	H
1-pyrrolidinylmethylamino	ethyl	H	3-methylamino	H
1-piperazinyl	ethyl	H	2-methylamino	H
1-pyrrolidinyl	ethyl	H	3-dimethylamino	H
1-pyrrolidinylmethylamino	ethyl	H	4-dimethylamino	H
1-piperazinyl	ethyl	H	2-trifluoromethyl	H
1-pyrrolidinyl	ethyl	H	3-trifluoromethyl	H
1-pyrrolidinylmethylamino	ethyl	H	4-trifluoromethyl	H
1-piperazinyl	ethyl	H	2-fluoromethyl	H
1-pyrrolidinyl	ethyl	H	3-fluoromethyl	H
1-pyrrolidinylmethylamino	ethyl	H	4-fluoromethyl	H
1-piperazinyl	ethyl	H	2-hydroxy	H
1-pyrrolidinyl	ethyl	H	3-hydroxy	H
1-pyrrolidinylmethylamino	ethyl	H	4-hydroxy	H
1-piperazinyl	ethyl	H	4-(4-fluorobenzoyl)	H
1-pyrrolidinyl	ethyl	H	3-(4-fluorobenzoyl)	H
1-pyrrolidinylmethylamino	ethyl	H	2-(4-fluorobenzoyl)	H
1-piperazinyl	ethyl	H	4-(4-methylbenzoyl)	H
1-pyrrolidinyl	ethyl	H	3-(4-methylbenzoyl)	H
1-pyrrolidinylmethylamino	ethyl	H	2-(4-methylbenzoyl)	H
1-piperazinyl	ethyl	H	4-chloroacetyl	H
1-pyrrolidinyl	ethyl	H	3-chloroacetyl	H
1-pyrrolidinylmethylamino	ethyl	H	2-chloroacetyl	H
1-piperazinyl	ethyl	H	4-ethoxycarbonyl	H
1-pyrrolidinyl	ethyl	H	3-ethoxycarbonyl	H
1-pyrrolidinylmethylamino	ethyl	H	2-ethoxycarbonyl	H
1-piperazinyl	ethyl	H	4-carboxy	H
1-pyrrolidinyl	ethyl	H	3-carboxy	H
1-pyrrolidinylmethylamino	ethyl	H	2-carboxy	H
1-piperazinyl	ethyl	H	4-chloromethoxy	H
1-pyrrolidinyl	ethyl	H	3-chloromethoxy	H
1-pyrrolidinylmethylamino	ethyl	H	2-chloromethoxy	H

Continued

R^1	R^2	R^3	Y^1	Y^2
1-piperazinyl	propyl	H	2-chloro	H
1-pyrrolidinyl	propyl	H	3-chloro	H
1-pyrrolidinylmethylamino	propyl	H	4-chloro	H
1-piperazinyl	propyl	H	2-fluoro	H
1-pyrrolidinyl	propyl	H	3-fluoro	H
1-pyrrolidinylmethylamino	propyl	H	4-fluoro	H
1-piperazinyl	propyl	H	2-bromo	H
1-pyrrolidinyl	propyl	H	3-bromo	H
1-pyrrolidinylmethylamino	propyl	H	4-bromo	H
1-piperazinyl	propyl	H	2-nitro	H
1-pyrrolidinyl	propyl	H	3-nitro	H
1-pyrrolidinylmethylamino	propyl	H	4-nitro	H
1-piperazinyl	propyl	H	2-methoxy	H
1-pyrrolidinyl	propyl	H	3-methoxy	H
1-pyrrolidinylmethylamino	propyl	H	4-methoxy	H
1-piperazinyl	propyl	H	2-chloro	4-chloro
1-pyrrolidinyl	propyl	H	3-chloro	4-chloro
1-pyrrolidinylmethylamino	propyl	H	2-fluoro	4-fluoro
1-piperazinyl	propyl	H	3-fluoro	4-fluoro
1-pyrrolidinyl	propyl	H	2-bromo	4-bromo
1-pyrrolidinylmethylamino	propyl	H	3-bromo	4-bromo
1-piperazinyl	propyl	H	2-methoxy	4-methoxy
1-pyrrolidinyl	propyl	H	3-methoxy	4-methoxy
1-pyrrolidinylmethylamino	propyl	H	2-acetyl	H
1-piperazinyl	propyl	H	3-acetyl	H
1-pyrrolidinyl	propyl	H	4-acetyl	H
1-pyrrolidinylmethylamino	propyl	H	2-benzoyl	H
1-piperazinyl	propyl	H	3-benzoyl	H
1-pyrrolidinyl	propyl	H	4-benzoyl	H
1-pyrrolidinylmethylamino	propyl	H	2-cyano	H
1-piperazinyl	propyl	H	3-cyano	H
1-pyrrolidinyl	propyl	H	4-cyano	H
1-pyrrolidinylmethylamino	propyl	H	2-carbamoyl	H
1-piperazinyl	propyl	H	3-carbamoyl	H
1-pyrrolidinyl	propyl	H	4-carbamoyl	H
1-pyrrolidinylmethylamino	propyl	H	2-dimethylcarbamoyl	H
1-piperazinyl	propyl	H	3-dimethylcarbamoyl	H
1-pyrrolidinyl	propyl	H	4-dimethylcarbamoyl	H
1-pyrrolidinylmethylamino	propyl	H	2-methylcarbamoyl	H
1-piperazinyl	propyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-pyrrolidinyl	propyl	H	4-methylcarbamoyl	H
1-pyrrolidinylmethylamino	propyl	H	2-methoxycarbonyl	H
1-piperazinyl	propyl	H	3-methoxycarbonyl	H
1-pyrrolidinyl	propyl	H	4-methoxycarbonyl	H
1-pyrrolidinylmethylamino	propyl	H	2-amino	H
1-piperazinyl	propyl	H	3-amino	H
1-pyrrolidinyl	propyl	H	4-amino	H
1-pyrrolidinylmethylamino	propyl	H	2-methylamino	H
1-piperazinyl	propyl	H	3-methylamino	H
1-pyrrolidinyl	propyl	H	4-methylamino	H
1-pyrrolidinylmethylamino	propyl	H	2-dimethylamino	H
1-piperazinyl	propyl	H	3-dimethylamino	H
1-pyrrolidinyl	propyl	H	4-dimethylamino	H
1-pyrrolidinylmethylamino	propyl	H	2-trifluoromethyl	H
1-piperazinyl	propyl	H	3-trifluoromethyl	H
1-pyrrolidinyl	propyl	H	4-trifluoromethyl	H
1-pyrrolidinylmethylamino	propyl	H	2-fluoromethyl	H
1-piperazinyl	propyl	H	3-fluoromethyl	H
1-pyrrolidinyl	propyl	H	4-fluoromethyl	H
1-pyrrolidinylmethylamino	propyl	H	2-hydroxy	H
1-piperazinyl	propyl	H	3-hydroxy	H
1-pyrrolidinyl	propyl	H	4-hydroxy	H
1-pyrrolidinylmethylamino	propyl	H	4-(4-fluorobenzoyl)	H
1-piperazinyl	propyl	H	3-(4-fluorobenzoyl)	H
1-pyrrolidinyl	propyl	H	2-(4-fluorobenzoyl)	H
1-pyrrolidinylmethylamino	propyl	H	4-(4-methylbenzoyl)	H
1-piperazinyl	propyl	H	3-(4-methylbenzoyl)	H
1-pyrrolidinyl	propyl	H	2-(4-methylbenzoyl)	H
1-pyrrolidinylmethylamino	propyl	H	4-chloroacetyl	H
1-piperazinyl	propyl	H	3-chloroacetyl	H
1-pyrrolidinyl	propyl	H	2-chloroacetyl	H
1-pyrrolidinylmethylamino	propyl	H	4-ethoxycarbonyl	H
1-piperazinyl	propyl	H	3-ethoxycarbonyl	H
1-pyrrolidinyl	propyl	H	2-ethoxycarbonyl	H
1-pyrrolidinylmethylamino	propyl	H	4-carboxy	H
1-piperazinyl	propyl	H	3-carboxy	H
1-pyrrolidinyl	propyl	H	2-carboxy	H
1-pyrrolidinylmethylamino	propyl	H	4-chloromethoxy	H
1-piperazinyl	propyl	H	3-chloromethoxy	H
1-pyrrolidinyl	propyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-pyrrolidinylmethylamino	butyl	H	2-chloro	H
1-piperazinyl	butyl	H	3-chloro	H
1-pyrrolidinyl	butyl	H	4-chloro	H
1-pyrrolidinylmethylamino	butyl	H	2-fluoro	H
1-piperazinyl	butyl	H	3-fluoro	H
1-pyrrolidinyl	butyl	H	4-fluoro	H
1-pyrrolidinylmethylamino	butyl	H	2-bromo	H
1-piperazinyl	butyl	H	3-bromo	H
1-pyrrolidinyl	butyl	H	4-bromo	H
1-pyrrolidinylmethylamino	butyl	H	2-nitro	H
1-piperazinyl	butyl	H	3-nitro	H
1-pyrrolidinyl	butyl	H	4-nitro	H
1-pyrrolidinylmethylamino	butyl	H	2-methoxy	H
1-piperazinyl	butyl	H	3-methoxy	H
1-pyrrolidinyl	butyl	H	4-methoxy	H
1-pyrrolidinylmethylamino	butyl	H	2-chloro	4-chloro
1-piperazinyl	butyl	H	3-chloro	4-chloro
1-pyrrolidinyl	butyl	H	2-fluoro	4-fluoro
1-pyrrolidinylmethylamino	butyl	H	3-fluoro	4-fluoro
1-piperazinyl	butyl	H	2-bromo	4-bromo
1-pyrrolidinyl	butyl	H	3-bromo	4-bromo
1-pyrrolidinylmethylamino	butyl	H	2-methoxy	4-methoxy
1-piperazinyl	butyl	H	3-methoxy	4-methoxy
1-pyrrolidinyl	butyl	H	2-acetyl	H
1-pyrrolidinylmethylamino	butyl	H	3-acetyl	H
1-piperazinyl	butyl	H	4-acetyl	H
1-pyrrolidinyl	butyl	H	2-benzoyl	H
1-pyrrolidinylmethylamino	butyl	H	3-benzoyl	H
1-piperazinyl	butyl	H	4-benzoyl	H
1-pyrrolidinyl	butyl	H	2-cyano	H
1-pyrrolidinylmethylamino	butyl	H	3-cyano	H
1-piperazinyl	butyl	H	4-cyano	H
1-pyrrolidinyl	butyl	H	2-carbamoyl	H
1-pyrrolidinylmethylamino	butyl	H	3-carbamoyl	H
1-piperazinyl	butyl	H	4-carbamoyl	H
1-pyrrolidinyl	butyl	H	2-dimethylcarbamoyl	H
1-pyrrolidinylmethylamino	butyl	H	3-dimethylcarbamoyl	H
1-piperazinyl	butyl	H	4-dimethylcarbamoyl	H
1-pyrrolidinyl	butyl	H	2-methylcarbamoyl	H
1-pyrrolidinylmethylamino	butyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-piperazinyl	butyl	H	4-methylcarbamoyl	H
1-pyrrolidinyl	butyl	H	2-methoxycarbonyl	H
1-pyrrolidinylmethylamino	butyl	H	3-methoxycarbonyl	H
1-piperazinyl	butyl	H	4-methoxycarbonyl	H
1-pyrrolidinyl	butyl	H	2-amino	H
1-pyrrolidinylmethylamino	butyl	H	3-amino	H
1-piperazinyl	butyl	H	4-amino	H
1-pyrrolidinyl	butyl	H	2-methylamino	H
1-pyrrolidinylmethylamino	butyl	H	3-methylamino	H
1-piperazinyl	butyl	H	4-methylamino	H
1-pyrrolidinyl	butyl	H	2-dimethylamino	H
1-pyrrolidinylmethylamino	butyl	H	3-dimethylamino	H
1-piperazinyl	butyl	H	4-dimethylamino	H
1-pyrrolidinyl	butyl	H	2-trifluoromethyl	H
1-pyrrolidinylmethylamino	butyl	H	3-trifluoromethyl	H
1-piperazinyl	butyl	H	4-trifluoromethyl	H
1-pyrrolidinyl	butyl	H	2-fluoromethyl	H
1-pyrrolidinylmethylamino	butyl	H	3-fluoromethyl	H
1-piperazinyl	butyl	H	4-fluoromethyl	H
1-pyrrolidinyl	butyl	H	2-hydroxy	H
1-pyrrolidinylmethylamino	butyl	H	3-hydroxy	H
1-piperazinyl	butyl	H	4-hydroxy	H
1-pyrrolidinyl	butyl	H	4-(4-fluorobenzoyl)	H
1-pyrrolidinylmethylamino	butyl	H	3-(4-fluorobenzoyl)	H
1-piperazinyl	butyl	H	2-(4-fluorobenzoyl)	H
1-pyrrolidinyl	butyl	H	4-(4-methylbenzoyl)	H
1-pyrrolidinylmethylamino	butyl	H	3-(4-methylbenzoyl)	H
1-piperazinyl	butyl	H	2-(4-methylbenzoyl)	H
1-pyrrolidinyl	butyl	H	4-chloroacetyl	H
1-pyrrolidinylmethylamino	butyl	H	3-chloroacetyl	H
1-piperazinyl	butyl	H	2-chloroacetyl	H
1-pyrrolidinyl	butyl	H	4-ethoxycarbonyl	H
1-pyrrolidinylmethylamino	butyl	H	3-ethoxycarbonyl	H
1-piperazinyl	butyl	H	2-ethoxycarbonyl	H
1-pyrrolidinyl	butyl	H	4-carboxy	H
1-pyrrolidinylmethylamino	butyl	H	3-carboxy	H
1-piperazinyl	butyl	H	2-carboxy	H
1-pyrrolidinyl	butyl	H	4-chloromethoxy	H
1-pyrrolidinylmethylamino	butyl	H	3-chloromethoxy	H
1-piperazinyl	butyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-pyrrolidinyl	benzyl	H	2-chloro	H
1-pyrrolidinylmethylamino	benzyl	H	3-chloro	H
1-piperazinyl	benzyl	H	4-chloro	H
1-pyrrolidinyl	benzyl	H	2-fluoro	H
1-pyrrolidinylmethylamino	benzyl	H	3-fluoro	H
1-piperazinyl	benzyl	H	4-fluoro	H
1-pyrrolidinyl	benzyl	H	2-bromo	H
1-pyrrolidinylmethylamino	benzyl	H	3-bromo	H
1-piperazinyl	benzyl	H	4-bromo	H
1-pyrrolidinyl	benzyl	H	2-nitro	H
1-pyrrolidinylmethylamino	benzyl	H	3-nitro	H
1-piperazinyl	benzyl	H	4-nitro	H
1-pyrrolidinyl	benzyl	H	2-methoxy	H
1-pyrrolidinylmethylamino	benzyl	H	3-methoxy	H
1-piperazinyl	benzyl	H	4-methoxy	H
1-pyrrolidinyl	benzyl	H	2-chloro	4-chloro
1-pyrrolidinylmethylamino	benzyl	H	3-chloro	4-chloro
1-piperazinyl	benzyl	H	2-fluoro	4-fluoro
1-pyrrolidinyl	benzyl	H	3-fluoro	4-fluoro
1-pyrrolidinylmethylamino	benzyl	H	2-bromo	4-bromo
1-piperazinyl	benzyl	H	3-bromo	4-bromo
1-pyrrolidinyl	benzyl	H	2-methoxy	4-methoxy
1-pyrrolidinylmethylamino	benzyl	H	3-methoxy	4-methoxy
1-piperazinyl	benzyl	H	2-acetyl	H
1-pyrrolidinyl	benzyl	H	3-acetyl	H
1-pyrrolidinylmethylamino	benzyl	H	4-acetyl	H
1-piperazinyl	benzyl	H	2-benzoyl	H
1-pyrrolidinyl	benzyl	H	3-benzoyl	H
1-pyrrolidinylmethylamino	benzyl	H	4-benzoyl	H
1-piperazinyl	benzyl	H	2-cyano	H
1-pyrrolidinyl	benzyl	H	3-cyano	H
1-pyrrolidinylmethylamino	benzyl	H	4-cyano	H
1-piperazinyl	benzyl	H	2-carbamoyl	H
1-pyrrolidinyl	benzyl	H	3-carbamoyl	H
1-pyrrolidinylmethylamino	benzyl	H	4-carbamoyl	H
1-piperazinyl	benzyl	H	2-dimethylcarbamoyl	H
1-pyrrolidinyl	benzyl	H	3-dimethylcarbamoyl	H
1-pyrrolidinylmethylamino	benzyl	H	4-dimethylcarbamoyl	H
1-piperazinyl	benzyl	H	2-methylcarbamoyl	H
1-pyrrolidinyl	benzyl	H	3-methylcarbamoyl	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-pyrrolidinylmethylamino	benzyl	H	4-methylcarbamoyl	H
1-piperazinyl	benzyl	H	2-methoxycarbonyl	H
1-pyrrolidinyl	benzyl	H	3-methoxycarbonyl	H
1-pyrrolidinylmethylamino	benzyl	H	4-methoxycarbonyl	H
1-piperazinyl	benzyl	H	2-amino	H
1-pyrrolidinyl	benzyl	H	3-amino	H
1-pyrrolidinylmethylamino	benzyl	H	4-amino	H
1-piperazinyl	benzyl	H	2-methylamino	H
1-pyrrolidinyl	benzyl	H	3-methylamino	H
1-pyrrolidinylmethylamino	benzyl	H	4-methylamino	H
1-piperazinyl	benzyl	H	2-dimethylamino	H
1-pyrrolidinyl	benzyl	H	3-dimethylamino	H
1-pyrrolidinylmethylamino	benzyl	H	4-dimethylamino	H
1-piperazinyl	benzyl	H	2-trifluoromethyl	H
1-pyrrolidinyl	benzyl	H	3-trifluoromethyl	H
1-pyrrolidinylmethylamino	benzyl	H	4-trifluoromethyl	H
1-piperazinyl	benzyl	H	2-fluoromethyl	H
1-pyrrolidinyl	benzyl	H	3-fluoromethyl	H
1-pyrrolidinylmethylamino	benzyl	H	4-fluoromethyl	H
1-piperazinyl	benzyl	H	2-hydroxy	H
1-pyrrolidinyl	benzyl	H	3-hydroxy	H
1-pyrrolidinylmethylamino	benzyl	H	4-hydroxy	H
1-piperazinyl	benzyl	H	4-(4-fluorobenzoyl)	H
1-pyrrolidinyl	benzyl	H	3-(4-fluorobenzoyl)	H
1-pyrrolidinylmethylamino	benzyl	H	2-(4-fluorobenzoyl)	H
1-piperazinyl	benzyl	H	4-(4-methylbenzoyl)	H
1-pyrrolidinyl	benzyl	H	3-(4-methylbenzoyl)	H
1-pyrrolidinylmethylamino	benzyl	H	2-(4-methylbenzoyl)	H
1-piperazinyl	benzyl	H	4-chloroacetyl	H
1-pyrrolidinyl	benzyl	H	3-chloroacetyl	H
1-pyrrolidinylmethylamino	benzyl	H	2-chloroacetyl	H
1-piperazinyl	benzyl	H	4-ethoxycarbonyl	H
1-pyrrolidinyl	benzyl	H	3-ethoxycarbonyl	H
1-pyrrolidinylmethylamino	benzyl	H	2-ethoxycarbonyl	H
1-piperazinyl	benzyl	H	4-carboxy	H
1-pyrrolidinyl	benzyl	H	3-carboxy	H
1-pyrrolidinylmethylamino	benzyl	H	2-carboxy	H
1-piperazinyl	benzyl	H	4-chloromethoxy	H
1-pyrrolidinyl	benzyl	H	3-chloromethoxy	H
1-pyrrolidinylmethylamino	benzyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-piperazinyl	cyclohexylmethyl	H	3-chloro	H
1-pyrrolidinyl	cyclohexylmethyl	H	3-chloro	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-chloro	H
1-piperazinyl	cyclohexylmethyl	H	2-fluoro	H
1-pyrrolidinyl	cyclohexylmethyl	H	3-fluoro	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-fluoro	H
1-piperazinyl	cyclohexylmethyl	H	2-bromo	H
1-pyrrolidinyl	cyclohexylmethyl	H	3-bromo	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-bromo	H
1-piperazinyl	cyclohexylmethyl	H	2-nitro	H
1-pyrrolidinyl	cyclohexylmethyl	H	3-nitro	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-nitro	H
1-piperazinyl	cyclohexylmethyl	H	2-methoxy	H
1-pyrrolidinyl	cyclohexylmethyl	H	3-methoxy	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-methoxy	H
1-piperazinyl	cyclohexylmethyl	H	2-chloro	4-chloro
1-pyrrolidinyl	cyclohexylmethyl	H	3-chloro	4-chloro
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-fluoro	4-fluoro
1-piperazinyl	cyclohexylmethyl	H	3-fluoro	4-fluoro
1-pyrrolidinyl	cyclohexylmethyl	H	2-bromo	4-bromo
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	3-bromo	4-bromo
1-piperazinyl	cyclohexylmethyl	H	2-methoxy	4-methoxy
1-pyrrolidinyl	cyclohexylmethyl	H	3-methoxy	4-methoxy
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-acetyl	H
1-piperazinyl	cyclohexylmethyl	H	3-acetyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-acetyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-benzoyl	H
1-piperazinyl	cyclohexylmethyl	H	3-benzoyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-benzoyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-cyano	H
1-piperazinyl	cyclohexylmethyl	H	3-cyano	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-cyano	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-carbamoyl	H
1-piperazinyl	cyclohexylmethyl	H	3-carbamoyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-carbamoyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-dimethylcarbamoyl	H
1-piperazinyl	cyclohexylmethyl	H	3-dimethylcarbamoyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-dimethylcarbamoyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-methylcarbamoyl	H
1-piperazinyl	cyclohexylmethyl	H	3-methylcarbamoyl	H

Continued

R^1	R^2	R^3	Y^1	Y^2
1-pyrrolidinyl	cyclohexylmethyl	H	4-methylcarbamoyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-methoxycarbonyl	H
1-piperazinyl	cyclohexylmethyl	H	3-methoxycarbonyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-methoxycarbonyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-amino	H
1-piperazinyl	cyclohexylmethyl	H	3-amino	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-amino	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-methylamino	H
1-piperazinyl	cyclohexylmethyl	H	3-methylamino	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-methylamino	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-dimethylamino	H
1-piperazinyl	cyclohexylmethyl	H	3-dimethylamino	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-dimethylamino	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-trifluoromethyl	H
1-piperazinyl	cyclohexylmethyl	H	3-trifluoromethyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-trifluoromethyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-fluoromethyl	H
1-piperazinyl	cyclohexylmethyl	H	3-fluoromethyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-fluoromethyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	2-hydroxy	H
1-piperazinyl	cyclohexylmethyl	H	3-hydroxy	H
1-pyrrolidinyl	cyclohexylmethyl	H	4-hydroxy	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-(4-fluorobenzoyl)	H
1-piperazinyl	cyclohexylmethyl	H	3-(4-fluorobenzoyl)	H
1-pyrrolidinyl	cyclohexylmethyl	H	2-(4-fluorobenzoyl)	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-(4-methylbenzoyl)	H
1-piperazinyl	cyclohexylmethyl	H	3-(4-methylbenzoyl)	H
1-pyrrolidinyl	cyclohexylmethyl	H	2-(4-methylbenzoyl)	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-chloroacetyl	H
1-piperazinyl	cyclohexylmethyl	H	3-chloroacetyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	2-chloroacetyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-ethoxycarbonyl	H
1-piperazinyl	cyclohexylmethyl	H	3-ethoxycarbonyl	H
1-pyrrolidinyl	cyclohexylmethyl	H	2-ethoxycarbonyl	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-carboxy	H
1-piperazinyl	cyclohexylmethyl	H	3-carboxy	H
1-pyrrolidinyl	cyclohexylmethyl	H	2-carboxy	H
1-pyrrolidinylmethylamino	cyclohexylmethyl	H	4-chloromethoxy	H
1-piperazinyl	cyclohexylmethyl	H	3-chloromethoxy	H
1-pyrrolidinyl	cyclohexylmethyl	H	2-chloromethoxy	H

Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-piperazinyl	2-methoxyethyl	H	3-chloro	H
1-pyrrolidinyl	2-methoxyethyl	H	3-chloro	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-chloro	H
1-piperazinyl	2-methoxyethyl	H	2-fluoro	H
1-pyrrolidinyl	2-methoxyethyl	H	3-fluoro	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-fluoro	H
1-piperazinyl	2-methoxyethyl	H	2-bromo	H
1-pyrrolidinyl	2-methoxyethyl	H	3-bromo	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-bromo	H
1-piperazinyl	2-methoxyethyl	H	2-nitro	H
1-pyrrolidinyl	2-methoxyethyl	H	3-nitro	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-nitro	H
1-piperazinyl	2-methoxyethyl	H	2-methoxy	H
1-pyrrolidinyl	2-methoxyethyl	H	3-methoxy	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-methoxy	H
1-piperazinyl	2-methoxyethyl	H	2-chloro	4-chloro
1-pyrrolidinyl	2-methoxyethyl	H	3-chloro	4-chloro
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-fluoro	4-fluoro
1-piperazinyl	2-methoxyethyl	H	3-fluoro	4-fluoro
1-pyrrolidinyl	2-methoxyethyl	H	2-bromo	4-bromo
1-pyrrolidinylmethylamino	2-methoxyethyl	H	3-bromo	4-bromo
1-piperazinyl	2-methoxyethyl	H	2-methoxy	4-methoxy
1-pyrrolidinyl	2-methoxyethyl	H	3-methoxy	4-methoxy
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-acetyl	H
1-piperazinyl	2-methoxyethyl	H	3-acetyl	H
1-pyrrolidinyl	2-methoxyethyl	H	4-acetyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-benzoyl	H
1-piperazinyl	2-methoxyethyl	H	3-benzoyl	H
1-pyrrolidinyl	2-methoxyethyl	H	4-benzoyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-cyano	H
1-piperazinyl	2-methoxyethyl	H	3-cyano	H
1-pyrrolidinyl	2-methoxyethyl	H	4-cyano	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-carbamoyl	H
1-piperazinyl	2-methoxyethyl	H	3-carbamoyl	H
1-pyrrolidinyl	2-methoxyethyl	H	4-carbamoyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-dimethylcarbamoyl	H
1-piperazinyl	2-methoxyethyl	H	3-dimethylcarbamoyl	H
1-pyrrolidinyl	2-methoxyethyl	H	4-dimethylcarbamoyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-methylcarbamoyl	H
1-piperazinyl	2-methoxyethyl	H	3-methylcarbamoyl	H

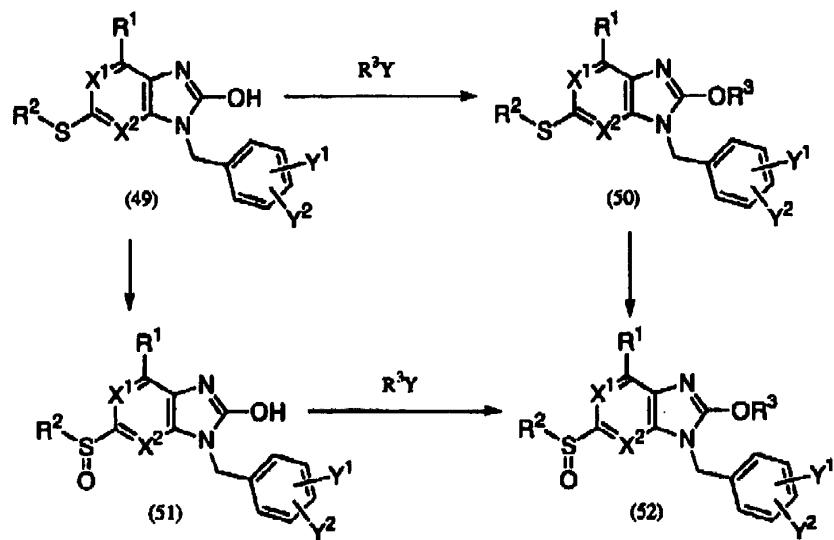
Continued

R ¹	R ²	R ³	Y ¹	Y ²
1-pyrrolidinyl	2-methoxyethyl	H	4-methylcarbamoyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-methoxycarbonyl	H
1-piperazinyl	2-methoxyethyl	H	3-methoxycarbonyl	H
1-pyrrolidinyl	2-methoxyethyl	H	4-methoxycarbonyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-amino	H
1-piperazinyl	2-methoxyethyl	H	3-amino	H
1-pyrrolidinyl	2-methoxyethyl	H	4-amino	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-methylamino	H
1-piperazinyl	2-methoxyethyl	H	3-methylamino	H
1-pyrrolidinyl	2-methoxyethyl	H	4-methylamino	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-dimethylamino	H
1-piperazinyl	2-methoxyethyl	H	3-dimethylamino	H
1-pyrrolidinyl	2-methoxyethyl	H	4-dimethylamino	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-trifluoromethyl	H
1-piperazinyl	2-methoxyethyl	H	3-trifluoromethyl	H
1-pyrrolidinyl	2-methoxyethyl	H	4-trifluoromethyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-fluoromethyl	H
1-piperazinyl	2-methoxyethyl	H	3-fluoromethyl	H
1-pyrrolidinyl	2-methoxyethyl	H	4-fluoromethyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	2-hydroxy	H
1-piperazinyl	2-methoxyethyl	H	3-hydroxy	H
1-pyrrolidinyl	2-methoxyethyl	H	4-hydroxy	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-(4-fluorobenzoyl)	H
1-piperazinyl	2-methoxyethyl	H	3-(4-fluorobenzoyl)	H
1-pyrrolidinyl	2-methoxyethyl	H	2-(4-fluorobenzoyl)	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-(4-methylbenzoyl)	H
1-piperazinyl	2-methoxyethyl	H	3-(4-methylbenzoyl)	H
1-pyrrolidinyl	2-methoxyethyl	H	2-(4-methylbenzoyl)	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-chloroacetyl	H
1-piperazinyl	2-methoxyethyl	H	3-chloroacetyl	H
1-pyrrolidinyl	2-methoxyethyl	H	2-chloroacetyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-ethoxycarbonyl	H
1-piperazinyl	2-methoxyethyl	H	3-ethoxycarbonyl	H
1-pyrrolidinyl	2-methoxyethyl	H	2-ethoxycarbonyl	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-carboxy	H
1-piperazinyl	2-methoxyethyl	H	3-carboxy	H
1-pyrrolidinyl	2-methoxyethyl	H	2-carboxy	H
1-pyrrolidinylmethylamino	2-methoxyethyl	H	4-chloromethoxy	H
1-piperazinyl	2-methoxyethyl	H	3-chloromethoxy	H
1-pyrrolidinyl	2-methoxyethyl	H	2-chloromethoxy	H

[0116]

Process 6

[Chemical structure 16]



5 wherein R¹, R², R³, X¹, X², Y¹ and Y² are the same as defined above. Y is a leaving group such as halogen atom e.g., chlorine atom, bromine atom, iodine atom.

Compound (50) is prepared by reacting compound (49) with R³Y in the presence of a base in an organic solvent.

10 The bases are for example, alkali metal hydroxide, such as sodium hydroxide or potassium hydroxide, alkali metal carbonates such as sodium carbonate or potassium carbonate, alkali metal hydrides such as sodium hydride or potassium hydride, organometallic salts such as, methyl lithium, butyl lithium, lithium diisopropylamide, tertiary amines such as triethylamine or diisopropylethylamine, or

pyridines such as dimethylaminopyridine or pyridine.

The organic solvents are for example, halogenated hydrocarbon such as methylene chloride or dichloroethane, ethers, such as diethyl ether or tetrahydrofuran, or 5 aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide.

The reaction temperature is selected from the range between about -78°C and around boiling point of the solvent.

[0117]

10 Compound (51) is prepared by reacting compound(49) with an oxidizing agent. The oxidizing agents are for example organic peracids such as perbenzoic acid, m-chloro perbenzoic acid. The organic solvents are for example, halogenohydrocarbons such as methylene chloride, chloroform.

15 The reaction temperature is selected from the range between about 0°C and about room temperature.

[0118]

20 Compound (52) is prepared by reacting compound(50) with an oxidizing agent. The oxidizing agents are for example organic peracids such as perbenzoic acid, m-chloro perbenzoic acid. The organic solvents are for example, halogenohydrocarbons such as methylene chloride, chloroform.

The reaction temperature is selected from the range between about 0°C and about room temperature.

25 [0119]

Compound (52) is prepared by reacting compound (51) with R^3Y in the presence of a base in an organic solvent.

The bases are for example, alkali metal hydroxide, such as sodium hydroxide or potassium hydroxide, alkali metal carbonates such as sodium carbonate or potassium carbonate, alkali metal hydrides such as sodium hydride or potassium hydride, organometallic salts such as, methyl lithium, butyl lithium, lithium diisopropylamide, tertiary amines such as triethylamine or diisopropylethylamine, or pyridines such as dimethylaminopyridine or pyridine.

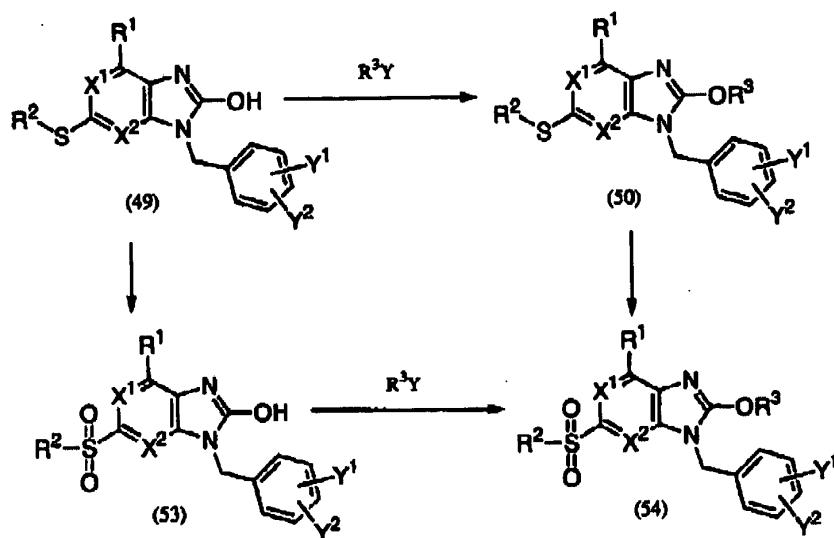
The organic solvents are for example, halogenated hydrocarbon such as methylene chloride or dichloroethane, ethers, such as diethyl ether or tetrahydrofuran, or aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide.

The reaction temperature is selected from the range between about -78°C and around boiling point of the solvent.

[0120]

Process 7

20 [Chemical structure 17]



wherein R², R³, X¹, X², Y¹ and Y² are the same as defined above. Y is a leaving group such as halogen atom e.g., chlorine atom, bromine atom, iodine atom.

5 [0121]

Compound (53) is prepared by reacting compound (49) with an oxidizing agent in an acid solvent such as sulfuric acid, acetic acid. The oxidizing agents are for example organic peroxides such as hydrogen peroxide.

10 The reaction temperature is selected from the range between about room temperature and about 70°C.

[0122]

Compound (54) is prepared by reacting compound (53) with R³Y in the presence of a base in an organic solvent.

15 The bases are for example, alkali metal hydroxide, such as sodium hydroxide or potassium hydroxide, alkali

metal carbonates such as sodium carbonate or potassium carbonate, alkali metal hydrides such as sodium hydride or potassium hydride, organometallic salts such as, methyl lithium, butyl lithium, lithium diisopropylamide, tertiary amines such as triethylamine or diisopropylethylamine, or pyridines such as dimethylaminopyridine or pyridine.

The organic solvents are for example, halogenated hydrocarbon such as methylene chloride or dichloroethane, ethers, such as diethyl ether or tetrahydrofuran, or aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide.

The reaction temperature is selected from the range between about -78°C and around boiling point of the solvent.

[0123]

Compound (54) is prepared by reacting compound (50) with an oxidizing agent in an acid solvent such as sulfuric acid, acetic acid. The oxidizing agents are for example organic peroxides such as hydrogen peroxide.

The reaction temperature is selected from the range between about room temperature and about 70°C.